

L7 ANSWER 11 OF 26 CAPLUS COPYRIGHT 2002 ACS

AB A review with 232 refs. Serotonin (5-hydroxytryptamine) is an important biogenic amine that fulfills the role of neurotransmitter and neuromodulator. It has been a focus of interest during the last decade. Its diversity of pharmacol. actions is related to a wide variety of receptors and effector mechanisms. Seven serotonin receptor families have been identified thus far. They are genetically different transmembrane proteins composed of several hundred amino acids. The majority of these are G-protein-coupled, except the 5-HT₃ receptors, which are directly ligand gated to fast ion channels. Serotonin is widely distributed in the body within the central and peripheral nervous systems, smooth muscles, and platelets, in particular. Consequently, its effects manifest mainly in these organs and influence a wide variety of neural, vascular, smooth muscle, and platelet functions. (Melatonin, a physiol. active metabolite of serotonin, is also instrumental in affecting many neural and hormonal functions.). Several selective agonists and particularly many selective antagonists have been developed for serotonin, which helped the serotonin receptor subtype classification. Some of these drugs are also used therapeutically in the treatment of migraine (eg, sumatriptan, which is a 5-HT₁ receptor agonist), vascular disorders (5-HT₂ antagonists), and nausea and vomiting (5-HT₃ antagonists, eg, dolasetron, granisetron, ondansetron, and tropisetron), and have been investigated in gastrointestinal motility disorders (5-HT₄ antagonists) and behavioral psychopathologies (5-HT₁ agonists and 5-HT₂₋₄ antagonists). Serotonin reuptake inhibitors are of particular clin. importance in the treatment of psychol. illnesses. Future use of these drugs is also envisioned in the treatment of certain types of pain syndromes. Awareness of the serotonergic drugs and the recognition of possible drug interactions among drugs that influence serotonergic mechanisms in humans are becoming increasingly important in the practice of anesthesiol.

AN 1997:365215 CAPLUS

DN 127:28509

TI Pharmacology of serotonin as related to anesthesia

AU Gyermek, Laszlo

CS Department of Anesthesiology, Harbor-UCLA Medical Center, UCLA School of Medicine, Torrance, CA, USA

SO J. Clin. Anesth. (1996), 8(5), 402-425

CODEN: JCLBE7; ISSN: 0952-8180

PB Elsevier

DT Journal; General Review

LA English

9980965.trn10/01/2003

LOGINID:SSSPTA1612RXD

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

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NEWS 1 Web Page URLs for STN Seminar Schedule - N. America
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NEWS 5 Feb 19 Access via Tymnet and SprintNet Eliminated Effective 3/31/02
NEWS 6 Mar 08 Gene Names now available in BIOSIS
NEWS 7 Mar 22 TOXLIT no longer available
NEWS 8 Mar 22 TRCTHERMO no longer available
NEWS 9 Mar 28 US Provisional Priorities searched with P in CA/Caplus and USPATFULL
NEWS 10 Mar 28 LIPINSKI/CALC added for property searching in REGISTRY
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NEWS 18 Apr 22 Federal Research in Progress (FEDRIP) now available

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FILE 'HOME' ENTERED AT 16:41:55 ON 13 MAY 2002

=>

Uploading

THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE

Do you want to switch to the Registry File?

Choice (Y/n):

Switching to the Registry File...

9980965.trn11:31Page 50

9980965.trn10/01/2003

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=> FILE REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.63	0.63

FILE 'REGISTRY' ENTERED AT 16:43:45 ON 13 MAY 2002
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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STRUCTURE FILE UPDATES: 12 MAY 2002 HIGHEST RN 414856-11-4
DICTIONARY FILE UPDATES: 12 MAY 2002 HIGHEST RN 414856-11-4

TSCA INFORMATION NOW CURRENT THROUGH July 7, 2001

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Crossover limits have been increased. See HELP CROSSOVER for details.

Calculated physical property data is now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

=>

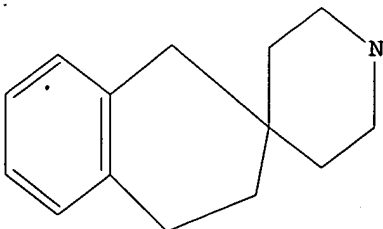
Uploading 9980965.str

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> sl1 ful

SL1 IS NOT A RECOGNIZED COMMAND

The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter "HELP COMMANDS" at an arrow prompt (=>).

=> s l1 ful

9980965.trn10/01/2003

FULL SEARCH INITIATED 16:44:10 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 63956 TO ITERATE

100.0% PROCESSED 63956 ITERATIONS
SEARCH TIME: 00.00.01

188 ANSWERS

L2 188 SEA SSS FUL L1

=> file uspatall
COST IN U.S. DOLLARS
FULL ESTIMATED COST

SINCE FILE	TOTAL
ENTRY	SESSION
140.28	140.91

FILE 'USPATFULL' ENTERED AT 16:44:16 ON 13 MAY 2002
CA INDEXING COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 16:44:16 ON 13 MAY 2002
CA INDEXING COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

=> s 12
L3 6 L2

=> d abs bib hitstr 1-6

L3 ANSWER 1 OF 6 USPATFULL

AB This invention relates to certain novel compounds and derivatives thereof, their synthesis, and their use as selective alpha-1C adrenergic receptor antagonists. One application of these compounds is in the treatment of benign prostatic hypertrophy. These compounds are selective in their ability to relax smooth muscle tissue enriched in the alpha1C receptor subtype without at the same time inducing orthostatic hypotension. One such tissue is found surrounding the urethral lining. Therefore, one utility of the instant compounds is to provide acute relief to males suffering from benign prostatic hyperplasia, by permitting less hindered urine flow. Another utility of the instant compounds is provided by combination with a human 5-alpha reductase inhibitory compound, such that both acute and chronic relief from the effects of benign prostatic hyperplasia are achieved.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AN 1998:61669 USPATFULL
TI Alpha 1C adrenergic receptor antagonists
IN Huff, Joel R., Gwynedd Valley, PA, United States
Lee, Hee-Yoon, Yusung-Gu, Korea, Republic of
Nerenberg, Jennie B., Maple Glen, PA, United States
Thompson, Wayne J., Lansdale, PA, United States
Bell, Ian M., Harleysville, PA, United States
PA Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)
PI US 5760054 19980602
WO 9528397 19951026
AI US 1996-722001 19961001 (8)
WO 1995-US4590 19950413
19961001 PCT 371 date
19961001 PCT 102(e) date
RLI Continuation-in-part of Ser. No. US 1994-229276, filed on 13 Apr 1994, now abandoned
DT Utility
FS Granted
EXNAM Primary Examiner: Rotman, Alan L.
LREP Winokur, Melvin

checked
no

9980965.trn11:31Page 52

CLMN Number of Claims: 13

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 4070

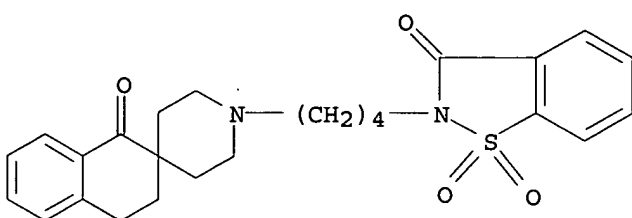
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 173842-22-3P

(prepn. of benisothiazolones and analogs as .alpha.1C-adrenergic antagonists)

RN 173842-22-3 USPATFULL

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 1'-[4-(1,1-dioxido-3-oxo-1,2-benisothiazol-2(3H)-yl)butyl]-3,4-dihydro- (9CI) (CA INDEX NAME)



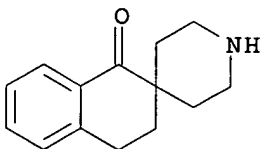
but

IT 136080-34-7

(prepn. of benisothiazolones and analogs as .alpha.1C-adrenergic antagonists)

RN 136080-34-7 USPATFULL

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 3,4-dihydro- (9CI) (CA INDEX NAME)



overall no.

L3 ANSWER 2 OF 6 USPATFULL

AB Spirocycles of general structural formula: ##STR1## are Class III antiarrhythmic agents.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AN 97:45012 USPATFULL

TI Nitrogen-containing spirocycles

IN Baldwin, John J., Gwyned Valley, PA, United States

Claremon, David A., Audubon, PA, United States

Elliott, Jason M., Blue Bell, PA, United States

Ponticello, Gerald S., Lansdale, PA, United States

Remy, David C., North Wales, PA, United States

Selnick, Harold G., Ambler, PA, United States

PA Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)

PI US 5633247 19970527

AI US 1995-498525 19950705 (8)

RLI Continuation of Ser. No. US 1992-998321, filed on 30 Dec 1992, now abandoned which is a division of Ser. No. US 1991-709686, filed on 3 Jun 1991, now patented, Pat. No. US 5206240 which is a continuation-in-part of Ser. No. US 1990-612091, filed on 16 Nov 1990, now abandoned which is

a continuation-in-part of Ser. No. US 1989-447950, filed on 8 Dec 1989,
now abandoned

DT Utility

FS Granted

EXNAM Primary Examiner: Ivy, C. Warren; Assistant Examiner: Covington, Raymond

LREP Bigley, Frank P., Daniel, Mark R.

CLMN Number of Claims: 10

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 8569

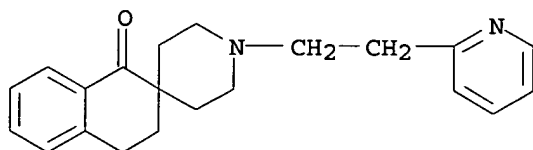
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 136081-76-0P

(prepn. of, as antiarrhythmic and cardiotonic)

RN 136081-76-0 USPATFULL

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 3,4-dihydro-1'-[2-(2-pyridinyl)ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl .

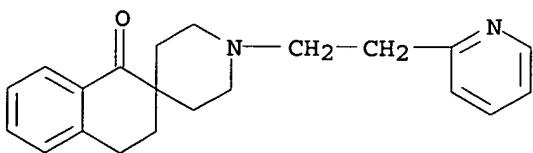
IT 136074-77-6P 136074-78-7P 136074-79-8P

136074-80-1P 136074-81-2P

(prepn. of, as class III antiarrhythmic and cardiotonic)

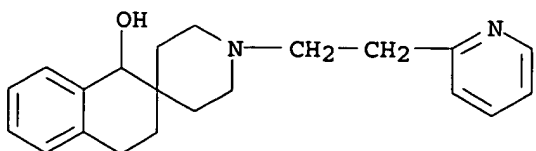
RN 136074-77-6 USPATFULL

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 3,4-dihydro-1'-[2-(2-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)



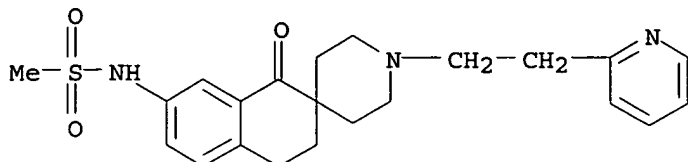
RN 136074-78-7 USPATFULL

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-ol, 3,4-dihydro-1'-[2-(2-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)



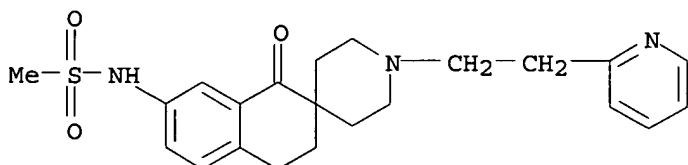
RN 136074-79-8 USPATFULL

CN Methanesulfonamide, N-[3,4-dihydro-1-oxo-1'-[2-(2-pyridinyl)ethyl]spiro[naphthalene-2(1H),4'-piperidin]-7-yl]- (9CI) (CA INDEX NAME)



RN 136074-80-1 USPATFULL

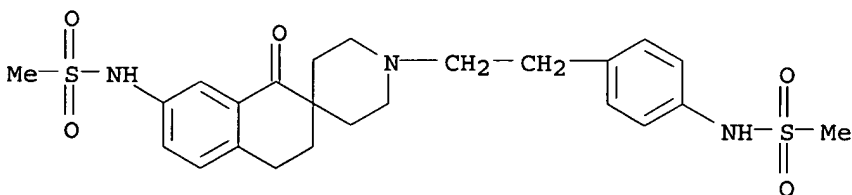
CN Methanesulfonamide, N-[3,4-dihydro-1-oxo-1'-[2-(2-pyridinyl)ethyl]spiro[naphthalene-2(1H),4'-piperidin]-7-yl]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 136074-81-2 USPATFULL

CN Methanesulfonamide, N-[4-[2-[3,4-dihydro-7-[(methylsulfonyl)amino]-1-oxospiro[naphthalene-2(1H),4'-piperidin]-1'-yl]ethyl]phenyl]- (9CI) (CA INDEX NAME)



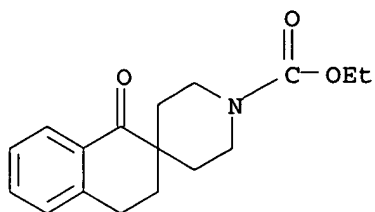
IT 136080-33-6P 136080-34-7P 136080-35-8P

136080-36-9P 136112-40-8P

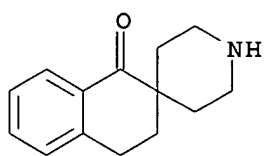
(prepn. of, as intermediates for antiarrhythmic and cardiotonic)

RN 136080-33-6 USPATFULL

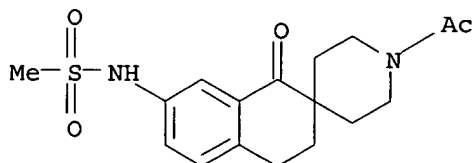
CN Spiro[naphthalene-2(1H),4'-piperidine]-1'-carboxylic acid, 3,4-dihydro-1-oxo-, ethyl ester (9CI) (CA INDEX NAME)



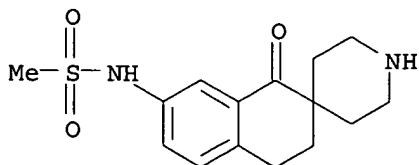
RN 136080-34-7 USPATFULL
 CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 3,4-dihydro- (9CI) (CA INDEX NAME)



RN 136080-35-8 USPATFULL
 CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 1'-acetyl-3,4-dihydro-7-[(methylsulfonyl)amino]- (9CI) (CA INDEX NAME)

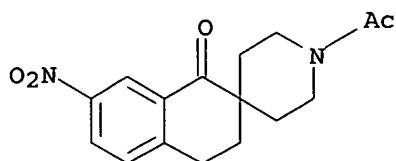


RN 136080-36-9 USPATFULL
 CN Methanesulfonamide, N-(3,4-dihydro-1-oxospiro[naphthalene-2(1H),4'-piperidin]-7-yl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 136112-40-8 USPATFULL
 CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 1'-acetyl-3,4-dihydro-7-nitro- (9CI) (CA INDEX NAME)



L3 ANSWER 3 OF 6 USPATFULL

AB Compounds of the general structural formula: ##STR1## or a pharmaceutically acceptable salt, hydrate or crystal form thereof, wherein;

X is O or CH.sub.2

R.sup.1 is H if R.sup.2 is not H or if R.sup.2 is H then R.sup.1 is ##STR2## R.sup.2 is --H if R.sup.1 is not H or if R.sup.1 is H then R.sup.2 is; ##STR3## and R.sup.3 is ##STR4## are Class HI antiarrhythmic agents.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AN 95:71364 USPATFULL

TI Spirocycles

IN Claremon, David A., Maple Glen, PA, United States
Ponticello, Gerald S., Lansdale, PA, United States
Selnick, Harold G., Ambler, PA, United States

PA Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)

PI US 5439914 19950808

AI US 1994-198940 19940218 (8)

DT Utility

FS Granted

EXNAM Primary Examiner: Berch, Mark L.

LREP Bigley, Francis P., Daniel, Mark R., DiPrima, Joseph F.

CLMN Number of Claims: 9

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 736

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

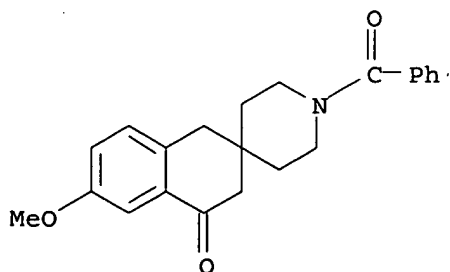
IT 171797-76-5P 171797-77-6P 171797-78-7P

171797-79-8P

(intermediate; prepn. of spirocycles as Class III antiarrhythmic agents)

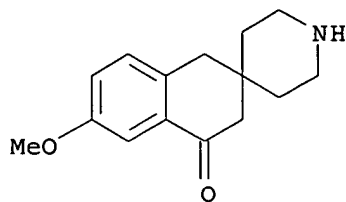
RN 171797-76-5 USPATFULL

CN Spiro[naphthalene-2(1H),4'-piperidin]-4(3H)-one, 1'-benzoyl-6-methoxy-(9CI) (CA INDEX NAME)



RN 171797-77-6 USPATFULL

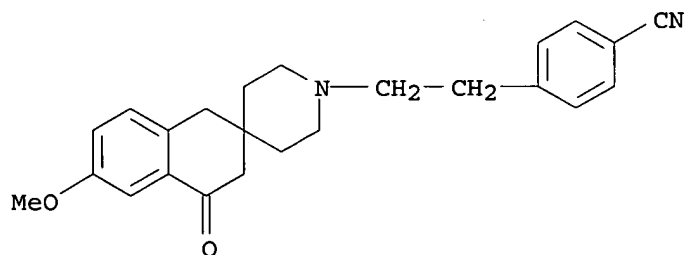
CN Spiro[naphthalene-2(1H),4'-piperidin]-4(3H)-one, 6-methoxy-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 171797-78-7 USPATFULL

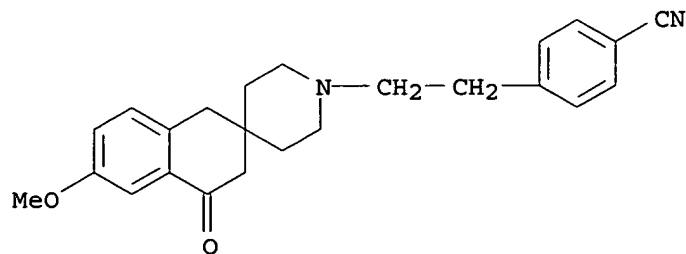
CN Benzonitrile, 4-[2-(3,4-dihydro-6-methoxy-4-oxospiro[naphthalene-2(1H),4'-piperidin]-1'-yl)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 171797-79-8 USPATFULL

CN Benzonitrile, 4-[2-(3,4-dihydro-6-methoxy-4-oxospiro[naphthalene-2(1H),4'-piperidin]-1'-yl)ethyl]- (9CI) (CA INDEX NAME)

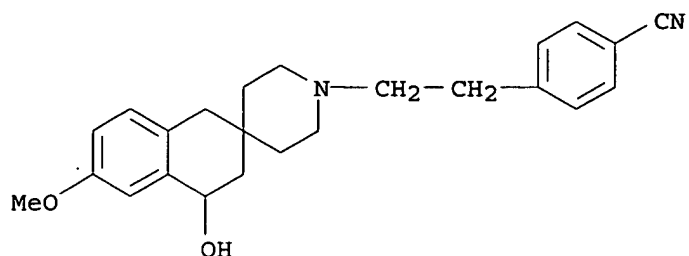


IT 171797-63-0P

(prepn. of spirocycles as Class III antiarrhythmic agents)

RN 171797-63-0 USPATFULL

CN Benzonitrile, 4-[2-(3,4-dihydro-4-hydroxy-6-methoxyspiro[naphthalene-2(1H),4'-piperidin]-1'-yl)ethyl]- (9CI) (CA INDEX NAME)

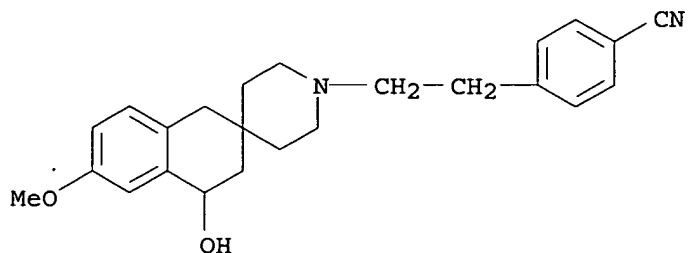


IT 171797-64-1P 171797-65-2P 171797-66-3P
171797-67-4P 171797-68-5P

(prepn. of spirocycles as Class III antiarrhythmic agents)

RN 171797-64-1 USPATFULL

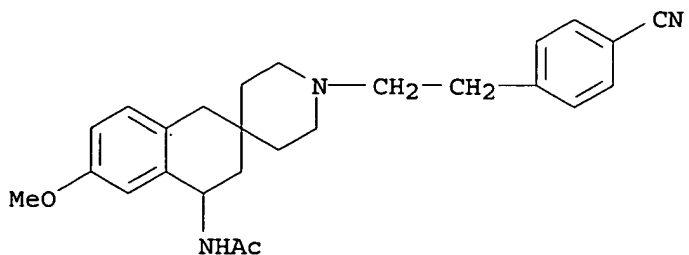
CN Benzonitrile, 4-[2-(3,4-dihydro-4-hydroxy-6-methoxyspiro[naphthalene-2(1H),4'-piperidin]-1'-yl)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

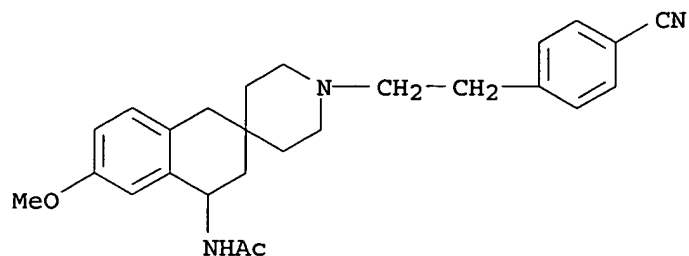
RN 171797-65-2 USPATFULL

CN Acetamide, N-[1'-[2-(4-cyanophenyl)ethyl]-3,4-dihydro-6-methoxyspiro[naphthalene-2(1H),4'-piperidin]-4-yl]- (9CI) (CA INDEX NAME)



RN 171797-66-3 USPATFULL

CN Acetamide, N-[1'-[2-(4-cyanophenyl)ethyl]-3,4-dihydro-6-methoxyspiro[naphthalene-2(1H),4'-piperidin]-4-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

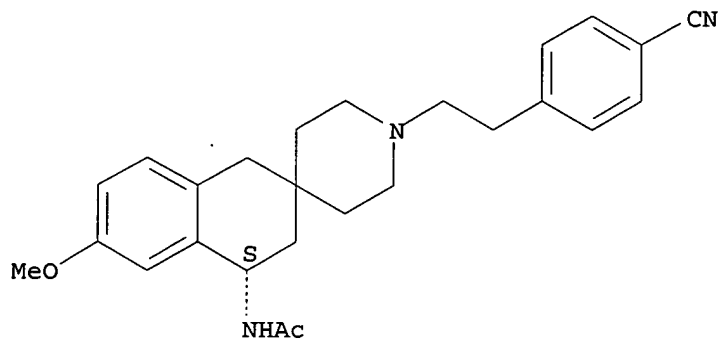


● HCl

RN 171797-67-4 USPATFULL

CN Acetamide, N-[1'-[2-(4-cyanophenyl)ethyl]-3,4-dihydro-6-methoxyspiro[naphthalene-2(1H),4'-piperidin]-4-yl]-, (S)- (9CI) (CA INDEX NAME)

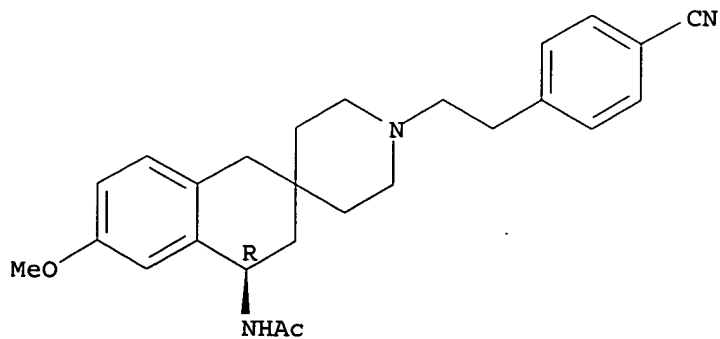
Absolute stereochemistry.



RN 171797-68-5 USPATFULL

CN Acetamide, N-[1'-[2-(4-cyanophenyl)ethyl]-3,4-dihydro-6-methoxyspiro[naphthalene-2(1H),4'-piperidin]-4-yl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 4 OF 6 USPATFULL

AB Compounds of formula (I): ##STR1## in which: R.sub.1 and R.sub.2, which may be identical or different, represent a linear or branched (C.sub.1 -C.sub.6) alkyl radical, a substituted or unsubstituted phenyl radical, a pyridyl radical or a thienyl radical, or, with the carbon atom to which they are attached, a substituted or unsubstituted (C.sub.4 -C.sub.7) cycloalkyl ring,

R.sub.3 represents

a substituted or unsubstituted phenylsulfonyl radical,

a linear or branched (C.sub.1 -C.sub.6) alkyl radical,

an alkylaminocarbonyl radical,

or a linear or branched (C.sub.1 -C.sub.6) acyl radical,

R.sub.4 represents any one of the radicals:

--CH.dbd.CH--(CH.sub.2).sub.p --CO.sub.2 H or --CH.sub.2 --CH.sub.2
--(CH.sub.2)p--CO.sub.2 H

in which p is equal to 0, 1, 2 or 3, n and m, which may be identical or different, represent 0, 1 or 2,

their isomers, enantiomers, diastereoisomers and epimers as well as their addition salts with a pharmaceutically acceptable acid or base.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AN 95:67331 USPATFULL

TI Alkenecarboxylic acid compounds

IN Lavielle, Gilbert, La Celle Saint Cloud, France

Hautefaye, Patrick, Servon Brie Comte Robert, France

Laubie, Michel, Vaucresson, France

Verbeuren, Tony, Vernouillet, France

PA Adir et Compagnie, Courbevoie, France (non-U.S. corporation)

PI US 5436343 19950725

AI US 1993-62080 19930514 (8)

PRAI FR 1992-5905 19920515

DT Utility

FS Granted

EXNAM Primary Examiner: Dees, Jose G.; Assistant Examiner: Jones, Dwayne C.

LREP Hueschen, Gordon W.

CLMN Number of Claims: 11

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 1202

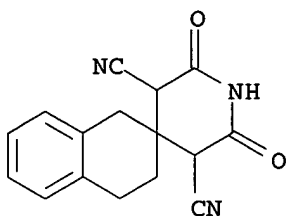
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 154678-95-2P

(prepn. and reaction of, in prepn. of aminoalkenecarboxylic acid
thromboxane A2 receptor antagonist)

RN 154678-95-2 USPATFULL

CN Spiro[naphthalene-2(1H),4'-piperidine]-3',5'-dicarbonitrile,
3,4-dihydro-2',6'-dioxo-, ammonium salt (9CI) (CA INDEX NAME)



● NH₃

L3 ANSWER 5 OF 6 USPATFULL

AB Spirocycles of general structural formula: ##STR1## are Class III antiarrhythmic agents.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AN 93:33493 USPATFULL

TI Nitrogen-containing spirocycles

IN Baldwin, John J., Gwynedd Valley, PA, United States

Elliott, Jason M., Blue Bell, PA, United States

Claremon, David A., Audubon, PA, United States

Ponticello, Gerald S., Lansdale, PA, United States

Remy, David C., North Wales, PA, United States

Selnick, Harold G., Ambler, PA, United States

PA Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)

PI US 5206240 19930427

AI US 1991-709686 19910603 (7)

RLI Continuation-in-part of Ser. No. US 1990-612091, filed on 16 Nov 1990, now abandoned which is a continuation-in-part of Ser. No. US 1989-447950, filed on 8 Dec 1989, now abandoned

DT Utility

FS Granted

EXNAM Primary Examiner: Brust, Joseph P.; Assistant Examiner: Haley, Jacqueline

LREP Daniel, Mark R., DiPrima, Joseph F.

CLMN Number of Claims: 4

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 8302

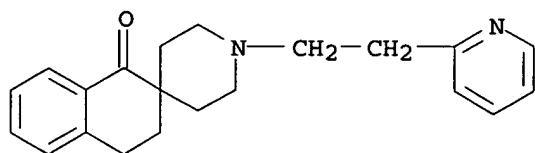
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 136081-76-0P

(prepn. of, as antiarrhythmic and cardiotonic)

RN 136081-76-0 USPATFULL

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 3,4-dihydro-1'-[2-(2-pyridinyl)ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

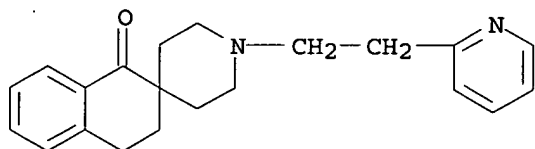
IT 136074-77-6P 136074-78-7P 136074-79-8P

136074-80-1P 136074-81-2P

(prepn. of, as class III antiarrhythmic and cardiotonic)

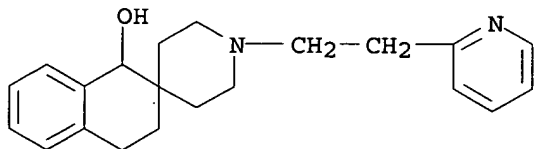
RN 136074-77-6 USPATFULL

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 3,4-dihydro-1'-[2-(2-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)



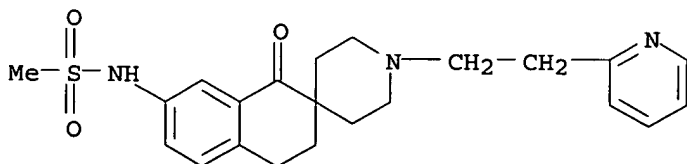
RN 136074-78-7 USPATFULL

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-ol, 3,4-dihydro-1'-[2-(2-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)



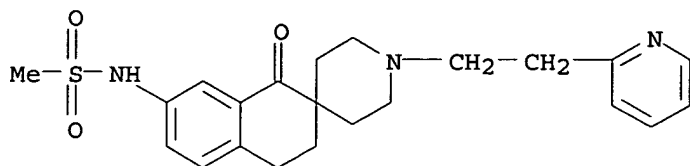
RN 136074-79-8 USPATFULL

CN Methanesulfonamide, N-[3,4-dihydro-1-oxo-1'-[2-(2-pyridinyl)ethyl]spiro[naphthalene-2(1H),4'-piperidin]-7-yl]- (9CI) (CA INDEX NAME)



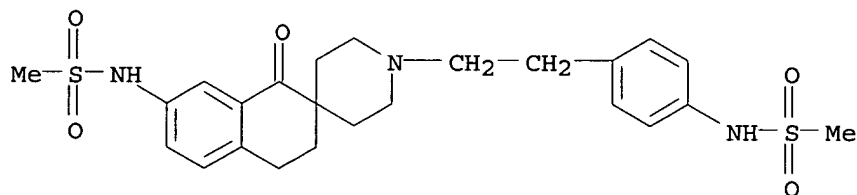
RN 136074-80-1 USPATFULL

CN Methanesulfonamide, N-[3,4-dihydro-1-oxo-1'-[2-(2-pyridinyl)ethyl]spiro[naphthalene-2(1H),4'-piperidin]-7-yl]-, dihydrochloride (9CI) (CA INDEX NAME)

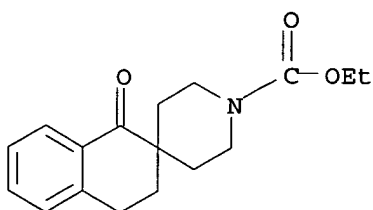


● 2 HCl

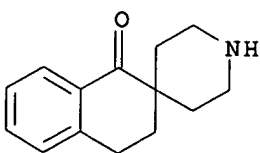
RN 136074-81-2 USPATFULL
 CN Methanesulfonamide, N-[4-[2-[3,4-dihydro-7-[(methylsulfonyl)amino]-1-oxospiro[naphthalene-2(1H),4'-piperidin]-1'-yl]ethyl]phenyl]- (9CI) (CA INDEX NAME)



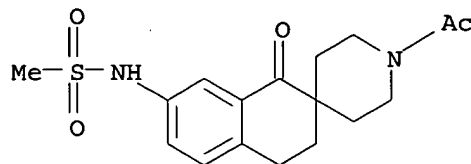
IT 136080-33-6P 136080-34-7P 136080-35-8P
 136080-36-9P 136112-40-8P
 (prepn. of, as intermediates for antiarrhythmic and cardiotonic)
 RN 136080-33-6 USPATFULL
 CN Spiro[naphthalene-2(1H),4'-piperidine]-1'-carboxylic acid, 3,4-dihydro-1-oxo-, ethyl ester (9CI) (CA INDEX NAME)



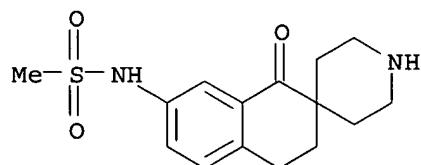
RN 136080-34-7 USPATFULL
 CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 3,4-dihydro- (9CI) (CA INDEX NAME)



RN 136080-35-8 USPATFULL
 CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 1'-acetyl-3,4-dihydro-7-
 [(methylsulfonyl)amino]- (9CI) (CA INDEX NAME)

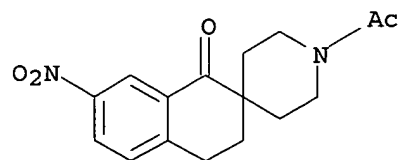


RN 136080-36-9 USPATFULL
 CN Methanesulfonamide, N-(3,4-dihydro-1-oxospiro[naphthalene-2(1H),4'-
 piperidin]-7-yl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 136112-40-8 USPATFULL
 CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 1'-acetyl-3,4-dihydro-7-nitro-
 (9CI) (CA INDEX NAME)

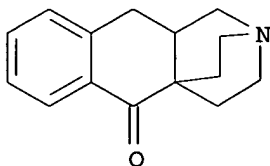


L3 ANSWER 6 OF 6 USPATFULL
 AB 2,4a-Ethanobenz[g]isoquinolin-5(1H)-one derivatives are described which
 are useful as analgesic and anti-fertility agents.

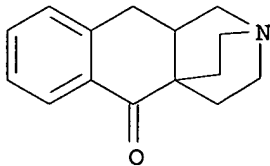
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AN 81:2388 USPATFULL
 TI 2,4a-Ethanobenz[g]isoquinolin-5(1H)-ones and their use as anti-fertility
 and analgesic agents
 IN Farr, Robert A., Cincinnati, OH, United States
 Dolfini, Joseph E., Cincinnati, OH, United States
 PA Richardson-Merrell Inc., Wilton, CT, United States (U.S. corporation)
 PI US 4244955 19810113
 AI US 1979-34357 19790430 (6)
 DT Utility

FS Granted
 EXNAM Primary Examiner: Daus, Donald G.; Assistant Examiner: Springer, D. B.
 LREP Stein, William J., Conte, Salvatore R.
 CLMN Number of Claims: 5
 ECL Exemplary Claim: 1,4,5
 DRWN No Drawings
 LN.CNT 467
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.
 IT 76448-01-6P 76448-02-7P
 (prepn. and pharmacol. activity of)
 RN 76448-01-6 USPATFULL
 CN 2,4a-Ethanobenz[g]isoquinolin-5(1H)-one, 3,4,10,10a-tetrahydro- (9CI) (CA
 INDEX NAME)



RN 76448-02-7 USPATFULL
 CN 2,4a-Ethanobenz[g]isoquinolin-5(1H)-one, 3,4,10,10a-tetrahydro-,
 hydrochloride (9CI) (CA INDEX NAME)



● HCl

=> file caplus
 COST IN U.S. DOLLARS
 FULL ESTIMATED COST

SINCE FILE	TOTAL
ENTRY	SESSION
39.40	180.31

FILE 'CAPLUS' ENTERED AT 16:44:43 ON 13 MAY 2002
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L1 STRUCTURE UPLOADED
L2 188 S L1 FUL

FILE 'USPATFULL, USPAT2' ENTERED AT 16:44:16 ON 13 MAY 2002

L3 6 S L2

FILE 'CAPLUS' ENTERED AT 16:44:43 ON 13 MAY 2002

=> s l2

L4 22 L2

=> s l4 not l3

22 L2

L5 0 L4 NOT L3

=> d l4 abs bib hitstr 1-22

L4 ANSWER 1 OF 22 CAPLUS COPYRIGHT 2002 ACS

AB On the basis of a spirocyclic ether screening lead, a series of acyclic sulfones have been identified as high-affinity, selective 5-HT_{2A} receptor antagonists. Bioavailability lacking in the parent, 1-(2-(2,4-difluorophenyl)ethyl)-4-(phenylsulfonyl)piperidine, was introduced by using stability toward rat liver microsomes as a predictor of bioavailability. By this means, the 4-cyano- and 4-carboxamidophenylsulfonyl derivs. were identified as orally bioavailable, brain-penetrant analogs suitable for evaluation in animal models. Bioavailability was also attainable by N substitution leading to the N-phenacyl deriv. IKr activity detected through counterscreening was reduced to insignificant levels in vivo with the latter compd.

AN 2001:918064 CAPLUS

DN 136:194114

TI 4-(Phenylsulfonyl)piperidines: Novel, Selective, and Bioavailable 5-HT_{2A} Receptor Antagonists

AU Fletcher, Stephen R.; Burkamp, Frank; Blurton, Peter; Cheng, Susan K. F.; Clarkson, Robert; O'Connor, Desmond; Spinks, Daniel; Tudge, Matthew; van Niel, Monique B.; Patel, Smita; Chapman, Kerry; Marwood, Rose; Shephard, Sara; Bentley, Graham; Cook, Gina P.; Bristow, Linda J.; Castro, Jose L.; Hutson, Peter H.; MacLeod, Angus M.

CS Merck Sharp and Dohme, The Neuroscience Research Centre, Harlow Essex, CM20 2QR, UK

SO Journal of Medicinal Chemistry (2002), 45(2), 492-503

CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

DT Journal

LA English

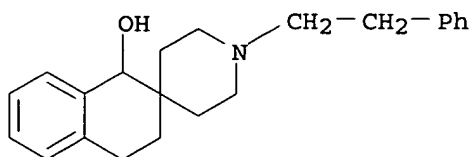
IT 400728-91-8

RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); BIOL (Biological study)

(prepn. and structure activity of 4-(phenylsulfonyl)piperidines as novel, selective, and bioavailable 5-HT_{2A} receptor antagonists)

RN 400728-91-8 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-ol, 3,4-dihydro-1'-(2-phenylethyl)-(9CI) (CA INDEX NAME)



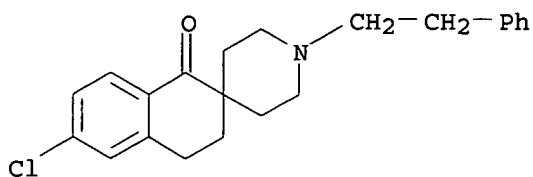
IT 152830-94-9P 400728-94-1P

RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and structure activity of 4-(phenylsulfonyl)piperidines as novel, selective, and bioavailable 5-HT_{2A} receptor antagonists)

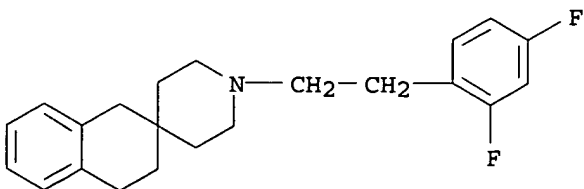
RN 152830-94-9 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 6-chloro-3,4-dihydro-1'-(2-phenylethyl)-(9CI) (CA INDEX NAME)



RN 400728-94-1 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidine], 1'-[2-(2,4-difluorophenyl)ethyl]-3,4-dihydro-, hydrochloride (9CI) (CA INDEX NAME)



HCl

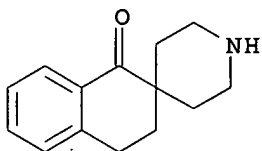
IT 136080-34-7

RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. and structure activity of 4-(phenylsulfonyl)piperidines as novel, selective, and bioavailable 5-HT_{2A} receptor antagonists)

RN 136080-34-7 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 3,4-dihydro- (9CI) (CA INDEX NAME)



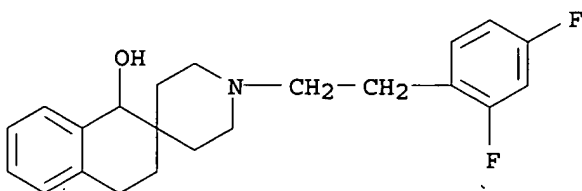
IT 400729-16-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and structure activity of 4-(phenylsulfonyl)piperidines as novel, selective, and bioavailable 5-HT_{2A} receptor antagonists)

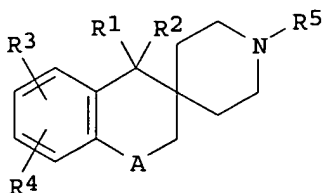
RN 400729-16-0 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-ol, 1'-[2-(2,4-difluorophenyl)ethyl]-3,4-dihydro- (9CI) (CA INDEX NAME)



RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 22 CAPLUS COPYRIGHT 2002 ACS
GI



I

AB The title compds. [I; R₁ = H, OH; R₂ = H, OH; or R₁ and R₂ together = O; A = a bond, CH₂, CH(CH₃), CH₂CH₂, C(CH₃)₂; R₃, R₄ = H, halo, alkyl, etc.; R₅ = H, alkyl, alkenyl, etc.] and their salts which are potent antagonists of neuronal Na channels, as well as prostaglandin E₂ (PGE₂) prodn., and therefore are particularly useful for treating, among other indications, neuropathic pain and other CNS disorders such as seizures, were prepd. and formulated. E.g., a multi-step synthesis of I [A = CH₂; R₁ and R₂ together = O; R₃, R₄ = H; R₅ = cyclopropylmethyl] which showed K_i of 876 nM against Na⁺ channel binding in [3H]BTX assay, was given.

AN 2000:881127 CAPLUS
 DN 134:42066
 TI Preparation of spiro[naphthalene-2(1H),4'-piperidine] compounds as analgesics
 IN Calvet, Alain; Jacobelli, Henri; Puaud, Jocelyne; Roman, Francois J.; Hamon, Jacques; Grouhel, Agnes
 PA Warner-Lambert Co., USA
 SO PCT Int. Appl., 59 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

Priority

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000075116	A2	20001214	WO 2000-EP5783	20000607
	W: AE, AG, AL, AU, BA, BB, BG, BR, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	BR 2000011427	A	20020326	BR 2000-11427	20000607
	NO 2001005966	A	20011206	NO 2001-5966	20011206
PRAI	US 1999-137868P	P	19990607		
	WO 2000-EP5783	W	20000607		

OS MARPAT 134:42066

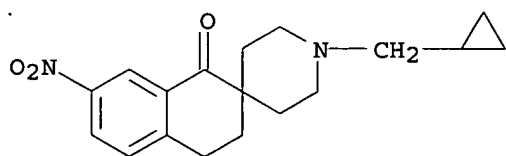
IT 312599-84-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of spiro[naphthalene-2(1H),4'-piperidine] compds. as analgesics)

RN 312599-84-1 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 1'-(cyclopropylmethyl)-3,4-dihydro-7-nitro- (9CI) (CA INDEX NAME)



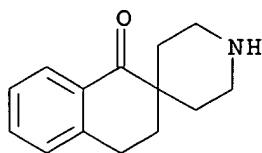
IT 136080-34-7P 152830-56-3P 152830-66-5P
 152830-86-9P 152830-89-2P 152830-94-9P
 205120-95-2P 312599-75-0P 312599-76-1P
 312599-77-2P 312599-78-3P 312599-79-4P
 312599-80-7P 312599-81-8P 312599-82-9P
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 312600-57-0P 312600-58-1P 312600-59-2P
 312600-60-5P 312600-61-6P 312600-62-7P
 312600-63-8P 312600-71-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of spiro[naphthalene-2(1H),4'-piperidine] compds. as analgesics)

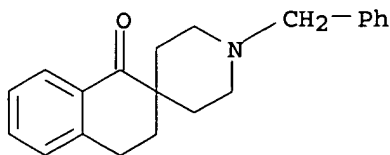
RN 136080-34-7 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 3,4-dihydro- (9CI) (CA INDEX NAME)



RN 152830-56-3 CAPLUS

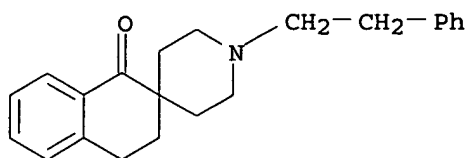
CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 3,4-dihydro-1'-(phenylmethyl)-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

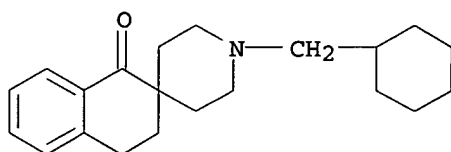
RN 152830-66-5 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 3,4-dihydro-1'-(2-phenylethyl)- (9CI) (CA INDEX NAME)



RN 152830-86-9 CAPLUS

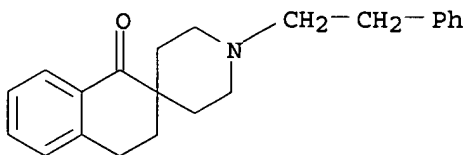
CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 1'-(cyclohexylmethyl)-3,4-dihydro-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 152830-89-2 CAPLUS

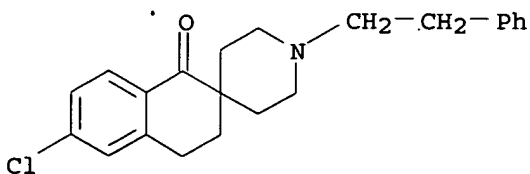
CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 3,4-dihydro-1'-(2-phenylethyl)-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

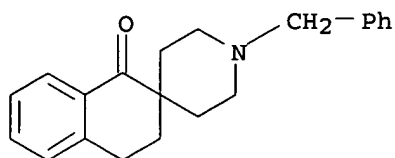
RN 152830-94-9 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 6-chloro-3,4-dihydro-1'-(2-phenylethyl)- (9CI) (CA INDEX NAME)



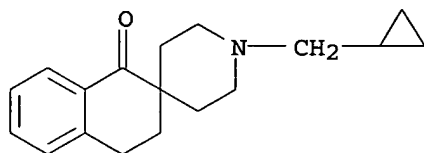
RN 205120-95-2 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 3,4-dihydro-1'-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 312599-75-0 CAPLUS

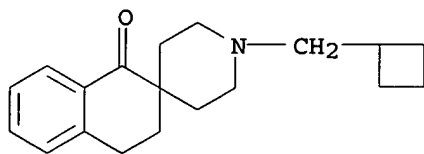
CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 1'-(cyclopropylmethyl)-3,4-dihydro-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 312599-76-1 CAPLUS

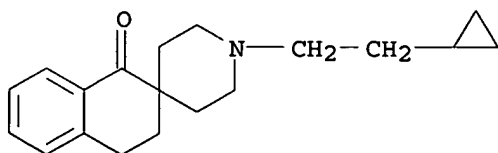
CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 1'-(2-cyclopropylethyl)-3,4-dihydro-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 312599-77-2 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 1'-(2-cyclopropylethyl)-3,4-dihydro-, hydrochloride (9CI) (CA INDEX NAME)

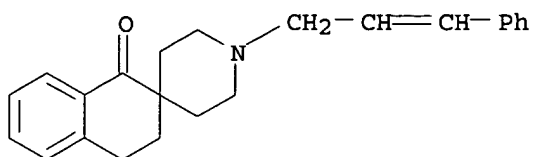


● HCl

9980965.trn10/01/2003

RN 312599-78-3 CAPLUS

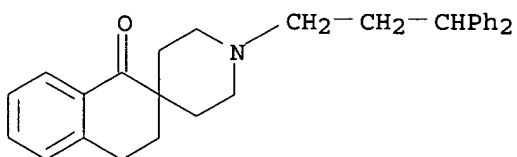
CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 3,4-dihydro-1'-(3-phenyl-2-propenyl)-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 312599-79-4 CAPLUS

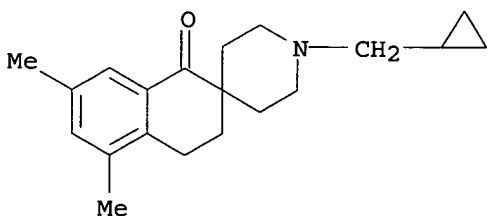
CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 1'-(3,3-diphenylpropyl)-3,4-dihydro-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 312599-80-7 CAPLUS

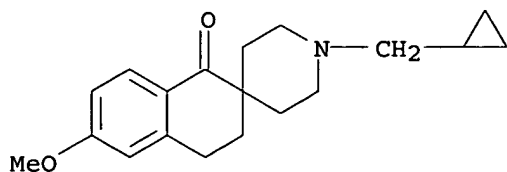
CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 1'-(cyclopropylmethyl)-3,4-dihydro-5,7-dimethyl-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

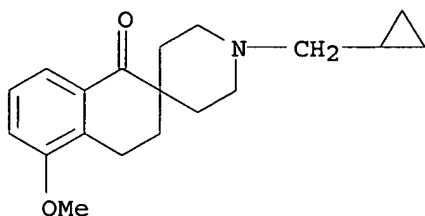
RN 312599-81-8 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 1'-(cyclopropylmethyl)-3,4-dihydro-6-methoxy-, hydrochloride (9CI) (CA INDEX NAME)



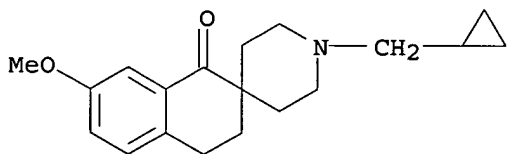
● HCl

RN 312599-82-9 CAPLUS
CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 1'-(cyclopropylmethyl)-3,4-dihydro-5-methoxy-, hydrochloride (9CI) (CA INDEX NAME)



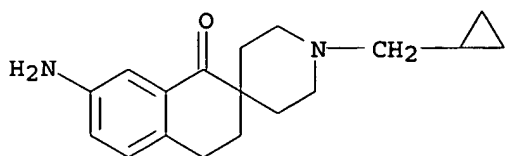
● HCl

RN 312599-83-0 CAPLUS
CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 1'-(cyclopropylmethyl)-3,4-dihydro-7-methoxy-, hydrochloride (9CI) (CA INDEX NAME)



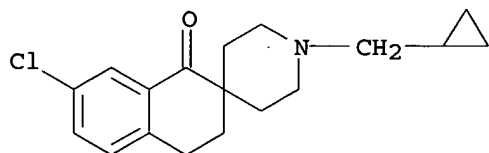
● HCl

RN 312599-85-2 CAPLUS
CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 7-amino-1'-(cyclopropylmethyl)-3,4-dihydro- (9CI) (CA INDEX NAME)



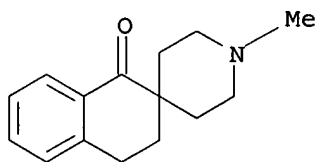
RN 312599-86-3 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 7-chloro-1'-(cyclopropylmethyl)-3,4-dihydro- (9CI) (CA INDEX NAME)



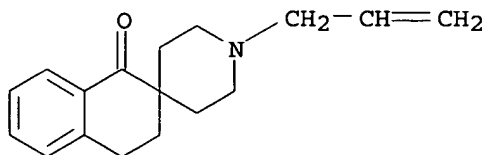
RN 312599-87-4 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 3,4-dihydro-1'-methyl- (9CI) (CA INDEX NAME)



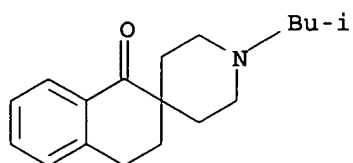
RN 312599-88-5 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 3,4-dihydro-1'-(2-propenyl)- (9CI) (CA INDEX NAME)



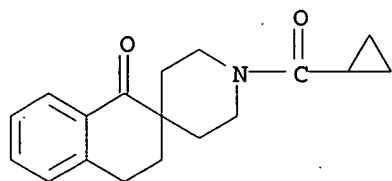
RN 312599-89-6 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 3,4-dihydro-1'-(2-methylpropyl)-, hydrochloride (9CI) (CA INDEX NAME)

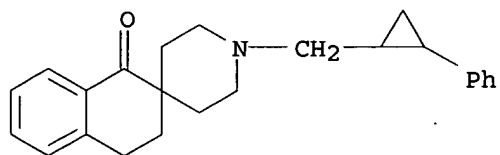


● HCl

RN 312599-90-9 CAPLUS
CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 1'-(cyclopropylcarbonyl)-3,4-dihydro- (9CI) (CA INDEX NAME)

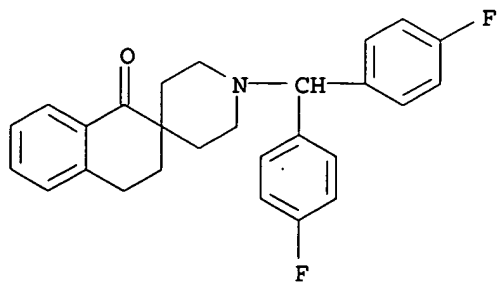


RN 312599-91-0 CAPLUS
CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 3,4-dihydro-1'-[(2-phenylcyclopropyl)methyl]-, hydrochloride (9CI) (CA INDEX NAME)



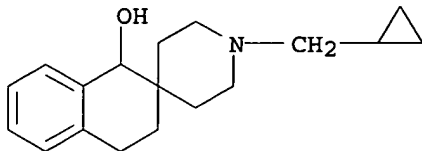
● HCl

RN 312599-92-1 CAPLUS
CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 1'-[bis(4-fluorophenyl)methyl]-3,4-dihydro- (9CI) (CA INDEX NAME)



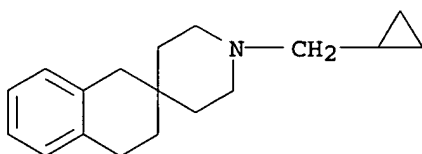
RN 312599-93-2 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-ol, 1'-(cyclopropylmethyl)-3,4-dihydro- (9CI) (CA INDEX NAME)



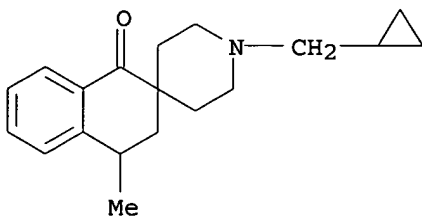
RN 312599-94-3 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidine], 1'-(cyclopropylmethyl)-3,4-dihydro- (9CI) (CA INDEX NAME)



RN 312599-97-6 CAPLUS

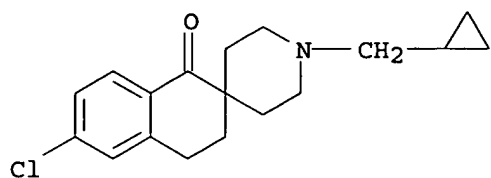
CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 1'-(cyclopropylmethyl)-3,4-dihydro-4-methyl-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

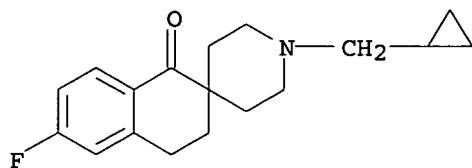
RN 312599-98-7 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 6-chloro-1'-(cyclopropylmethyl)-3,4-dihydro-, hydrochloride (9CI) (CA INDEX NAME)



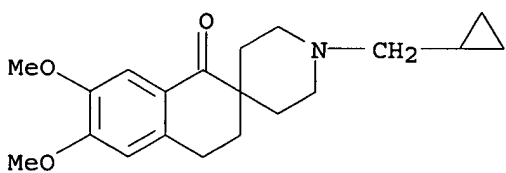
● HCl

RN 312599-99-8 CAPLUS
CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 1'-(cyclopropylmethyl)-6-fluoro-3,4-dihydro-, hydrochloride (9CI) (CA INDEX NAME)



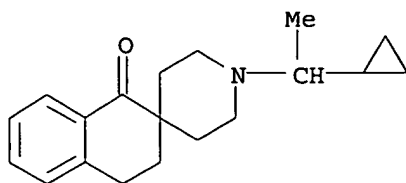
● HCl

RN 312600-00-3 CAPLUS
CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 1'-(cyclopropylmethyl)-3,4-dihydro-6,7-dimethoxy-, hydrochloride (9CI) (CA INDEX NAME)



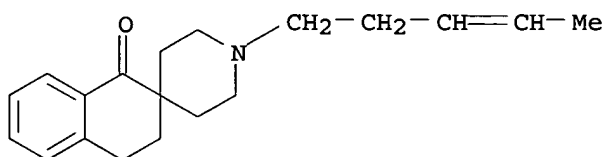
● HCl

RN 312600-01-4 CAPLUS
CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 1'-(1-cyclopropylethyl)-3,4-dihydro-, hydrochloride (9CI) (CA INDEX NAME)



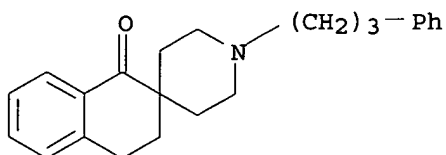
● HCl

RN 312600-02-5 CAPLUS
CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 3,4-dihydro-1'-(3-pentenyl)-, hydrochloride (9CI) (CA INDEX NAME)



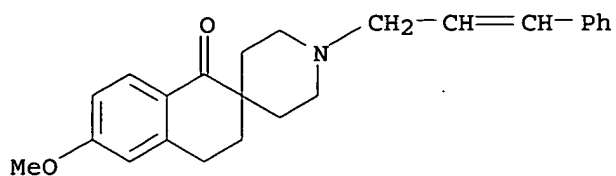
● HCl

RN 312600-03-6 CAPLUS
CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 3,4-dihydro-1'-(3-phenylpropyl)-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

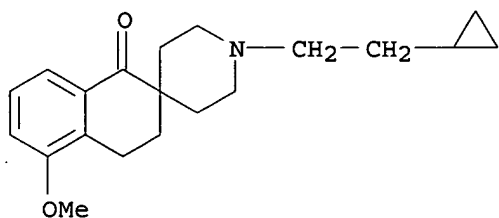
RN 312600-04-7 CAPLUS
CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 3,4-dihydro-6-methoxy-1'-(3-phenyl-2-propenyl)-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

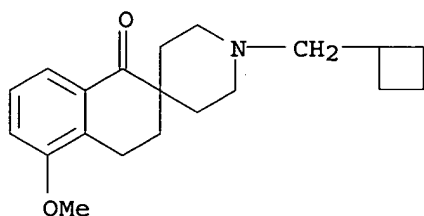
RN 312600-05-8 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 1'-(2-cyclopropylethyl)-3,4-dihydro-5-methoxy- (9CI) (CA INDEX NAME)



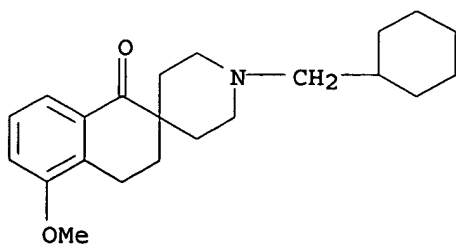
RN 312600-06-9 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 1'-(cyclobutylmethyl)-3,4-dihydro-5-methoxy- (9CI) (CA INDEX NAME)



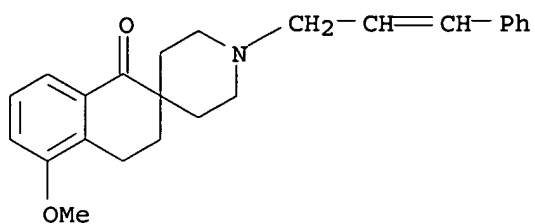
RN 312600-07-0 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 1'-(cyclohexylmethyl)-3,4-dihydro-5-methoxy- (9CI) (CA INDEX NAME)



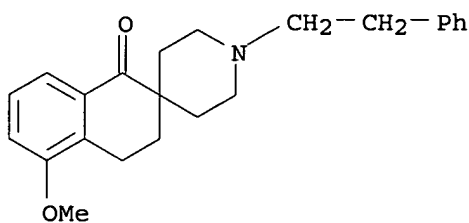
RN 312600-08-1 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 3,4-dihydro-5-methoxy-1'-(3-phenyl-2-propenyl)- (9CI) (CA INDEX NAME)



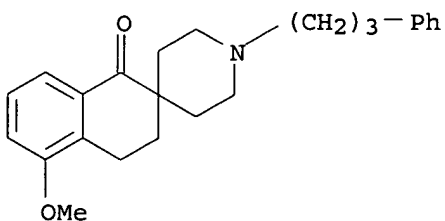
RN 312600-09-2 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 3,4-dihydro-5-methoxy-1'-(2-phenylethyl)- (9CI) (CA INDEX NAME)



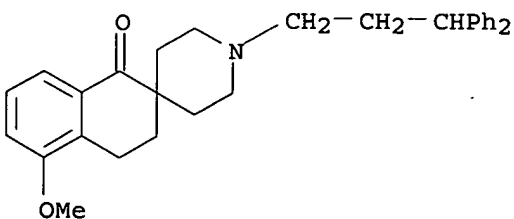
RN 312600-10-5 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 3,4-dihydro-5-methoxy-1'-(3-phenylpropyl)- (9CI) (CA INDEX NAME)



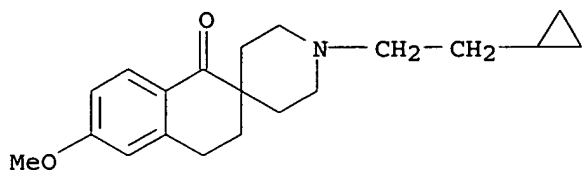
RN 312600-11-6 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 1'-(3,3-diphenylpropyl)-3,4-dihydro-5-methoxy- (9CI) (CA INDEX NAME)



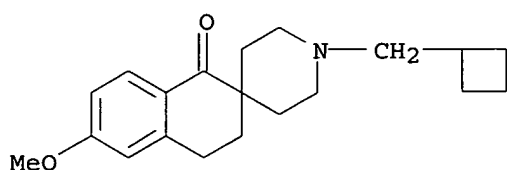
RN 312600-12-7 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 1'-(2-cyclopropylethyl)-3,4-dihydro-6-methoxy- (9CI) (CA INDEX NAME)



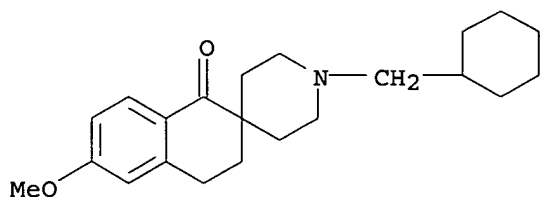
RN 312600-13-8 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 1'-(cyclobutylmethyl)-3,4-dihydro-6-methoxy- (9CI) (CA INDEX NAME)



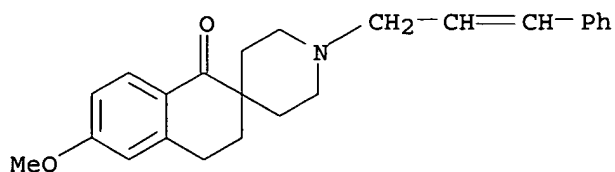
RN 312600-14-9 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 1'-(cyclohexylmethyl)-3,4-dihydro-6-methoxy- (9CI) (CA INDEX NAME)



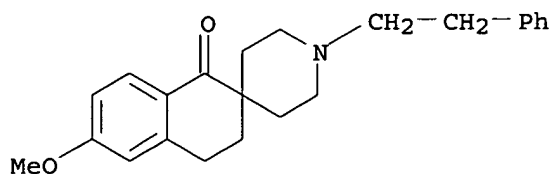
RN 312600-15-0 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 3,4-dihydro-6-methoxy-1'-(3-phenyl-2-propenyl)- (9CI) (CA INDEX NAME)



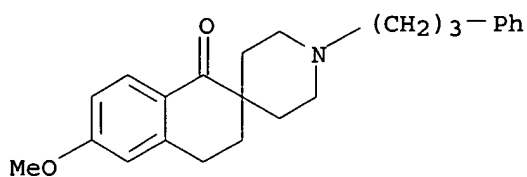
RN 312600-16-1 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 3,4-dihydro-6-methoxy-1'-(2-phenylethyl)- (9CI) (CA INDEX NAME)



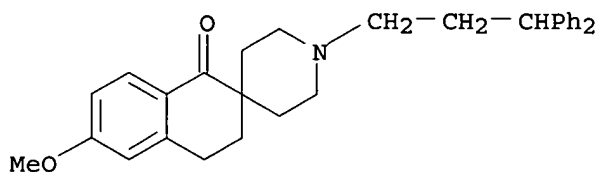
RN 312600-17-2 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 3,4-dihydro-6-methoxy-1'-(3-phenylpropyl)- (9CI) (CA INDEX NAME)



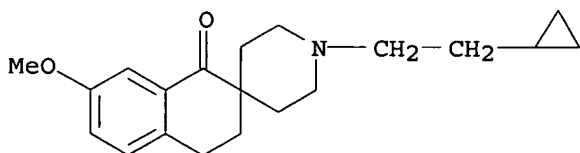
RN 312600-18-3 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 1'-(3,3-diphenylpropyl)-3,4-dihydro-6-methoxy- (9CI) (CA INDEX NAME)



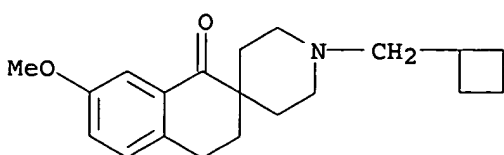
RN 312600-19-4 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 1'-(2-cyclopropylethyl)-3,4-dihydro-7-methoxy- (9CI) (CA INDEX NAME)



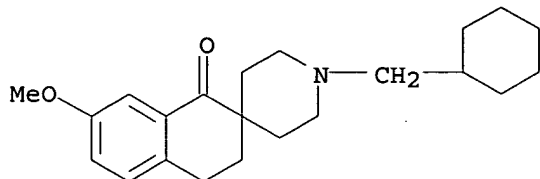
RN 312600-20-7 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 1'-(cyclobutylmethyl)-3,4-dihydro-7-methoxy- (9CI) (CA INDEX NAME)



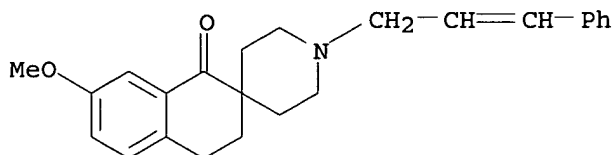
RN 312600-21-8 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 1'-(cyclohexylmethyl)-3,4-dihydro-7-methoxy- (9CI) (CA INDEX NAME)



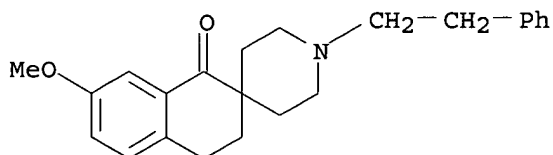
RN 312600-22-9 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 3,4-dihydro-7-methoxy-1'-(3-phenyl-2-propenyl)- (9CI) (CA INDEX NAME)



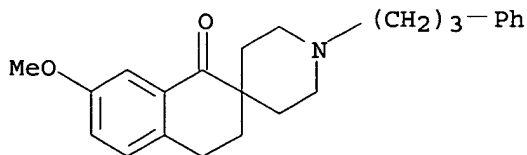
RN 312600-23-0 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 3,4-dihydro-7-methoxy-1'-(2-phenylethyl)- (9CI) (CA INDEX NAME)



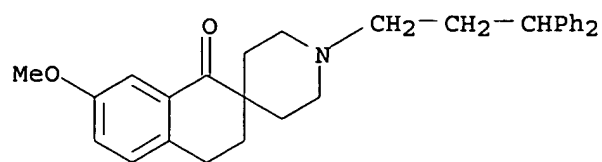
RN 312600-24-1 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 3,4-dihydro-7-methoxy-1'-(3-phenylpropyl)- (9CI) (CA INDEX NAME)



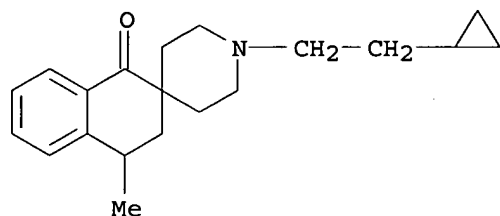
RN 312600-25-2 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 1'-(3,3-diphenylpropyl)-3,4-dihydro-7-methoxy- (9CI) (CA INDEX NAME)



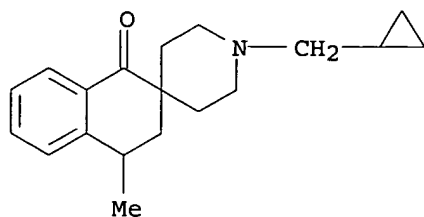
RN 312600-26-3 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 1'-(2-cyclopropylethyl)-3,4-dihydro-4-methyl- (9CI) (CA INDEX NAME)



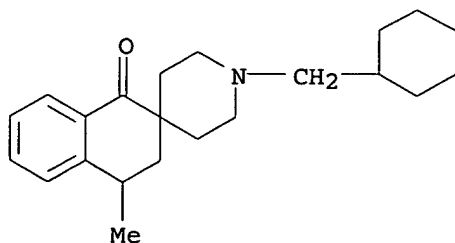
RN 312600-27-4 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 1'-(cyclopropylmethyl)-3,4-dihydro-4-methyl- (9CI) (CA INDEX NAME)



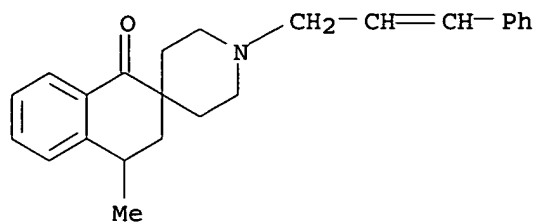
RN 312600-28-5 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 1'-(cyclohexylmethyl)-3,4-dihydro-4-methyl- (9CI) (CA INDEX NAME)



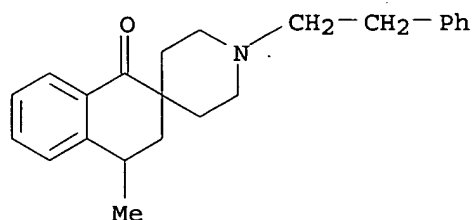
RN 312600-29-6 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 3,4-dihydro-4-methyl-1'-(3-phenyl-2-propenyl)- (9CI) (CA INDEX NAME)



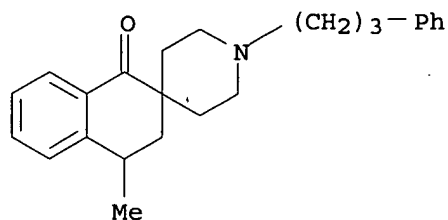
RN 312600-30-9 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 3,4-dihydro-4-methyl-1'-(2-phenylethyl)- (9CI) (CA INDEX NAME)



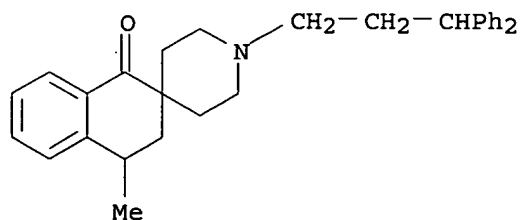
RN 312600-31-0 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 3,4-dihydro-4-methyl-1'-(3-phenylpropyl)- (9CI) (CA INDEX NAME)



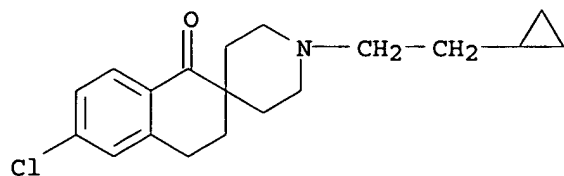
RN 312600-32-1 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 1'-(3,3-diphenylpropyl)-3,4-dihydro-4-methyl- (9CI) (CA INDEX NAME)



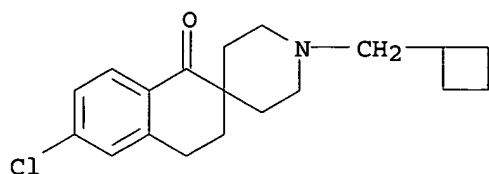
RN 312600-33-2 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 6-chloro-1'-(2-cyclopropylethyl)-3,4-dihydro- (9CI) (CA INDEX NAME)



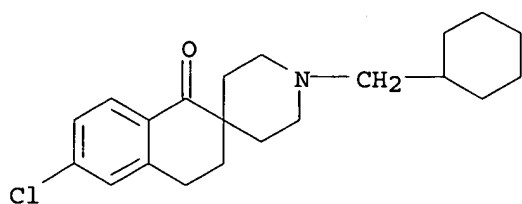
RN 312600-34-3 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 6-chloro-1'-(cyclobutylmethyl)-3,4-dihydro- (9CI) (CA INDEX NAME)



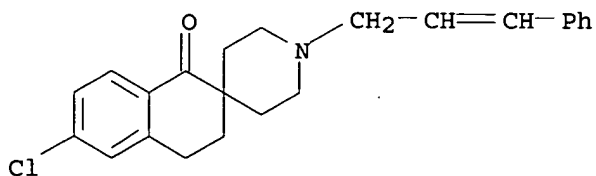
RN 312600-35-4 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 6-chloro-1'-(cyclohexylmethyl)-3,4-dihydro- (9CI) (CA INDEX NAME)



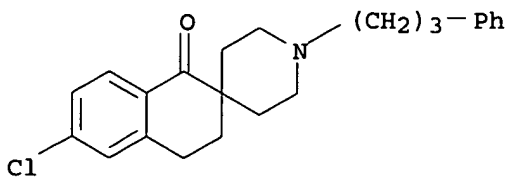
RN 312600-36-5 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 6-chloro-3,4-dihydro-1'-(3-phenyl-2-propenyl)- (9CI) (CA INDEX NAME)



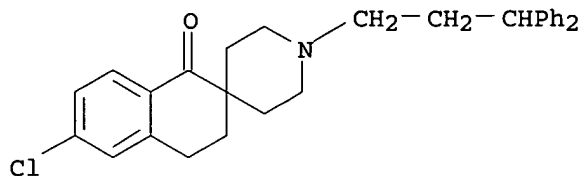
RN 312600-37-6 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 6-chloro-3,4-dihydro-1'-(3-phenylpropyl)- (9CI) (CA INDEX NAME)



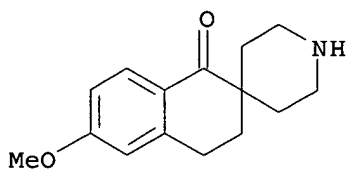
RN 312600-38-7 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 6-chloro-1'-(3,3-diphenylpropyl)-3,4-dihydro- (9CI) (CA INDEX NAME)



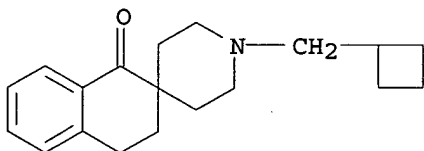
RN 312600-39-8 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 3,4-dihydro-6-methoxy- (9CI) (CA INDEX NAME)



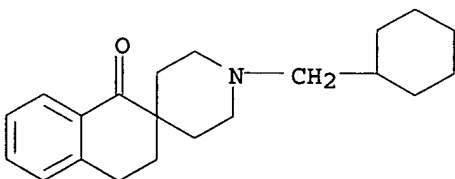
RN 312600-40-1 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 1'-(cyclobutylmethyl)-3,4-dihydro- (9CI) (CA INDEX NAME)



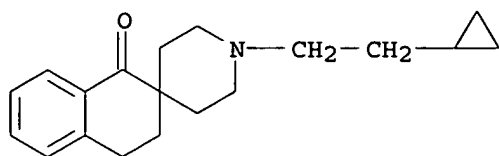
RN 312600-41-2 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 1'-(cyclohexylmethyl)-3,4-dihydro- (9CI) (CA INDEX NAME)



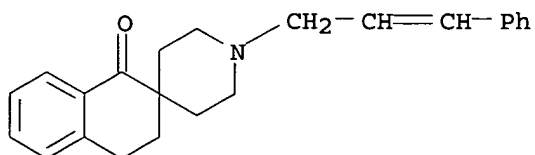
RN 312600-42-3 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 1'-(2-cyclopropylethyl)-3,4-dihydro- (9CI) (CA INDEX NAME)



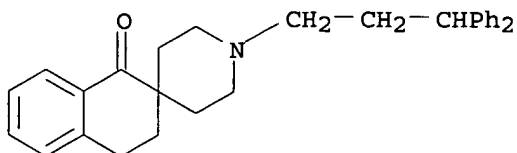
RN 312600-43-4 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 3,4-dihydro-1'-(3-phenyl-2-propenyl)- (9CI) (CA INDEX NAME)



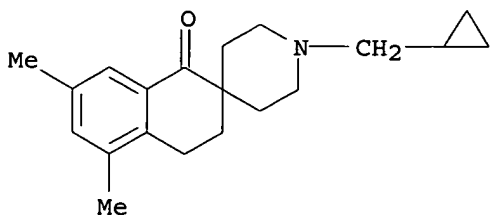
RN 312600-44-5 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 1'-(3,3-diphenylpropyl)-3,4-dihydro- (9CI) (CA INDEX NAME)



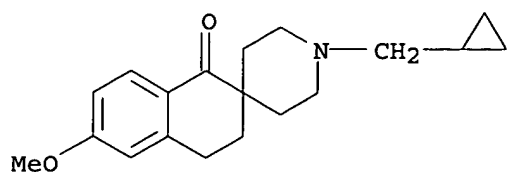
RN 312600-45-6 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 1'-(cyclopropylmethyl)-3,4-dihydro-5,7-dimethyl- (9CI) (CA INDEX NAME)



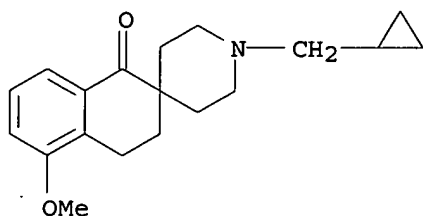
RN 312600-46-7 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 1'-(cyclopropylmethyl)-3,4-dihydro-6-methoxy- (9CI) (CA INDEX NAME)



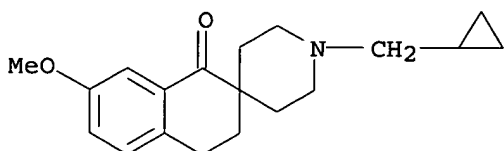
RN 312600-47-8 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 1'-(cyclopropylmethyl)-3,4-dihydro-5-methoxy- (9CI) (CA INDEX NAME)



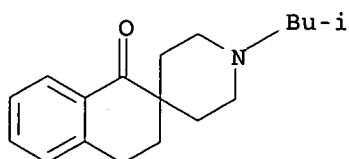
RN 312600-48-9 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 1'-(cyclopropylmethyl)-3,4-dihydro-7-methoxy- (9CI) (CA INDEX NAME)



RN 312600-49-0 CAPLUS

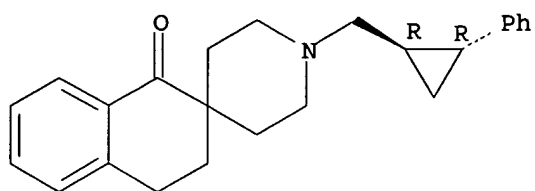
CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 3,4-dihydro-1'-(2-methylpropyl)- (9CI) (CA INDEX NAME)



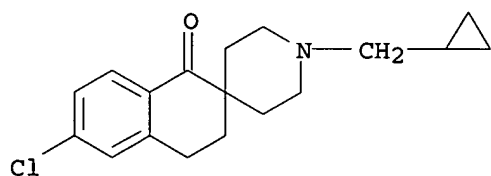
RN 312600-50-3 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 3,4-dihydro-1'-[(1R,2R)-2-phenylcyclopropylmethyl]-, rel- (9CI) (CA INDEX NAME)

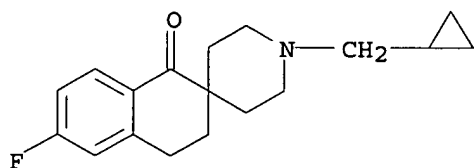
Relative stereochemistry.



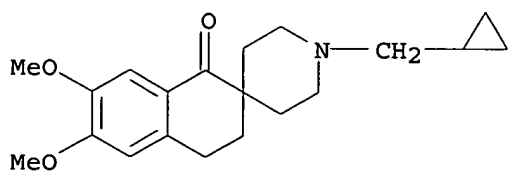
RN 312600-53-6 CAPLUS
 CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 6-chloro-1'-(cyclopropylmethyl)-3,4-dihydro- (9CI) (CA INDEX NAME)



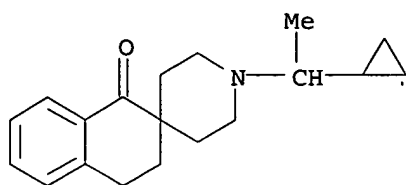
RN 312600-54-7 CAPLUS
 CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 1'-(cyclopropylmethyl)-6-fluoro-3,4-dihydro- (9CI) (CA INDEX NAME)



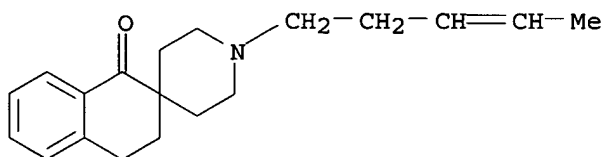
RN 312600-55-8 CAPLUS
 CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 1'-(cyclopropylmethyl)-3,4-dihydro-6,7-dimethoxy- (9CI) (CA INDEX NAME)



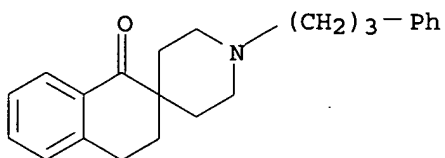
RN 312600-56-9 CAPLUS
 CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 1'-(1-cyclopropylethyl)-3,4-dihydro- (9CI) (CA INDEX NAME)



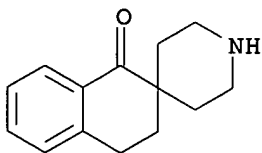
RN 312600-57-0 CAPLUS
CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 3,4-dihydro-1'-(3-pentenyl)-
(9CI) (CA INDEX NAME)



RN 312600-58-1 CAPLUS
CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 3,4-dihydro-1'-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

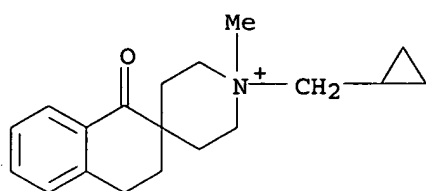


RN 312600-59-2 CAPLUS
CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 3,4-dihydro-, hydrochloride
(9CI) (CA INDEX NAME)



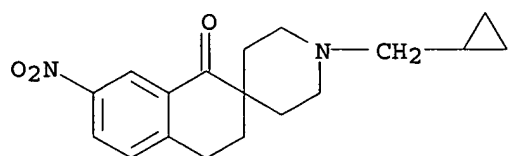
● HCl

RN 312600-60-5 CAPLUS
CN Spiro[naphthalene-2(1H),4'-piperidinium], 1'-(cyclopropylmethyl)-3,4-dihydro-1'-methyl-1-oxo-, iodide (9CI) (CA INDEX NAME)



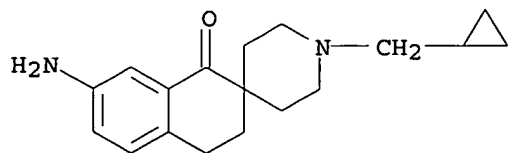
● I⁻

RN 312600-61-6 CAPLUS
CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 1'-(cyclopropylmethyl)-3,4-dihydro-7-nitro-, monohydrochloride (9CI) (CA INDEX NAME)



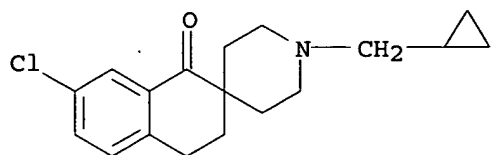
● HCl

RN 312600-62-7 CAPLUS
CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 7-amino-1'-(cyclopropylmethyl)-3,4-dihydro-, hydrochloride (9CI) (CA INDEX NAME)



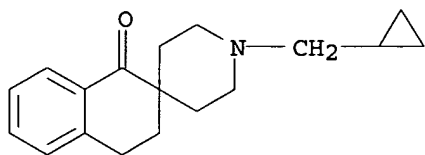
●x HCl

RN 312600-63-8 CAPLUS
CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 7-chloro-1'-(cyclopropylmethyl)-3,4-dihydro-, hydrochloride (9CI) (CA INDEX NAME)



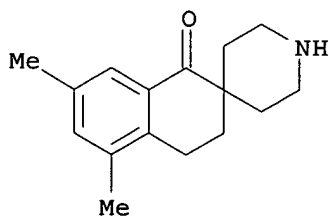
● HCl

RN 312600-71-8 CAPLUS
 CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 1'-(cyclopropylmethyl)-3,4-dihydro- (9CI) (CA INDEX NAME)



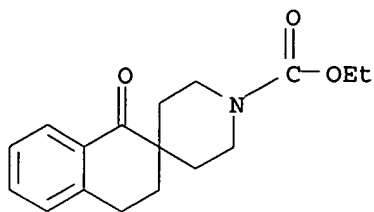
IT 312600-70-7
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (prepn. of spiro[naphthalene-2(1H),4'-piperidine] compds. as analgesics)

RN 312600-70-7 CAPLUS
 CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 3,4-dihydro-5,7-dimethyl- (9CI) (CA INDEX NAME)

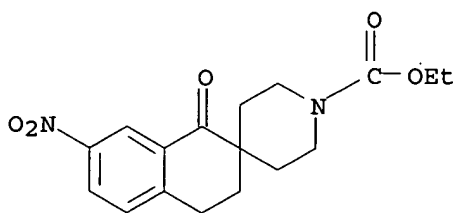


IT 136080-33-6P 312600-64-9P 312600-65-0P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. of spiro[naphthalene-2(1H),4'-piperidine] compds. as analgesics)

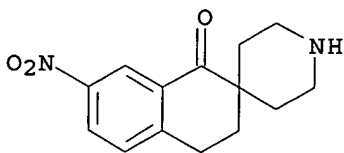
RN 136080-33-6 CAPLUS
 CN Spiro[naphthalene-2(1H),4'-piperidine]-1'-carboxylic acid, 3,4-dihydro-1-oxo-, ethyl ester (9CI) (CA INDEX NAME)



RN 312600-64-9 CAPLUS
 CN Spiro[naphthalene-2(1H),4'-piperidine]-1'-carboxylic acid,
 3,4-dihydro-7-nitro-1-oxo-, ethyl ester (9CI) (CA INDEX NAME)



RN 312600-65-0 CAPLUS
 CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 3,4-dihydro-7-nitro- (9CI)
 (CA INDEX NAME)



L4 ANSWER 3 OF 22 CAPLUS COPYRIGHT 2002 ACS
 AB An electrochem. and EPR study is reported on two isomeric C60 derivs.
 contg. a covalently linked free radical TEMPO: a fulleroid (5-6 fusion
 with opening of the 5-6 bond) and a methanofullerene (6-6 fusion). In
 line with other C60-based nitroxide derivs., the latter one gives a stable
 biradical anion upon one-electron redn., and a metastable excited quartet
 state by visible light photoexcitation. Both species have characteristic
 EPR spectra. For the fulleroid deriv., the first redn. step is not chem.
 reversible and no excited state EPR signal is obsd. after LASER
 excitation. Electrochem. and spectroelectrochem. techniques indicate that
 fulleroid to methanofullerene conversion takes place by photoexcitation
 and, more interesting, upon injection of a single electron, contrary to
 the cases of other fulleroids so far reported.
 AN 1999:780845 CAPLUS
 DN 132:107631
 TI Tempo-C61: An Unusual Example of Fulleroid to Methanofullerene Conversion
 AU Ceroni, Paola; Conti, Fosca; Corvaja, Carlo; Maggini, Michele; Paolucci,
 Francesco; Roffia, Sergio; Scorrano, Gianfranco; Toffoletti, Antonio
 CS Dipartimento di Chimica G. Ciamician, Universita di Bologna, Bologna,
 40126, Italy

SO Journal of Physical Chemistry A (2000), 104(1), 156-163

CODEN: JPCAFH; ISSN: 1089-5639

PB American Chemical Society

DT Journal

LA English

IT 169477-24-1

RL: PEP (Physical, engineering or chemical process); PRP (Properties); RCT (Reactant); PROC (Process); RACT (Reactant or reagent)

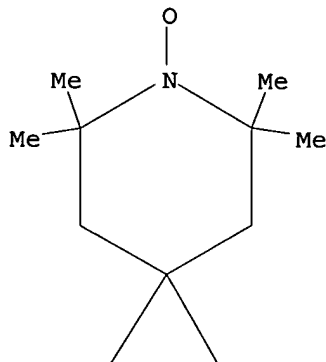
(photochem. and electrochem. fulleroid .fwdarw. methanofullerene isomerization of a spiro-fused fullerene-TEMPO nitroxide)

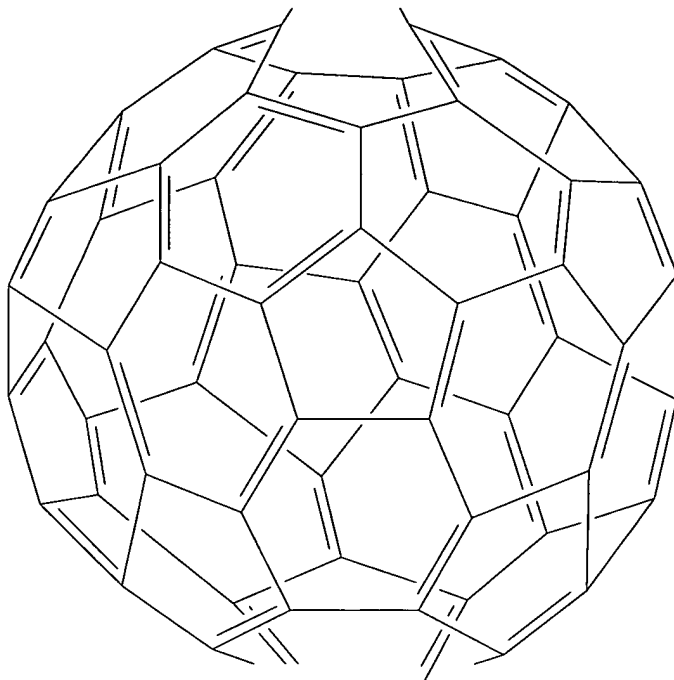
RN 169477-24-1 CAPLUS

CN Spiro[1,2(2a)-homo[5,6]fullerene-C60-1h-2a,4'-piperidin]-1'-yloxy, 2',2',6',6'-tetramethyl- (9CI) (CA INDEX NAME)

No.

PAGE 1-A





RE.CNT 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 22 CAPLUS COPYRIGHT 2002 ACS

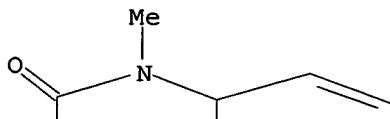
AB We have studied the reactivity of N,O-ketene N-1,3-butadienyl-N-alkyl-O-silyl acetals (Z)-RCH:CH(OSiMe₂CMe₃)N(R₁)CH:CHCH:CH₂ (R = Me₂CH, PhCH₂, 9-anthracenylmethyl, 4-MeOC₆H₄CH₂; R₁ = Me, 4-MeOC₆H₄, H₂C:CH) (I) with C₆₀ proceeding through a tandem process to give tricyclic octahydroquinolinyl fullerene adducts. Deprotonation of the tricyclic octahydroquinolinyl fullerene adducts with sodium hydride and addn. of alkylating agents such as Me iodide, allyl bromide, or benzyl bromide yield adducts alkylated on the fullerene. The addn. order of these tandem reactions has been evaluated. The initial nucleophilic Michael-like addn. of I proceeds unusually fast at 25.degree.C, followed by an intramolecularly accelerated Diels - Alder step that is highly diastereoselective. The structures of the tricyclic octahydroquinolinyl fullerene adducts were detd. from the 1H and 13C NMR shifts and from H - H coupling patterns, while their stereochem. was deduced from 2D T-ROESY NMR expts. The proposed mechanism for the nucleophilic addn. involves single electron transfer followed by radical anion - radical cation recombination. Computational investigations of the reaction pathways, transition states, and conformational energies have been carried out to corroborate the exptl. data.

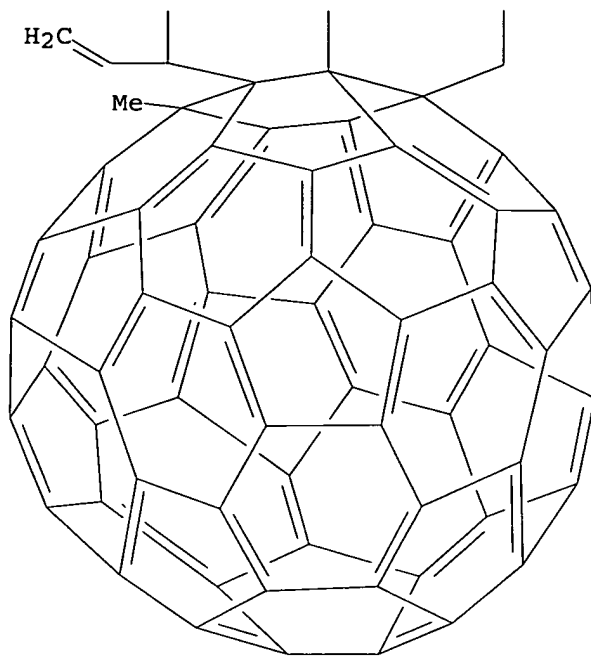
AN 1999:735295 CAPLUS

DN 132:137266

TI Tandem nucleophilic addition/Diels - Alder reaction of N-butadienyl
 N,O-Ketene silyl acetals with C60: stereoselective formation of bicyclic
 octahydroquinolino-1,2,3,4-tetrahydrobuckminsterfullerenes and combined
 NMR spectroscopic and computational evaluation of the functionalization
 reactions
 AU Rubin, Yves; Ganapathi, Padma S.; Franz, Andreas; An, Yi-Zhong; Qian,
 Wenyuan; Neier, Reinhard
 CS Department of Chemistry and Biochemistry, University of California. Los
 Angeles, Los Angeles, CA, 90095-1569, USA
 SO Chemistry--A European Journal (1999), 5(11), 3162-3184
 CODEN: CEUJED; ISSN: 0947-6539
 PB Wiley-VCH Verlag GmbH
 DT Journal
 LA English
 OS CASREACT 132:137266
 IT **256652-81-0**
 RL: PRP (Properties); RCT (Reactant); RACT (Reactant or reagent)
 (calcd. structures and energies for a Cope rearrangement of
 octahydroquinolinyl fullerene derivs.)
 RN 256652-81-0 CAPLUS
 CN 1'H,12H-[5,6]Fullereno-C60-1h-[2,1,9-de]quinolin-2'(3'H)-one,
 3'-ethenyl-6',8'a-dihydro-1',12-dimethyl-, stereoisomer (9CI) (CA INDEX
 NAME)

PAGE 1-A





IT 256652-53-6 256652-54-7 256652-55-8
 256652-56-9 256652-57-0 256652-58-1
 256652-61-6 256652-62-7 256652-63-8

RL: PRP (Properties)

(calcd. structures for regioisomeric products in electrophilic addns.
 to octahydroquinolinyl fullerene anions)

RN 256652-53-6 CAPLUS

CN 1'H,10H-[5,6]Fullereno-C60-Ih-[2,1,9-de]quinolin-2'(3'H)-one,
 6',8'a-dihydro-1',3'-dimethyl-, stereoisomer (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 256652-54-7 CAPLUS

CN 1'H,10H-[5,6]Fullereno-C60-Ih-[2,1,9-de]quinolin-2'(3'H)-one,
 6',8'a-dihydro-1',3',10-trimethyl-, stereoisomer (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 256652-55-8 CAPLUS

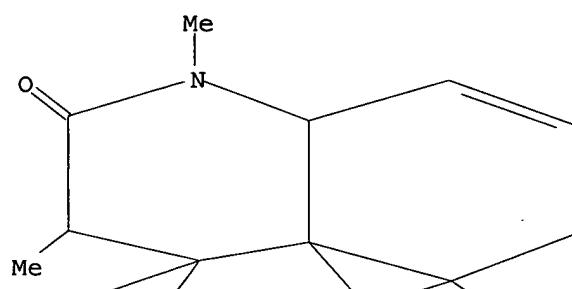
CN 1'H,10H-[5,6]Fullereno-C60-Ih-[2,1,9-de]quinolin-2'(3'H)-one,
 6',8'a-dihydro-1',3'-dimethyl-10-(trimethylsilyl)-, stereoisomer (9CI)
 (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

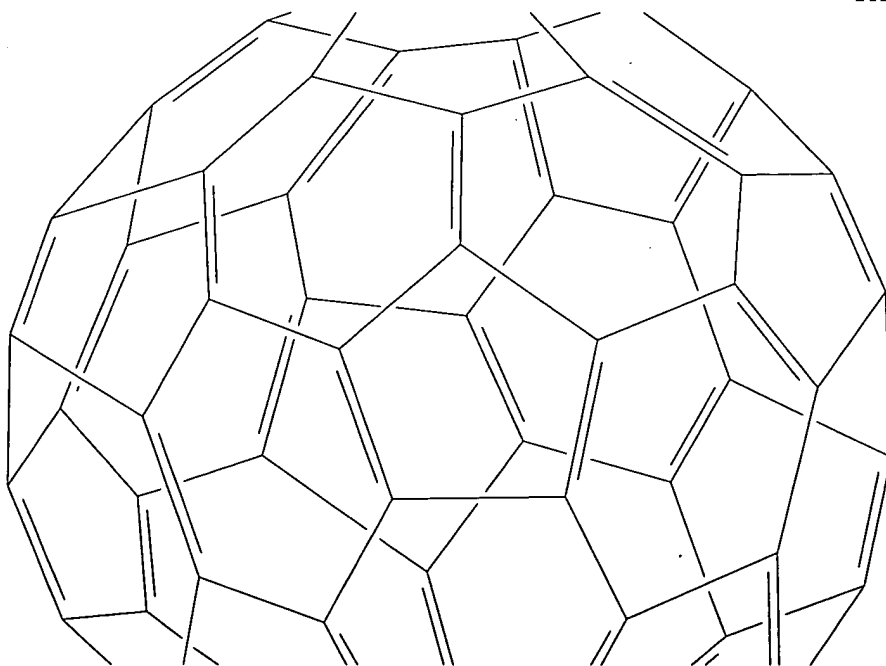
RN 256652-56-9 CAPLUS

CN 1'H,3H-[5,6]Fullereno-C60-Ih-[2,1,9-de]quinolin-2'(3'H)-one,
 6',8'a-dihydro-1',3'-dimethyl-, stereoisomer (9CI) (CA INDEX NAME)

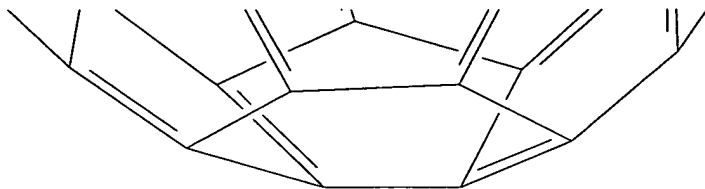
PAGE 1-A



PAGE 2-A

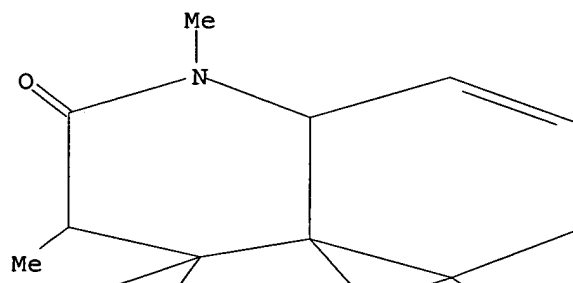


PAGE 3-A

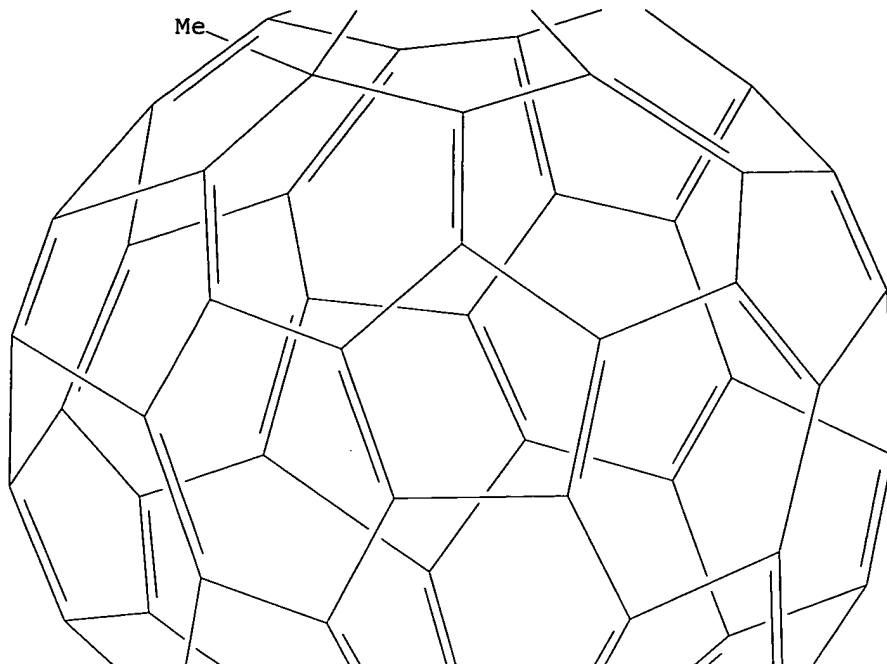


RN 256652-57-0 CAPLUS
 CN 1'H,3H-[5,6]Fullereno-C60-1h-[2,1,9-de]quinolin-2'(3'H)-one,
 6',8'a-dihydro-1',3,3'-trimethyl-, stereoisomer (9CI) (CA INDEX NAME)

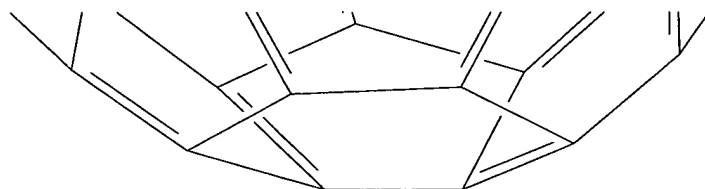
PAGE 1-A



PAGE 2-A

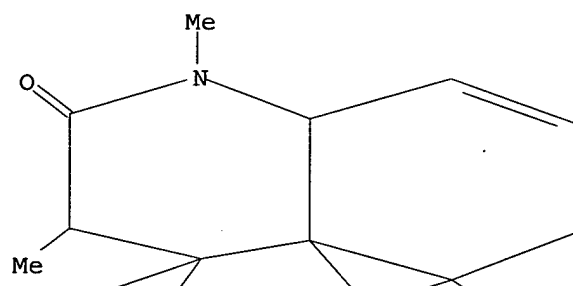


PAGE 3-A

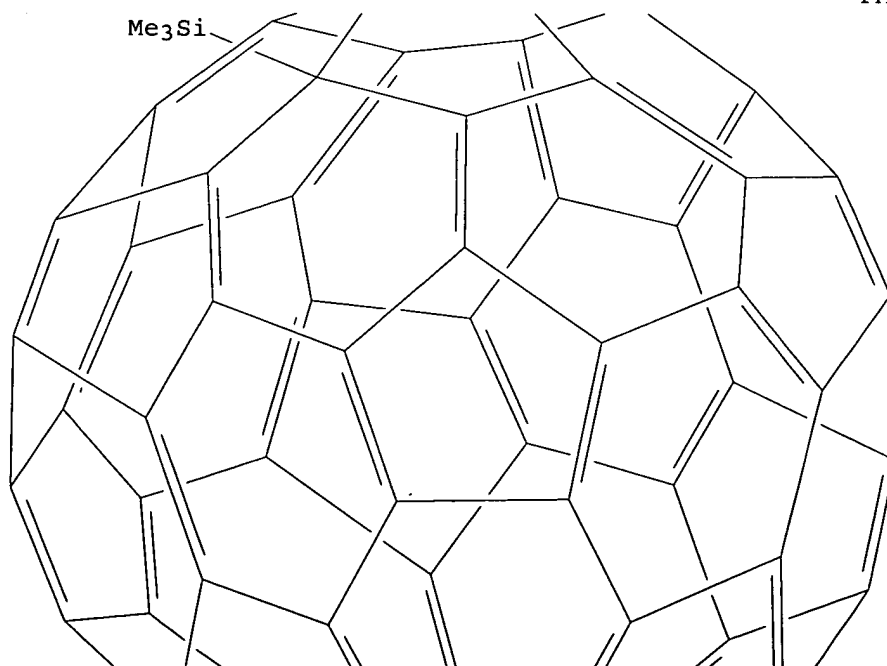


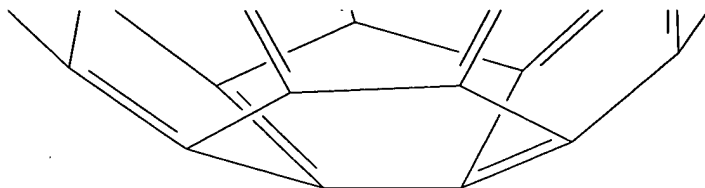
RN 256652-58-1 CAPLUS
 CN 1'H,3H-[5,6]Fullereno-C60-Ih-[2,1,9-de]quinolin-2'(3'H)-one,
 6',8'a-dihydro-1',3'-dimethyl-3-(trimethylsilyl)-, stereoisomer (9CI) (CA
 INDEX NAME)

PAGE 1-A



PAGE 2-A





RN 256652-61-6 CAPLUS
 CN 1'H,27H-[5,6]Fullereno-C60-Ih-[2,1,9-de]quinolin-2'(3'H)-one,
 6',8'a-dihydro-1',3'-dimethyl-, stereoisomer (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 256652-62-7 CAPLUS
 CN 1'H,27H-[5,6]Fullereno-C60-Ih-[2,1,9-de]quinolin-2'(3'H)-one,
 6',8'a-dihydro-1',3',27-trimethyl-, stereoisomer (9CI) (CA INDEX NAME)

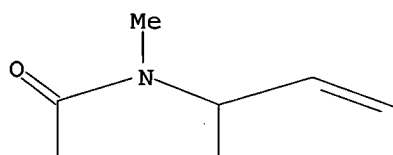
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 256652-63-8 CAPLUS
 CN 1'H,27H-[5,6]Fullereno-C60-Ih-[2,1,9-de]quinolin-2'(3'H)-one,
 6',8'a-dihydro-1',3'-dimethyl-27-(trimethylsilyl)-, stereoisomer (9CI)
 (CA INDEX NAME)

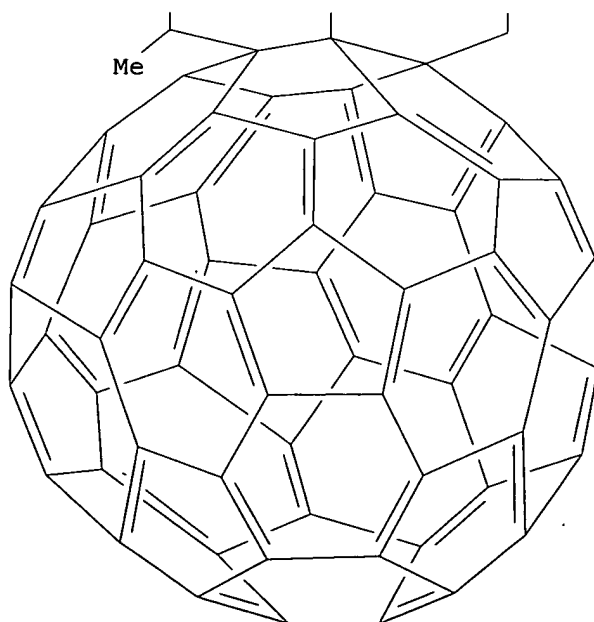
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

IT 256652-40-1 256652-42-3 256652-44-5
 RL: PRP (Properties)
 (calcd. structures for regioisomeric products in the regioselective
 electrophilic addn. of electrophiles to octahydroquinolinyl fullerene
 anions)
 RN 256652-40-1 CAPLUS
 CN 1'H,12H-[5,6]Fullereno-C60-Ih-[2,1,9-de]quinolin-2'(3'H)-one,
 6',8'a-dihydro-1',3'-dimethyl-, stereoisomer (9CI) (CA INDEX NAME)

PAGE 1-A

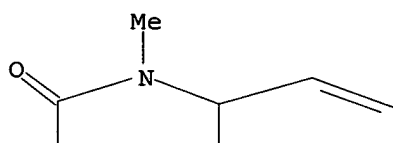


PAGE 2-A

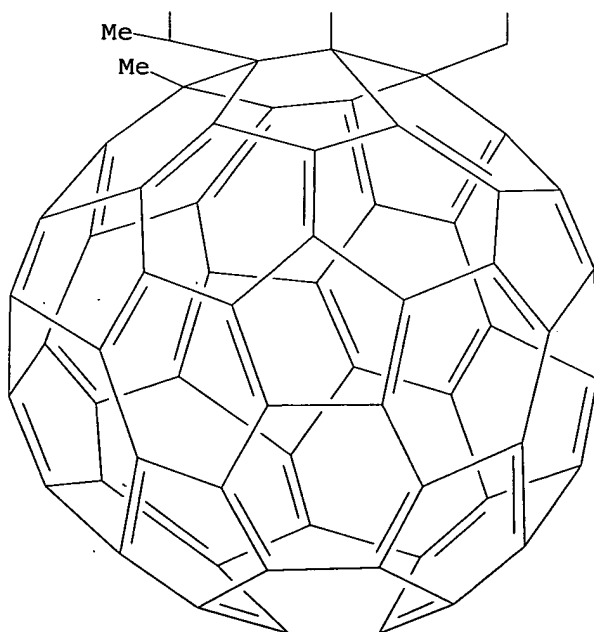


RN 256652-42-3 CAPLUS
CN 1'H,12H-[5,6]Fullereno-C60-Ih-[2,1,9-de]quinolin-2'(3'H)-one,
6',8'a-dihydro-1',3',12-trimethyl-, stereoisomer (9CI) (CA INDEX NAME)

PAGE 1-A

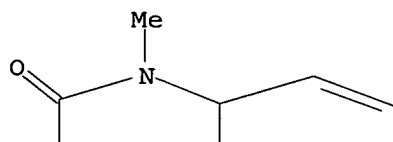


PAGE 2-A

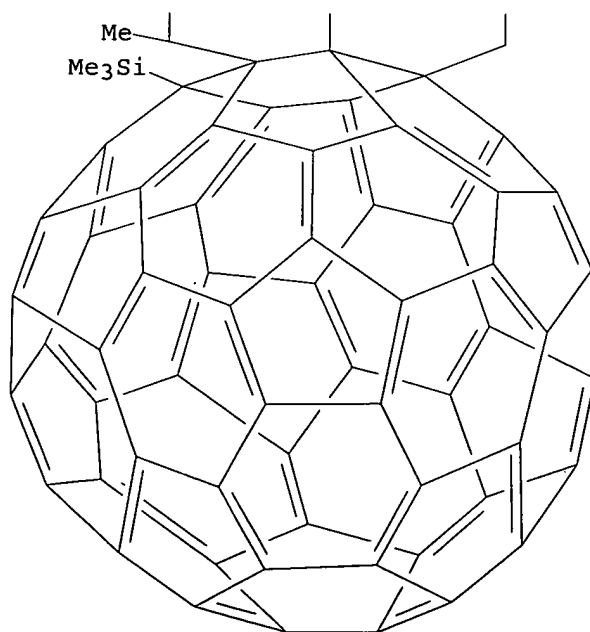


RN 256652-44-5 CAPLUS
 CN 1'H,12H-[5,6]Fullereno-C60-Ih-[2,1,9-de]quinolin-2'(3'H)-one,
 6',8'a-dihydro-1',3'-dimethyl-12-(trimethylsilyl)-, stereoisomer (9CI)
 (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



IT 256652-52-5

RL: PRP (Properties)

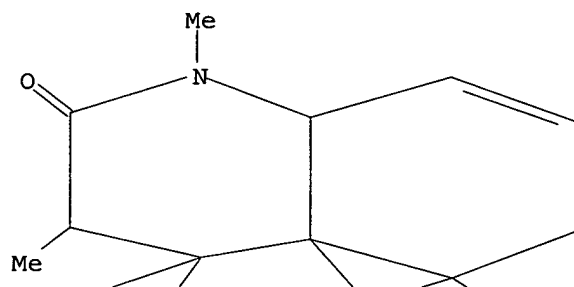
(calcd. structures for the fullerene anion intermediate in the

regioselective electrophilic addn. of electrophiles to
octahydroquinolinyl fullerene anions)

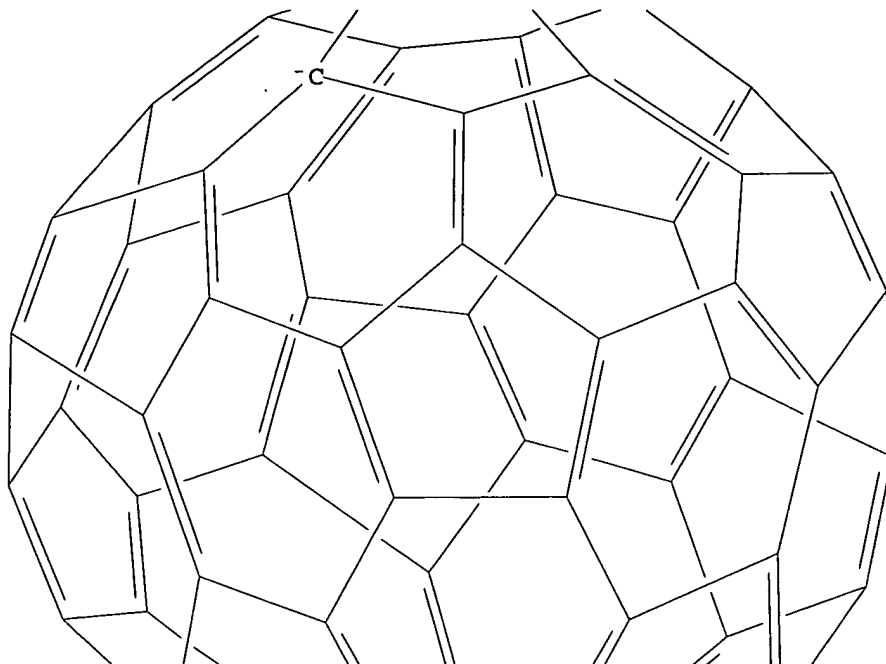
RN 256652-52-5 CAPLUS

CN 1'H,3H-[5,6]Fullereno-C60-1h-[2,1,9-de]quinolin-2'(3'H)-one,
6',8'a-dihydro-1',3'-dimethyl-, ion(1-), stereoisomer (9CI) (CA INDEX
NAME)

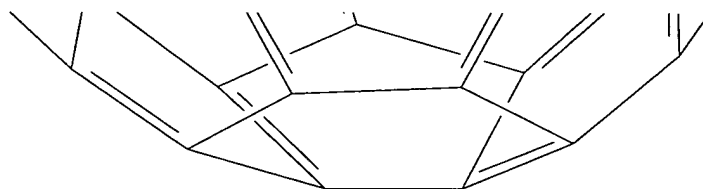
PAGE 1-A



PAGE 2-A



PAGE 3-A



IT 183507-62-2 256654-57-6 256654-58-7

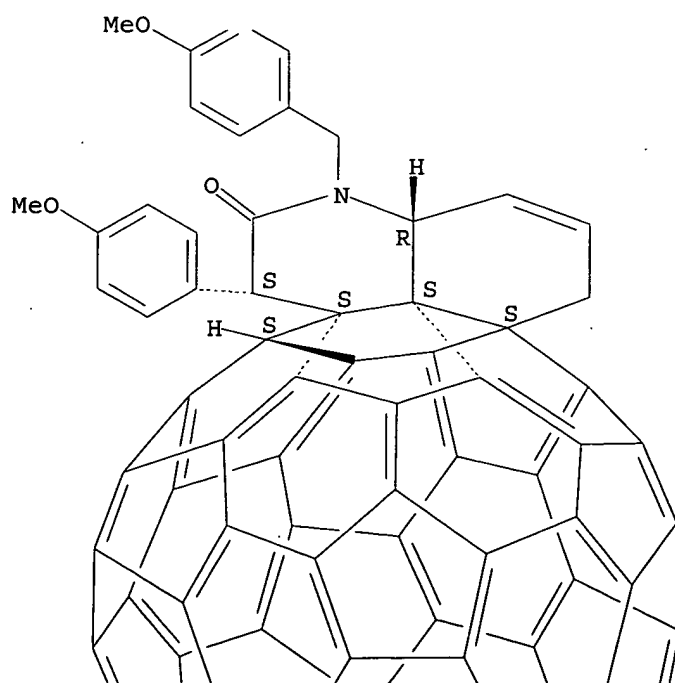
RL: PRP (Properties)

(calcd. structures of intermediates and influences on stereoselectivity
in the Diels-Alder cycloaddn. of a diene tethered to C60)

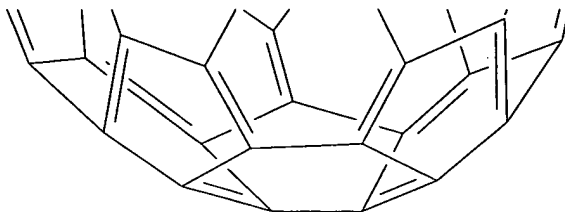
RN 183507-62-2 CAPLUS

CN 1'H,12H-[5,6]Fullereno-C60-1h-[2,1,9-de]quinolin-2'(3'H)-one,
6',8'a-dihydro-3'-(4-methoxyphenyl)-1'-[(4-methoxyphenyl)methyl]-,
stereoisomer (9CI) (CA INDEX NAME)

Relative stereochemistry.

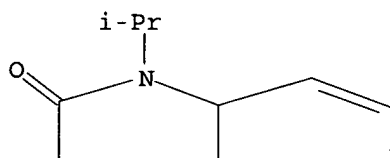


PAGE 3-A

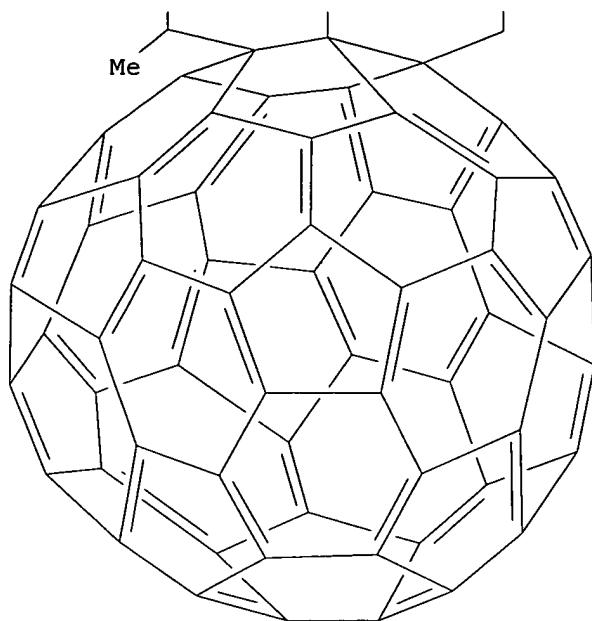


RN 256654-57-6 CAPLUS
CN 1'H,12H-[5,6]Fullereno-C60-Ih-[2,1,9-de]quinolin-2'(3'H)-one,
6',8'a-dihydro-3'-methyl-1'-(1-methylethyl)-, stereoisomer (9CI) (CA
INDEX NAME)

PAGE 1-A

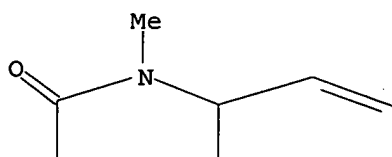


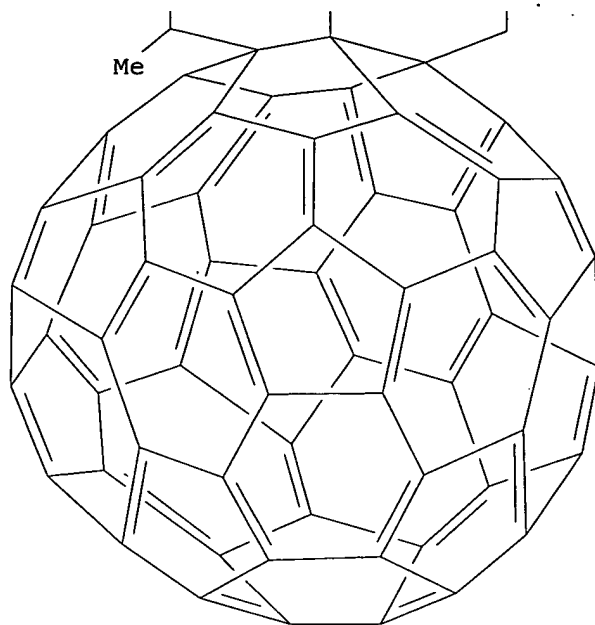
PAGE 2-A



RN 256654-58-7 CAPLUS
 CN 1'H,12H-[5,6]Fullereno-C60-Ih-[2,1,9-de]quinolin-2'(3'H)-one,
 6',8'a-dihydro-1',3'-dimethyl-, stereoisomer (9CI) (CA INDEX NAME)

PAGE 1-A





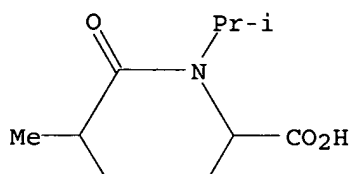
IT 256652-82-1P

RL: PNU (Preparation, unclassified); PREP (Preparation)
(failed prepn. of a fullerene dipeptide mimetic by ozonolysis of the
cyclohexene double bond of an octahydroquinolinyl fullerene)

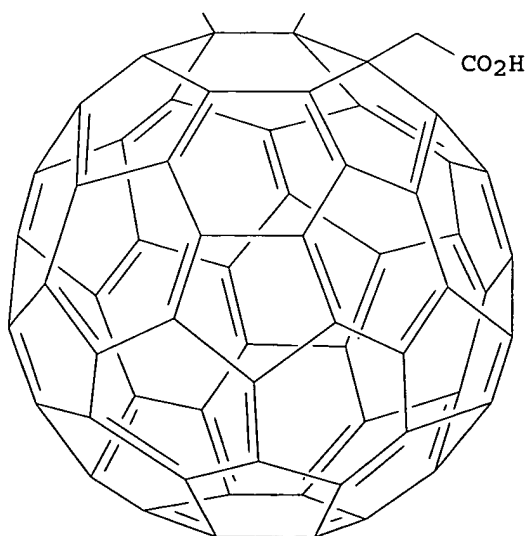
RN 256652-82-1 CAPLUS

CN [5,6]Fullereno-C60-Ih-[1,2-c]pyridine-9(12H)-acetic acid,
2'-carboxy-1',2',5',6'-tetrahydro-5'-methyl-1'-(1-methylethyl)-6'-oxo-
(9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

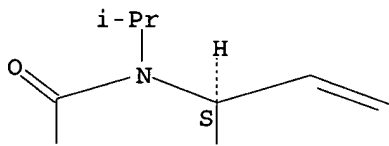


IT 183311-48-0P 183311-52-6P
 RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP
 (Preparation); RACT (Reactant or reagent)
 (prepn. of fullerene derivs. by addn. and intramol. Diels-Alder
 cycloaddn. of N,O-silyl ketene acetals to C60)
 RN 183311-48-0 CAPLUS
 CN 1'H,12H-[5,6]Fullereno-C60-1h-[2,1,9-de]quinolin-2'(3'H)-one,

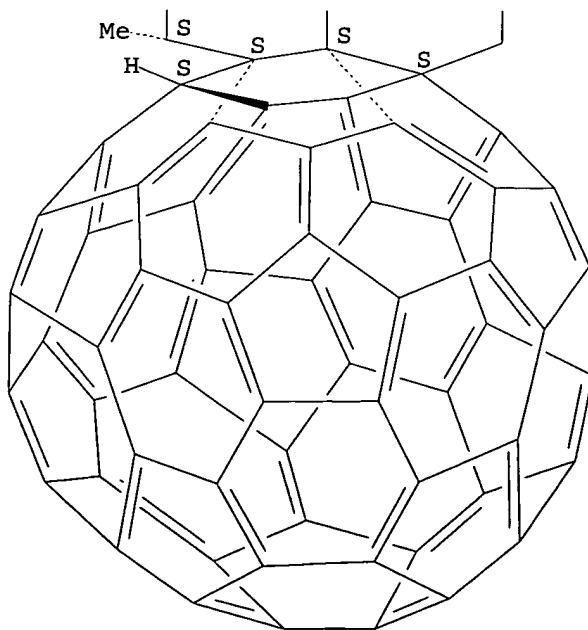
6',8'a-dihydro-3'-methyl-1'-(1-methylethyl)-, stereoisomer (9CI) (CA
INDEX NAME)

Relative stereochemistry.

PAGE 1-A



PAGE 2-A



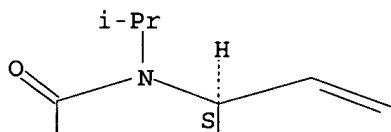
9980965.trn10/01/2003

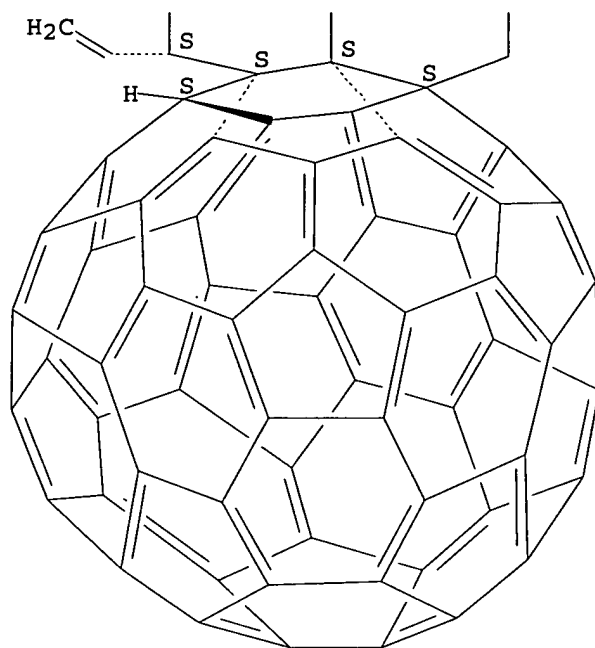
RN 183311-52-6 CAPLUS

CN 1'H,12H-[5,6]Fullereno-C60-1h-[2,1,9-de]quinolin-2'(3'H)-one,
3'-ethenyl-6',8'a-dihydro-1'-(1-methylethyl)-, stereoisomer (9CI) (CA
INDEX NAME)

Relative stereochemistry.

PAGE 1-A





IT 183311-49-1P 183311-50-4P 183311-51-5P
 183507-61-1P 256652-24-1P

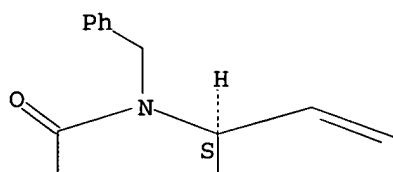
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of fullerene derivs. by addn. and intramol. Diels-Alder
 cycloaddn. of N,O-silyl ketene acetals to C60)

RN 183311-49-1 CAPLUS

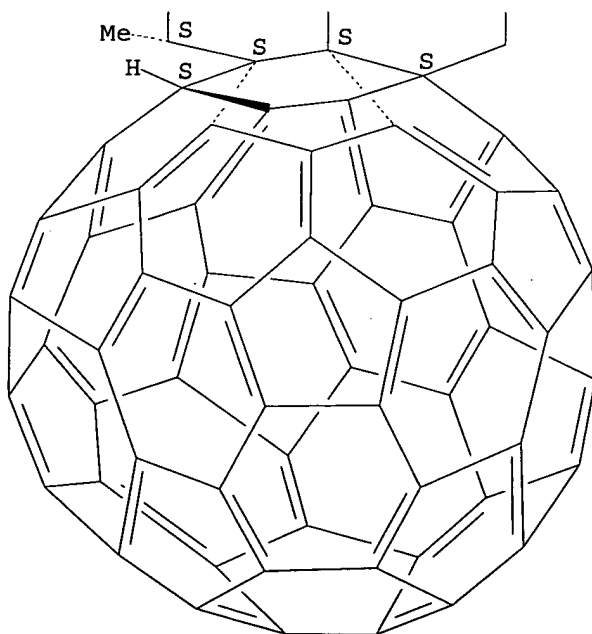
CN 1'H,12H-[5,6]Fullereno-C60-1h-[2,1,9-de]quinolin-2'(3'H)-one,
 6',8'a-dihydro-3'-methyl-1'-(phenylmethyl)-, stereoisomer (9CI) (CA INDEX
 NAME)

Relative stereochemistry.

PAGE 1-A



PAGE 2-A

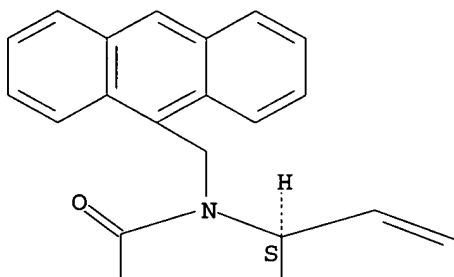


RN 183311-50-4 CAPLUS
 CN 1'H,12H-[5,6]Fullereno-C60-1h-[2,1,9-de]quinolin-2'(3'H)-one,
 6',8'a-dihydro-1'-(9-anthracenylmethyl)-3'-methyl-, stereoisomer (9CI)

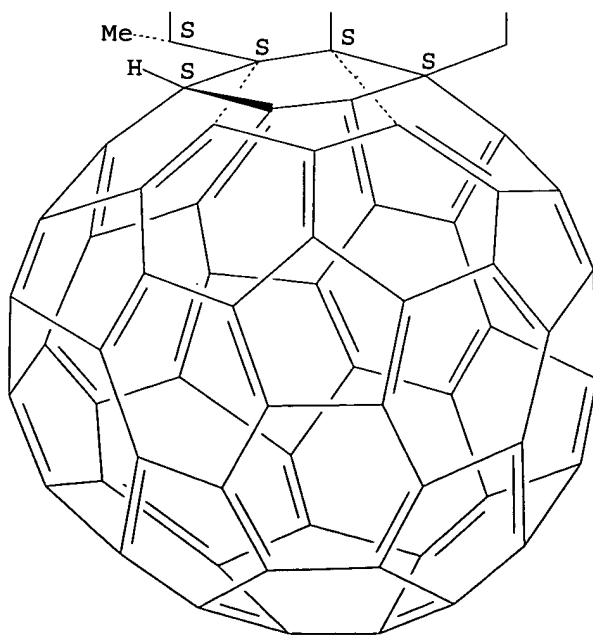
(CA INDEX NAME)

Relative stereochemistry.

PAGE 1-A



PAGE 2-A



9980965.trn10/01/2003

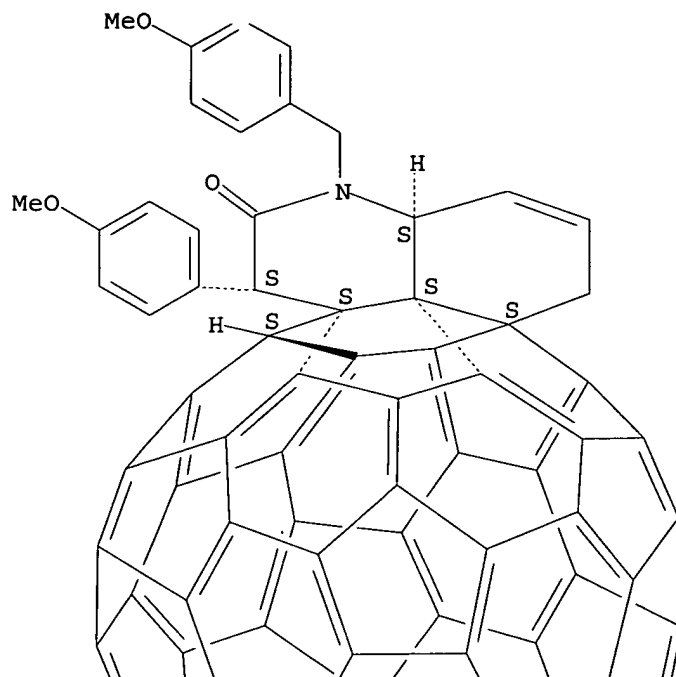
RN 183311-51-5 CAPLUS

CN 1'H,12H-[5,6]Fullereno-C60-Ih-[2,1,9-de]quinolin-2'(3'H)-one,
6',8'a-dihydro-3'-(4-methoxyphenyl)-1'-[(4-methoxyphenyl)methyl]-,
stereoisomer (9CI) (CA INDEX NAME)

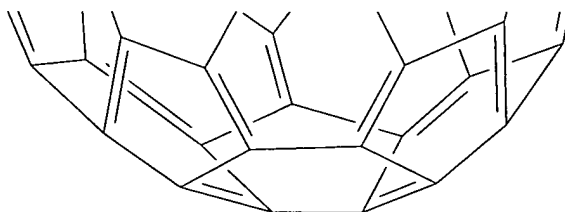
Relative stereochemistry.

PAGE 1-A

PAGE 2-A

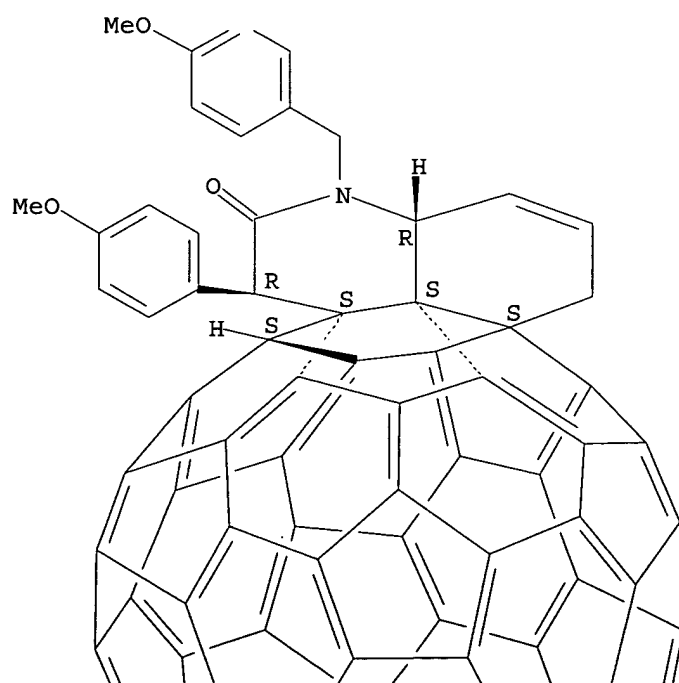


PAGE 3-A

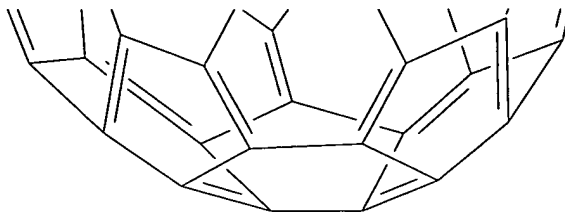


RN 183507-61-1 CAPLUS
 CN 1'H,12H-[5,6]Fullereno-C60-1h-[2,1,9-de]quinolin-2'(3'H)-one,
 6',8'a-dihydro-3'-(4-methoxyphenyl)-1'-[(4-methoxyphenyl)methyl]-,
 stereoisomer (9CI) (CA INDEX NAME)

Relative stereochemistry.

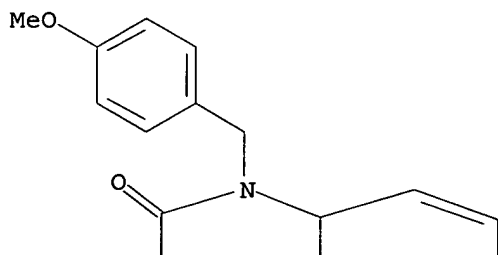


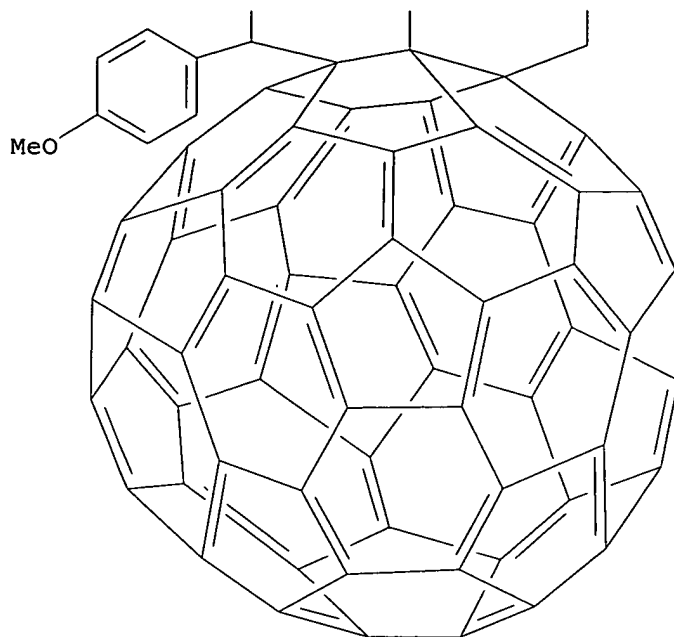
PAGE 3-A



RN 256652-24-1 CAPLUS
CN 1'H,12H-[5,6]Fullereno-C60-Ih-[2,1,9-de]quinolin-2'(3'H)-one,
6',8'a-dihydro-3'-(4-methoxyphenyl)-1'-[(4-methoxyphenyl)methyl]-,
stereoisomer (9CI) (CA INDEX NAME)

PAGE 1-A





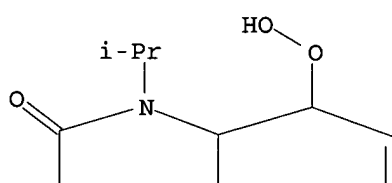
IT 256652-36-5P 256652-38-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of fullerene hydroperoxides and alcs. by photochem. oxygenation
 of octahydroquinolinyl fullerenes)

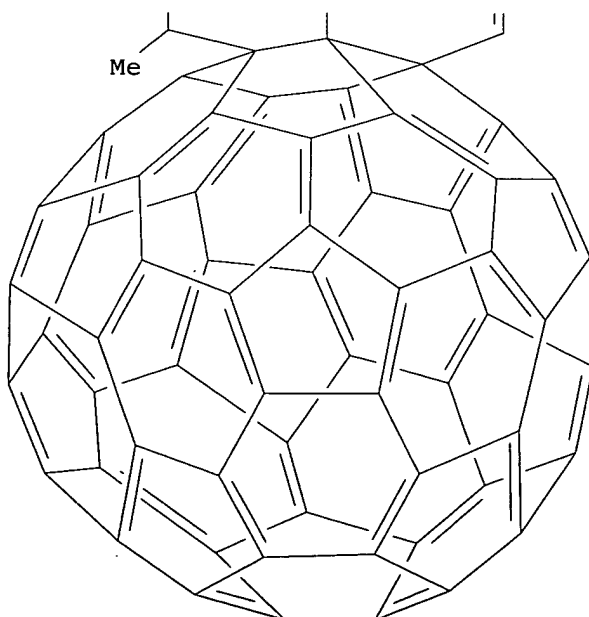
RN 256652-36-5 CAPLUS

CN 1'H,12H-[5,6]Fullereno-C₆₀-1h-[2,1,9-de]quinolin-2'(3'H)-one,
 8',8'a-dihydro-8'-hydroperoxy-3'-methyl-1'-(1-methylethyl)-, stereoisomer
 (9CI) (CA INDEX NAME)

PAGE 1-A

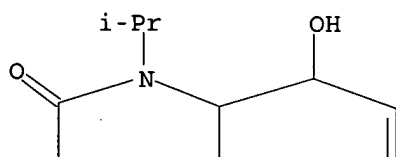


PAGE 2-A

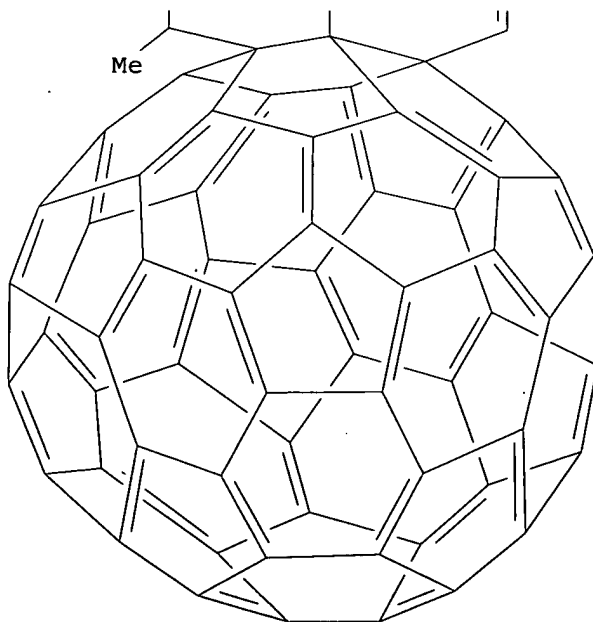


RN 256652-38-7 CAPLUS
 CN 1'H,12H-[5,6]Fullereno-C60-1h-[2,1,9-de]quinolin-2'(3'H)-one,
 8',8'a-dihydro-8'-hydroxy-3'-methyl-1'-(1-methylethyl)-, stereoisomer
 (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

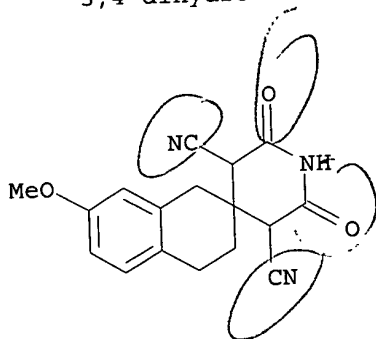


RE.CNT 157 THERE ARE 157 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

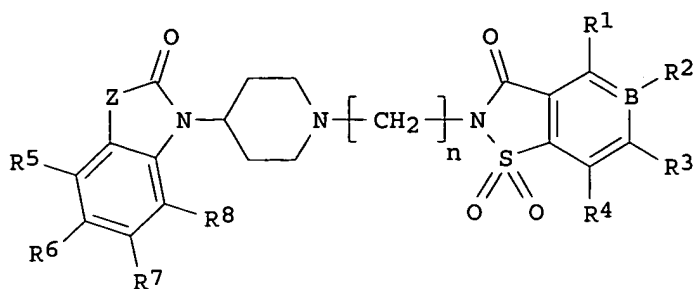
L4 ANSWER 5 OF 22 CAPLUS COPYRIGHT 2002 ACS
 AB The Similarity Principle provides the conceptual framework behind most modern approaches to library sampling and design. However, it is often the case that compds. which appear to be very similar structurally may in fact exhibit quite different activities toward a given target. Conversely, some targets recognize a wide variety of mols. and thus bind compds. that have markedly different structures. Affinity fingerprints largely overcome the difficulties assocd. with selecting compds. on the basis of structure alone. By describing each compd. in terms of its binding affinity to a set of functionally dissimilar proteins, fundamental factors relevant to binding and biol. activity are automatically encoded. We demonstrate how affinity fingerprints may be used in conjunction with simple algorithms to select active-enriched diverse training sets and to efficiently ext. the most active compds. from a large library.

AN 1998:612813 CAPLUS
 DN 129:339453
 TI Bioactive Diversity and Screening Library Selection via Affinity Fingerprinting
 AU Dixon, Steven L.; Villar, Hugo O.
 CS Telik Inc., South San Francisco, CA, 94080, USA
 SO J. Chem. Inf. Comput. Sci. (1998), 38(6), 1192-1203
 CODEN: JCISD8; ISSN: 0095-2338
 PB American Chemical Society
 DT Journal
 LA English
 IT 10199-09-4
 RL: BAC (Biological activity or effector, except adverse); PRP (Properties); BIOL (Biological study)
 (bioactive diversity and screening library selection via affinity fingerprinting)

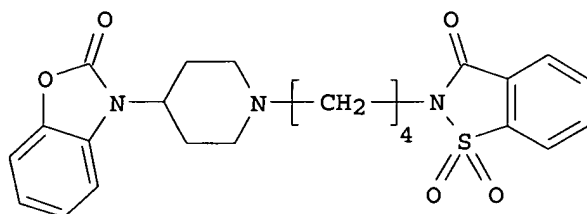
RN 10199-09-4 CAPLUS
 CN Spiro[naphthalene-2(1H),4'-piperidine]-3',5'-dicarbonitrile, 3,4-dihydro-7-methoxy-2',6'-dioxo- (9CI) (CA INDEX NAME)



L4 ANSWER 6 OF 22 CAPLUS COPYRIGHT 2002 ACS
 GI



I



II

AB The invention relates to the claimed title compds. I [$n = 3-5$; $B = C$ or N ; $R_1, R_2, R_3, R_4 = H, \text{halo}, \text{NO}_2, \text{NH}_2, (\text{un})\text{substituted alkyl}, \text{alkoxy}, \text{aryl}, \text{heteroaryl}, \text{etc.}$; $R_5, R_6, R_7, R_8 = H, \text{alkyl}, \text{alkenyl}, \text{alkoxy}$; $Z = O, S, \text{CH}_2, \text{NH}, \text{NMe}$] and analogs. Also disclosed are the synthesis and use of the compds. as selective α_1C -adrenergic receptor antagonists. The primary application of the compds. is in the treatment of benign prostatic hypertrophy (BPH). The compds. selectively relax smooth muscle tissue enriched in the α_1C receptor subtype without inducing orthostatic hypotension. The compds. provide acute relief of BPH by permitting less hindered urine flow. I and analogs are also useful in combination with human 5α -reductase inhibitors, providing both acute and chronic relief from the effects of BPH. Approx. 130 specific invention compds. are disclosed. The cloning and use of a cDNA for a human α_1C adrenoceptor in an in vitro assay is described. For instance, alkylation of 1-(4-piperidinyl)-3-benzoxazolin-2-one.HCl (prepd. in 4 steps) with 2-(4-bromobutyl)-1,1-dioxido-1,2-benzisothiazol-3(2H)-one in the presence of (i-Pr) $_2$ NET in DMF gave 40% title compd. II. Selected compds. showed nanomolar or subnanomolar affinity for human α_1C receptor subtype while showing 30-fold lower affinity for human α_1A and α_1B subtypes (no data).

AN 1998:392146 CAPLUS

DN 129:54361

TI Preparation of benzisothiazolones and analogs as α_1C -adrenergic receptor antagonists

IN Huff, Joel R.; Lee, Hee-yoon; Nerenberg, Jennie B.; Thompson, Wayne J.; Bell, Ian M.

PA Merck and Co., Inc., USA

SO U.S., 57 pp. Cont.-in-part of U. S. Ser. No. 229,276, abandoned.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 2

PATENT NO.

KIND

DATE

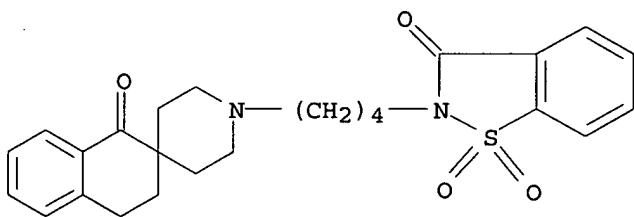
APPLICATION NO.

DATE

9980965.trn10/01/2003

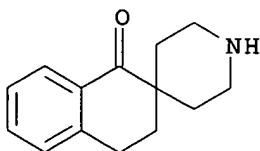
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PI US 5760054 A 19980602 US 1996-722001 19961001
 WO 9528397 A1 19951026 WO 1995-US4590 19950413
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 KR, KZ, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, RU, SG,
 SI, SK, TJ, TT, UA, US, UZ
 RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT,
 LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE,
 SN, TD, TG
 PRAI US 1994-229276 19940413
 WO 1995-US4590 19950413
 OS MARPAT 129:54361
 IT **173842-22-3P**
 RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic
 preparation); THU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); USES (Uses)
 (prepn. of benzisothiazolones and analogs as .alpha.1C-adrenergic
 antagonists)
 RN 173842-22-3 CAPLUS
 CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 1'-[4-(1,1-dioxido-3-oxo-1,2-
 benzisothiazol-2(3H)-yl)butyl]-3,4-dihydro- (9CI) (CA INDEX NAME)



hel

IT **136080-34-7**
 RL: RCT (Reactant)
 (prepn. of benzisothiazolones and analogs as .alpha.1C-adrenergic
 antagonists)
 RN 136080-34-7 CAPLUS
 CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 3,4-dihydro- (9CI) (CA INDEX
 NAME)



L4 ANSWER 7 OF 22 CAPLUS COPYRIGHT 2002 ACS
 AB The title compd., spipethiane, was synthesized and evaluated for in vitro
 activity on .sigma.1, .sigma.2 and .sigma.3, 5-HT, D2, M2 and M3,
 .alpha.1A and .alpha.1B, opioid and PCP receptors. Spipethiane displayed
 high affinity toward .sigma.1 receptors and more than two orders of
 magnitude lower affinity for both .sigma.2 and .sigma.3 receptors while
 being devoid of affinity for the other receptor systems so far
 investigated. Owing to its high .sigma.1 selectivity, it emerged as a
 useful pharmacol. tool for the characterization of this receptor
 population and also for the design of new therapeutic agents for the

treatment of various mental and motor disorders.

AN 1998:269382 CAPLUS

DN 128:252920

TI 1'-Benzyl-3,4-dihydrospiro[2H-1- benzothiopyran-2,4'-piperidine]
(Sipipethiane), a Potent and Highly Selective .sigma.1 LigandAU Quaglia, Wilma; Giannella, Mario; Piergentili, Alessandro; Pigini, Maria;
Brasili, Livio; Di Toro, Rosanna; Rossetti, Lorena; Spampinato, Santi;
Melchiorre, CarloCS Department of Chemical Sciences, University of Camerino, Camerino, 62032,
Italy

SO J. Med. Chem. (1998), 41(10), 1557-1560

CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

DT Journal

LA English

IT 205122-15-2

RL: BAC (Biological activity or effector, except adverse); BIOL
(Biological study)

(sipipethiane, a potent and highly selective .sigma.1 ligand)

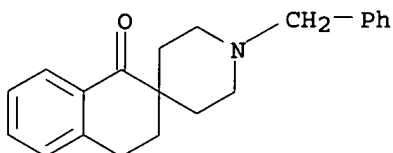
RN 205122-15-2 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 3,4-dihydro-1'-(phenylmethyl)-
, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 205120-95-2

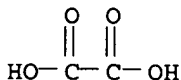
CMF C21 H23 N O



CM 2

CRN 144-62-7

CMF C2 H2 O4



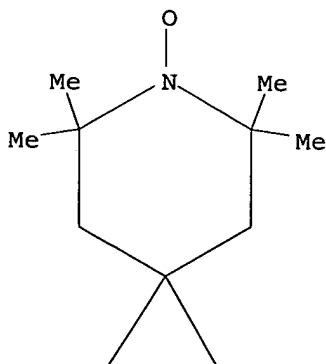
L4 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2002 ACS

AB A series of C60 derivs. substituted with the nitroxide group
2,2,6,6-tetramethylpiperidine-1-oxyl have been synthesized. A detailed
EPR and ENDOR study of the neutral radicals and of their redn. products is
reported. All the neutral species give EPR spectra consisting of a main
triplet of lines with .apprx.15 G splitting by the ¹⁴N nucleus, typical of
nitroxide radicals. Some of them show addnl. well-resolved splittings by
Me and methylene protons, which are discussed in relation to the
conformation of the nitroxide ring. When the compds. are progressively
reduced under vacuum by contact with an alkali metal mirror, new EPR lines

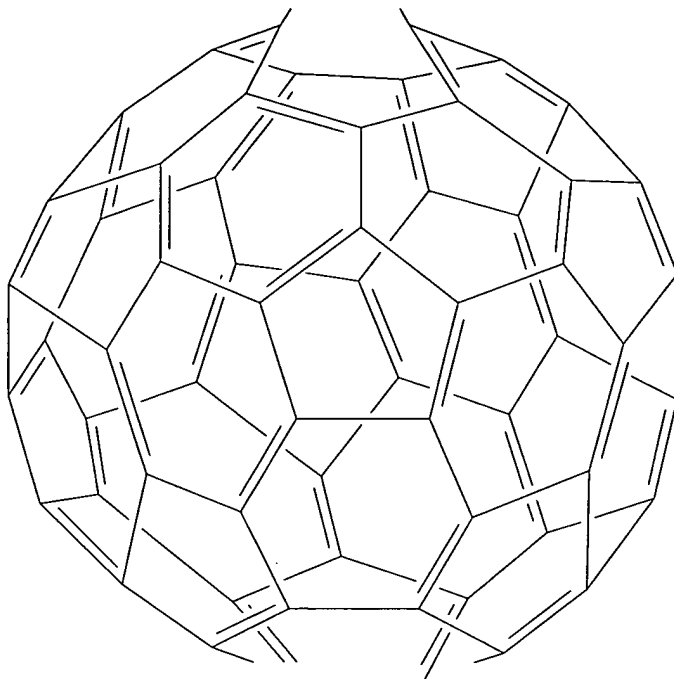
are obsd.; a 1:1:1 triplet with a splitting const. half that of a nitroxide radical and $g = 2.0030$ is attributed to biradical anions where one electron is located in the fullerene moiety and experiences a strong exchange coupling with the nitroxide unpaired electron. Frozen soln. spectra of these species allow the detn. of the electron-electron dipolar interaction parameters which are compared with theor. MO calcns. The exptl. values agree with a spin distribution of one unpaired electron mostly in the equatorial plane of the fullerene. Another single line which appears in the spectrum as the redn. proceeds further on ($g = 1.9999$) is attributed to a new species: possibly a substituted fullerene radical anion in which the nitroxide group is irreversibly reduced.

AN 1997:21017 CAPLUS
 DN 126:89026
 TI Synthesis and EPR Studies of Radicals and Biradical Anions of C60 Nitroxide Derivatives
 AU Arena, Francesca; Bullo, Federico; Conti, Fosca; Corvaja, Carlo; Maggini, Michele; Prato, Maurizio; Scorrano, Gianfranco
 CS Dipartimento di Chimica Fisica, Universita di Padova, Padua, I-35131, Italy.
 SO J. Am. Chem. Soc. (1997), 119(4), 789-795
 CODEN: JACSAT; ISSN: 0002-7863
 PB American Chemical Society
 DT Journal
 LA English
 IT 169477-24-1
 RL: PRP (Properties); RCT (Reactant)
 (synthesis and EPR studies of radicals and biradical anions of C60 nitroxide derivs.)
 RN 169477-24-1 CAPLUS
 CN Spiro[1,2(2a)-homo[5,6]fullerene-C60-1h-2a,4'-piperidin]-1'-yloxy, 2',2',6',6'-tetramethyl- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



PAGE 3-A

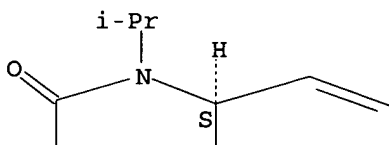
- L4 ANSWER 9 OF 22 CAPLUS COPYRIGHT 2002 ACS
 GI For diagram(s), see printed CA Issue.
 AB The authors have studied the reactivity of the N,O-ketene N-1,3-butadienyl-N-alkyl-O-silylacetals (1a-e; shown as I, R = iso-Pr, PhCH₂, 9-anthrylmethyl, R₁ = Me; R = 4-MeOC₆H₄CH₂, R₁ = 4-MeOC₆H₄; R = iso-Pr, R₁ = CH:CH₂) with C₆₀ which proceeds through a tandem process to give bicyclic amide derivs. of fullerenes (2a-e; shown as II, R and R₁ same as above, A = fullerene C₆₀ moiety) with high diastereoselectivity. The addn. order of these tandem reactions was evaluated. The structures of 2a-e were detd. from the ¹H and ¹³C NMR shifts and from the H-H coupling patterns, while the stereochem. was deduced from 2-dimensional T-ROESY NMR expts. The proposed mechanism for the Michael-type addn. step is a single electron transfer, and the source of the C₆₀-attached proton is discussed.
- AN 1996:570821 CAPLUS
 DN 125:328460
 TI Tandem cycloadditions of N,O-ketene N-1,3-butadienyl-N-alkyl-O-silylacetals with C₆₀: a straightforward stereoselective synthesis of bicyclic derivatives of 1,2,3,4-tetrahydrobuckminsterfullerene
 AU Franz, Andreas; An, Yi-Zhong; Ganapathi, Padma S.; Neier, Reinhard; Rubin, Yves
 CS Dep. Chem. Biochem., Univ. California, Los Angeles, CA, 90095-1569, USA

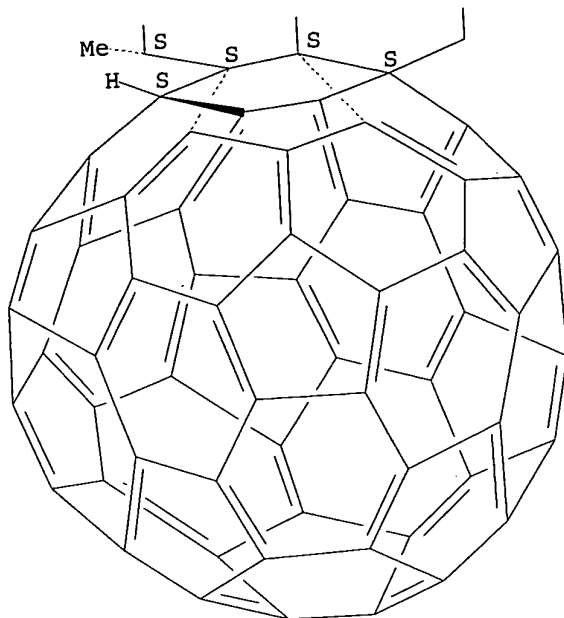
9980965.trn10/01/2003

SO Proc. - Electrochem. Soc. (1996), 96-10(Recent Advances in the Chemistry
and Physics of Fullerenes and Related Materials, Vol. 3), 1326-1341
CODEN: PESODO; ISSN: 0161-6374
DT Journal
LA English
OS CASREACT 125:328460
IT 183311-48-0P 183311-49-1P 183311-50-4P
183311-51-5P 183311-52-6P 183507-61-1P
183507-62-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 183311-48-0 CAPLUS
CN 1'H,12H-[5,6]Fullereno-C60-Ih-[2,1,9-de]quinolin-2'(3'H)-one,
6',8'a-dihydro-3'-methyl-1'-(1-methylethyl)-, stereoisomer (9CI) (CA
INDEX NAME)

Relative stereochemistry.

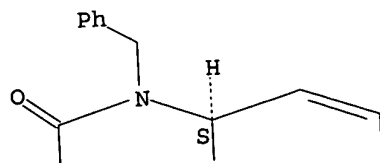
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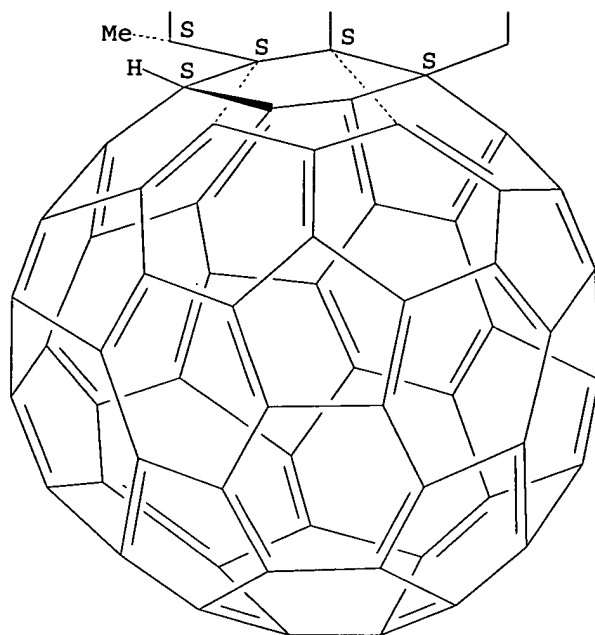




RN 183311-49-1 CAPLUS
 CN 1'H,12H-[5,6]Fullereno-C60-1h-[2,1,9-de]quinolin-2'(3'H)-one,
 6',8'a-dihydro-3'-methyl-1'-(phenylmethyl)-, stereoisomer (9CI) (CA INDEX
 NAME)

Relative stereochemistry.

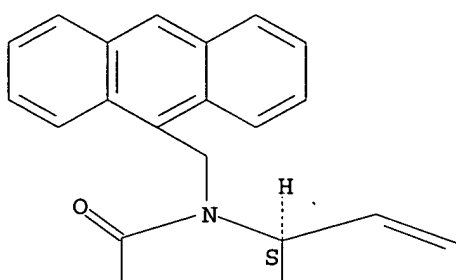




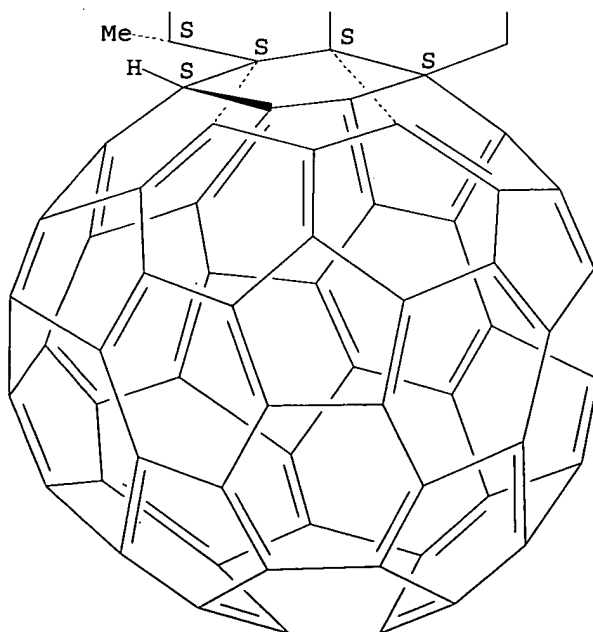
RN 183311-50-4 CAPLUS
 CN 1'H,12H- [5,6]Fullereno-C60-Ih- [2,1,9-de]quinolin-2' (3'H) -one,
 6',8'a-dihydro-1'-(9-anthracenylmethyl)-3'-methyl-, stereoisomer (9CI)
 (CA INDEX NAME)

Relative stereochemistry.

PAGE 1-A



PAGE 2-A



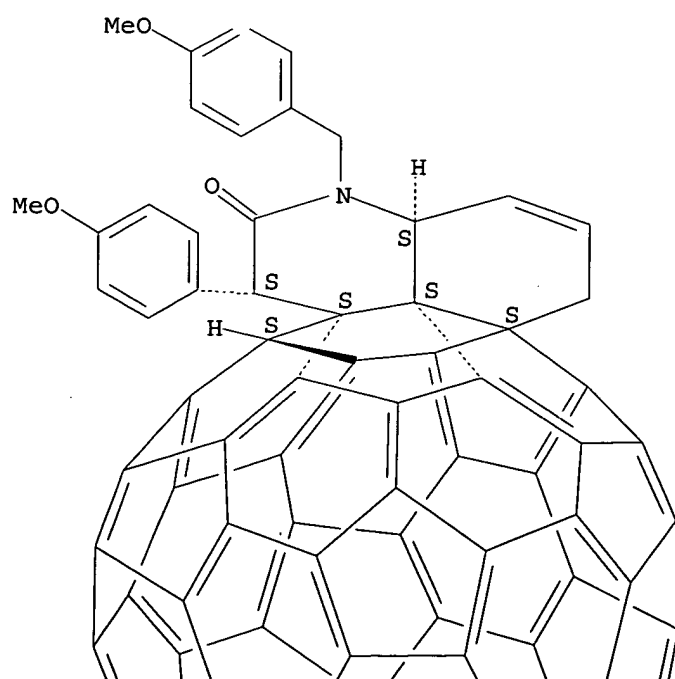
RN 183311-51-5 CAPLUS
 CN 1'H,12H-[5,6]Fullereno-C60-Ih-[2,1,9-de]quinolin-2'(3'H)-one,
 6',8'a-dihydro-3'-(4-methoxyphenyl)-1'-[(4-methoxyphenyl)methyl]-,

9980965.trn10/01/2003

stereoisomer (9CI) (CA INDEX NAME)

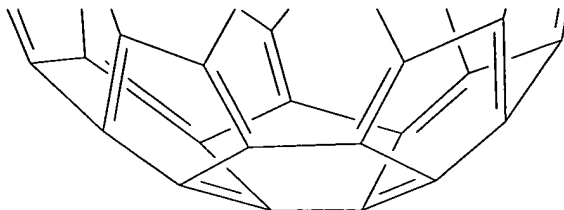
Relative stereochemistry.

PAGE 1-A



PAGE 2-A

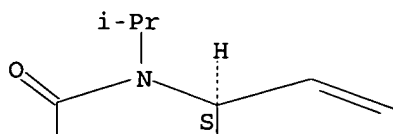
PAGE 3-A



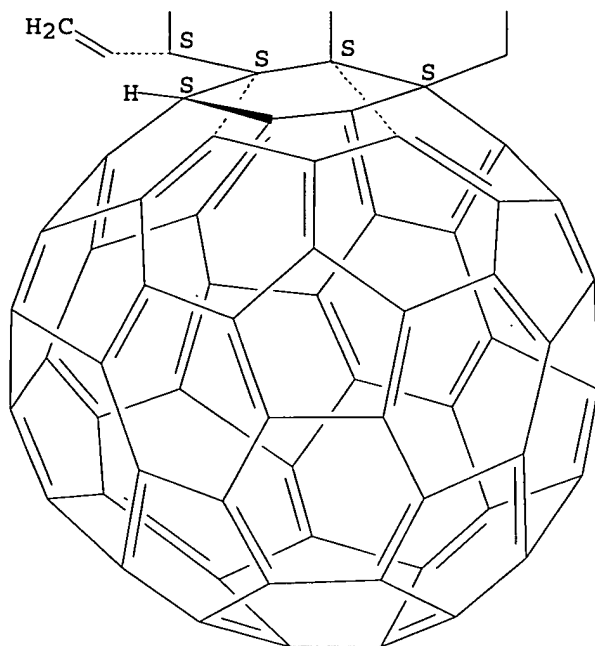
RN 183311-52-6 CAPLUS
 CN 1'H,12H-[5,6]Fullereno-C60-Ih-[2,1,9-de]quinolin-2'(3'H)-one,
 3'-ethenyl-6',8'a-dihydro-1'-(1-methylethyl)-, stereoisomer (9CI) (CA
 INDEX NAME)

Relative stereochemistry.

PAGE 1-A



PAGE 2-A

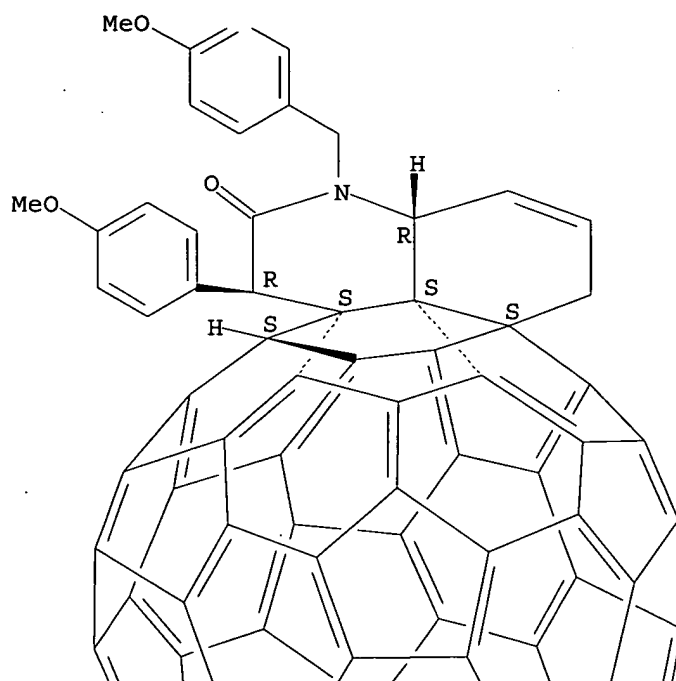


RN 183507-61-1 CAPLUS
 CN 1'H,12H-[5,6]Fullereno-C60-Ih-[2,1,9-de]quinolin-2'(3'H)-one,
 6',8'a-dihydro-3'-(4-methoxyphenyl)-1'-[(4-methoxyphenyl)methyl]-,
 stereoisomer (9CI) (CA INDEX NAME)

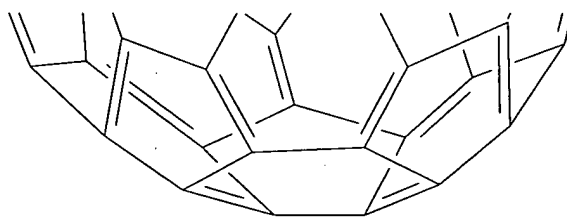
Relative stereochemistry.

PAGE 1-A

PAGE 2-A

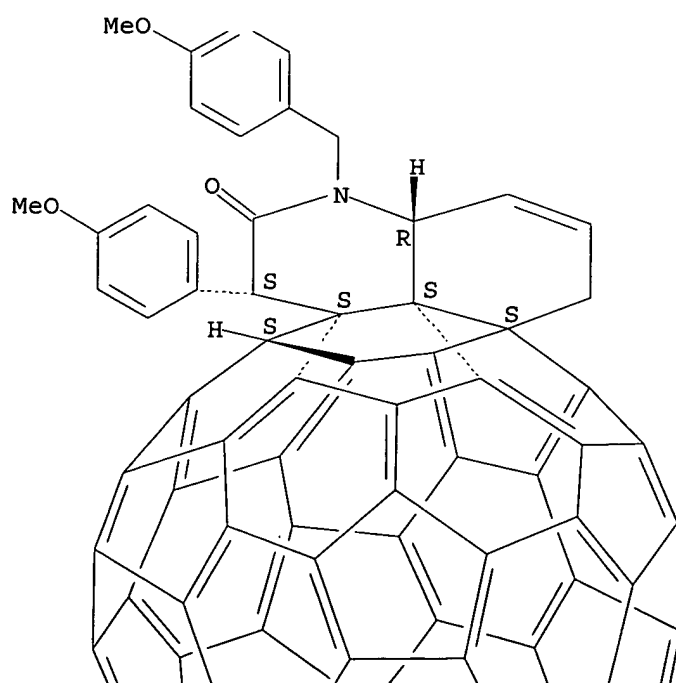


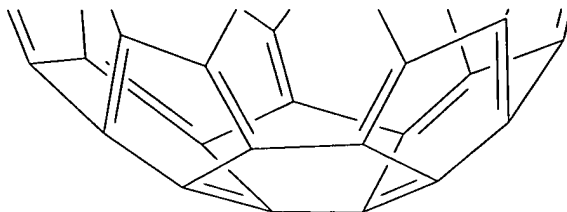
PAGE 3-A



RN 183507-62-2 CAPLUS
 CN 1'H,12H-[5,6]Fullereno-C60-1h-[2,1,9-de]quinolin-2'(3'H)-one,
 6',8'a-dihydro-3'-(4-methoxyphenyl)-1'-[(4-methoxyphenyl)methyl]-,
 stereoisomer (9CI) (CA INDEX NAME)

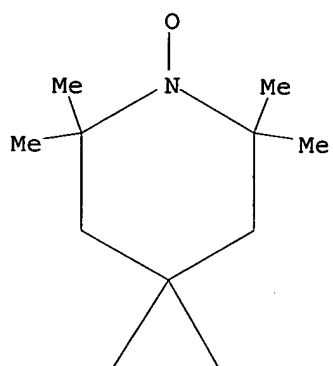
Relative stereochemistry.



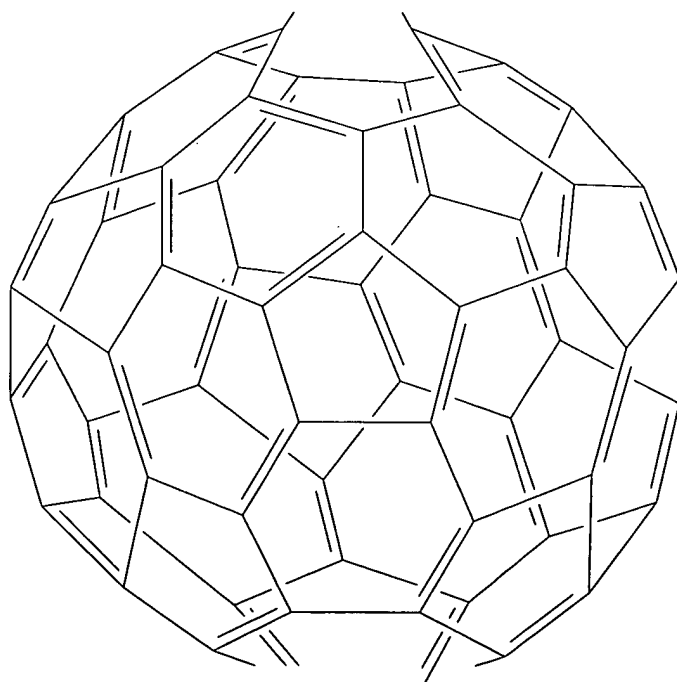


L4 ANSWER 10 OF 22 CAPLUS COPYRIGHT 2002 ACS
 AB The sodium salt of TEMPON tosylhydrazone was pyrolyzed in chlorobenzene in the presence of C60 to give the adducts C60(TEMPO)_n (n = 1-3) [TEMPO = 2,2,6,6-tetramethylpiperidin-1-oxyl, TEMPON = 4-oxo-TEMPO]. The adducts were isolated by gel permeation chromatog., and characterized by mass, IR, UV/visible, and ESR spectroscopies. The structure of C60(TEMPO) is an open [5,6]. The magnetic measurements showed the radical purity.
 AN 1996:212785 CAPLUS
 DN 124:343071
 TI Synthesis and characterization of C60 derivatives possessing TEMPO radicals
 AU Ishida, Takayuki; Shinozuka, Koji; Nogami, Takashi; Kubota, Masayuki; Ohashi, Mamoru
 CS Dep. Appl. Phys. Chem., Univ. Electro-Communications, Chofu, 182, Japan
 SO Tetrahedron (1996), 52(14), 5103-12
 CODEN: TETRAB; ISSN: 0040-4020
 DT Journal
 LA English
 OS CASREACT 124:343071
 IT 176789-95-0P
 RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (prepn., magnetic susceptibility and electrochem. oxidn. and redn. of)
 RN 176789-95-0 CAPLUS
 CN Spiro[1,2(2a)-homo[5,6]fullerene-C60-1h-2a,4'-piperidin]-1'-yloxy, 2',2',6',6'-tetramethyl-, compd. with carbon disulfide (9CI) (CA INDEX NAME)
 CM 1
 CRN 169477-24-1
 CMF C69 H16 N O

PAGE 1-A



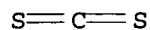
PAGE 2-A



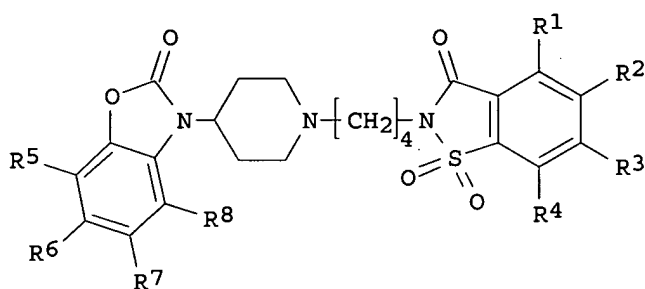
CM 2

CRN 75-15-0

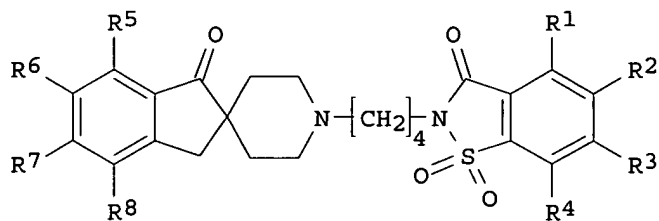
CMF C S2



L4 ANSWER 11 OF 22 CAPLUS COPYRIGHT 2002 ACS
GI



I



II

AB Title compds. such as I (R1, R2, R3, R4 = H, NO2, NH2, etc.; R5, R6, R7, R8 = H, alkyl, alkenyl, alkoxy, etc.) and II, effective testosterone reductase inhibitors useful in treatment of benign prostatic hyperplasia, were prepd. Alkylation of 1-(4-piperidinyl)-3-benzoxazolin-2-one.HCl with 2-(4-bromobutyl)-1,1-dioxo-1,2-benzothiazol-3(2H)-one in the presence of (i-Pr)2NEt in DMF afforded 40% I (R1-R8 = H). Title compds. are effective at 0.001 mg/kg - 7 mg/kg per day in humans.

AN 1995:998362 CAPLUS

DN 124:176079

TI Preparation of heterocycles as .alpha.1c adrenergic receptor antagonists

IN Huff, Joel R.; Lee, Hee-Yoon; Nerenberg, Jennie B.; Thompson, Wayne J.

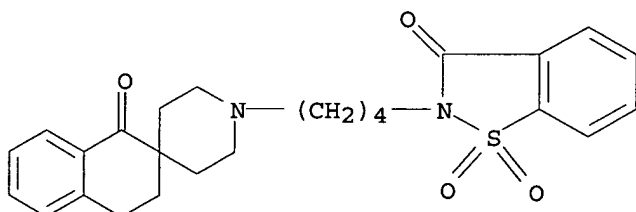
PA Merck and Co., Inc., USA

SO PCT Int. Appl., 209 pp.

CODEN: PIXXD2

DT Patent
 LA English
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9528397	A1	19951026	WO 1995-US4590	19950413
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	RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2187767	AA	19951026	CA 1995-2187767	19950413
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	AU 688498	B2	19980312		
	EP 755392	A1	19970129	EP 1995-917565	19950413
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	JP 09512016	T2	19971202	JP 1995-527097	19950413
	US 5760054	A	19980602	US 1996-722001	19961001
PRAI	US 1994-229276		19940414		
	WO 1995-US4590		19950413		
OS	MARPAT 124:176079				
IT	173842-22-3P				
	RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)				
	(prepn. of heterocycles as .alpha.1c adrenergic receptor antagonists)				
RN	173842-22-3 CAPLUS				
CN	Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 1'-[4-(1,1-dioxido-3-oxo-1,2-benzisothiazol-2(3H)-yl)butyl]-3,4-dihydro- (9CI) (CA INDEX NAME)				

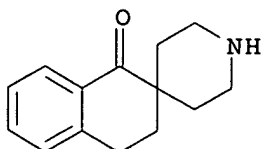
IT **136080-34-7**

RL: RCT (Reactant)

(prepn. of heterocycles as .alpha.1c adrenergic receptor antagonists)

RN 136080-34-7 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 3,4-dihydro- (9CI) (CA INDEX NAME)



L4 ANSWER 12 OF 22 CAPLUS COPYRIGHT 2002 ACS

AB A spin-labeled reagent C60(TEMPO) (TEMPO = 2,2,6,6-tetramethylpiperidin-1-oxyl) was synthesized, purified by LC, and characterized by spectroscopic, magnetic and electrochem. measurements.

AN 1995:836638 CAPLUS

DN 123:285719

TI Fullerene spin label. Synthesis and characterization of the [60]fullerene-substituted TEMPO radical

AU Ishida, Takayuki; Shinozuka, Koji; Kubota, Masayuki; Ohashi, Mamoru; Nogami, Takashi

CS Dep. Applied Physics and Chemistry, Univ. Electro-Communications, Chofu, 182, Japan

SO J. Chem. Soc., Chem. Commun. (1995), (18), 1841-2
CODEN: JCCCAT; ISSN: 0022-4936

DT Journal

LA English

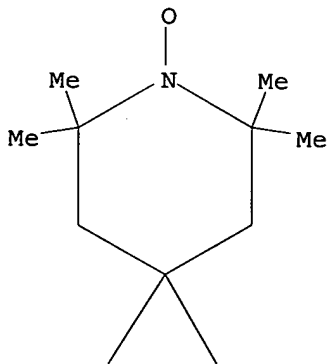
IT 169477-24-1P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(prepn., ESR and UV-visible spectra, magnetic susceptibility and electrochem. redox of fullerene piperidinoxyl deriv. spin label)

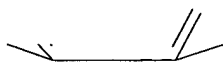
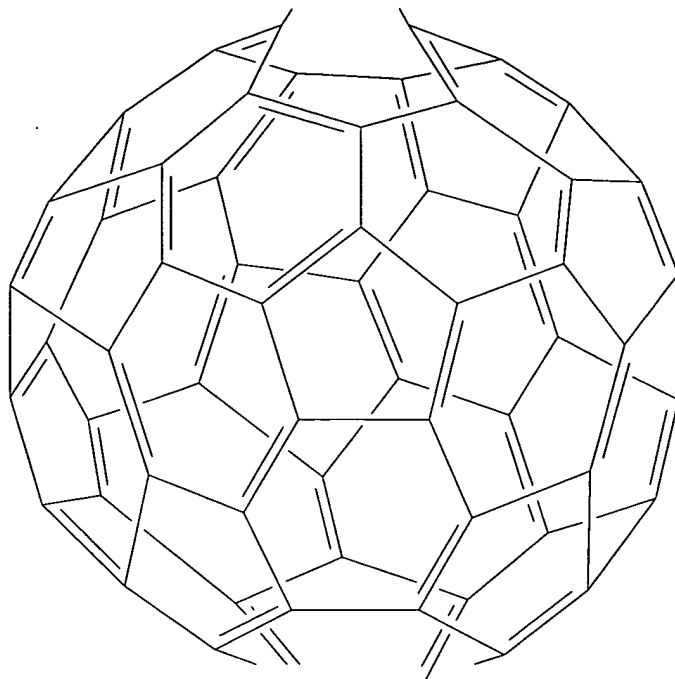
RN 169477-24-1 CAPLUS

CN Spiro[1,2(2a)-homo[5,6]fullerene-C60-1h-2a,4'-piperidin]-1'-yloxy,
2',2',6',6'-tetramethyl- (9CI) (CA INDEX NAME)

PAGE 1-A

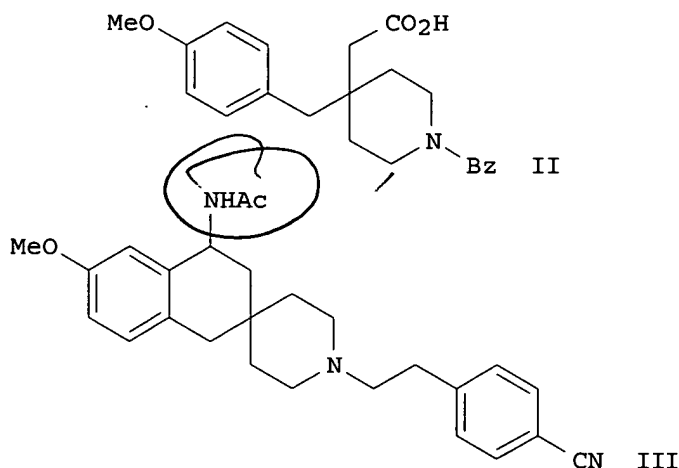
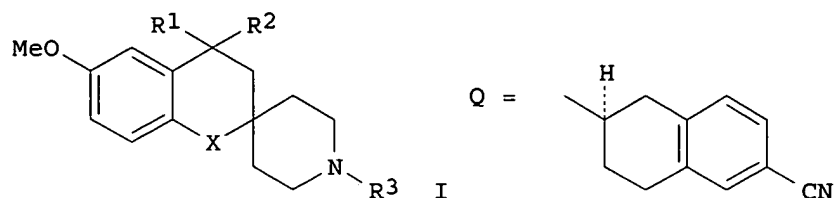


PAGE 2-A



PAGE 3-A

L4 ANSWER 13 OF 22 CAPLUS COPYRIGHT 2002 ACS
GI



eg 1243

AB Spiro compds. I [X = O or CH₂; one of R₁ or R₂ = H; other = NHAc, OH, NH₂, or NHCOCH(NH₂)CH₂Ph; R₃ = CH₂CH₂C₆H₄CN-4 or group Q] and their pharmaceutically acceptable salts, hydrates, or crystal forms, are Class III antiarrhythmic agents. For example, Et N-benzoylisonipecotate underwent a sequence of lithiation with LDA, alkylation with 4-MeOC₆H₄CH₂Cl, sapon. of the Et ester, and homologation of the acid group using diazomethane, to give intermediate II. This acid was then cyclized, debenzoylated, N-alkylated, reduced at the formed keto function to an alc., and treated with H₂SO₄ in MeCN, to give title compd. III. In an in vitro test for increase of effective refractory period in isolated ferret heart muscle, most I had an EC₅₀ of .ltoreq. 10 .mu.M, whereas sotalol had an EC₂₅ of approx. 20 .mu.M. Examples include 16 syntheses and 2 pharmaceutical preps.

AN 1995:793000 CAPLUS

DN 124:55812

TI Spirocycles useful as Class III antiarrhythmic agents.

IN Claremon, David A.; Ponticello, Gerald S.; Selnick, Harold G.

PA Merck and Co., Inc., USA

SO U.S., 18 pp.

CODEN: USXXAM

DT Patent

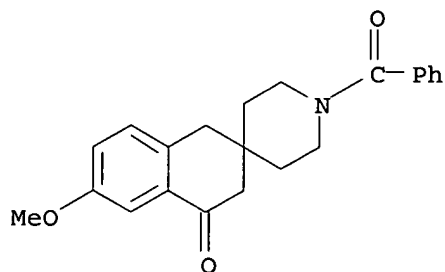
LA English

FAN.CNT 1

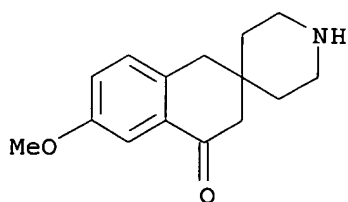
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5439914	A	19950808	US 1994-198940	19940218
	WO 9522548	A1	19950824	WO 1995-US1960	19950215
	W:	AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, RU, SI, SK, TJ, TT, UA, UZ			

RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT,
 LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE,
 SN, TD, TG

CA 2182733 AA 19950824 CA 1995-2182733 19950215
 AU 9519208 A1 19950904 AU 1995-19208 19950215
 EP 745086 A1 19961204 EP 1995-911762 19950215
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE
 JP 09509177 T2 19970916 JP 1995-521910 19950215
 PRAI US 1994-198940 19940218
 WO 1995-US1960 19950215
 OS MARPAT 124:55812
 IT 171797-76-5P 171797-77-6P 171797-78-7P
 171797-79-8P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (intermediate; prepn. of spirocycles as Class III antiarrhythmic
 agents)
 RN 171797-76-5 CAPLUS
 CN Spiro[naphthalene-2(1H),4'-piperidin]-4(3H)-one, 1'-benzoyl-6-methoxy-
 (9CI) (CA INDEX NAME)

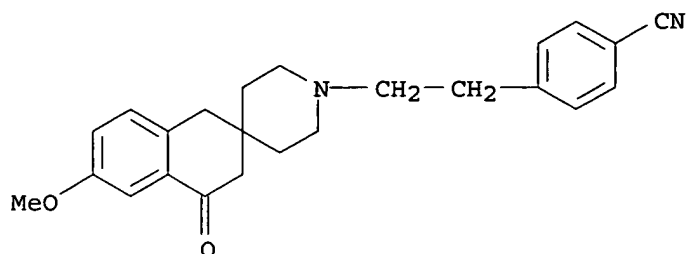


RN 171797-77-6 CAPLUS
 CN Spiro[naphthalene-2(1H),4'-piperidin]-4(3H)-one, 6-methoxy-, hydrochloride
 (9CI) (CA INDEX NAME)



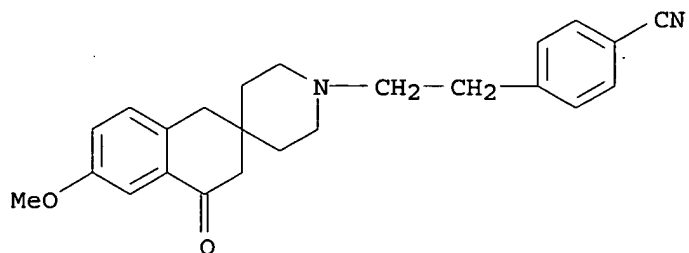
● HCl

RN 171797-78-7 CAPLUS
 CN Benzonitrile, 4-[2-(3,4-dihydro-6-methoxy-4-oxospiro[naphthalene-2(1H),4'-
 piperidin]-1'-yl)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

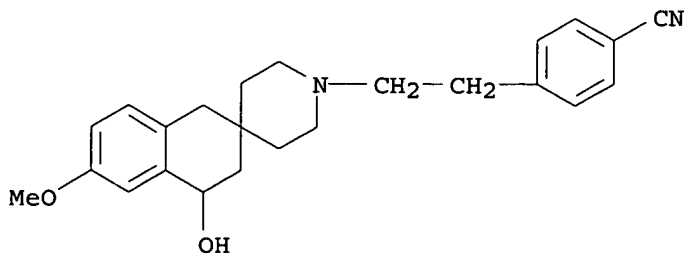


● HCl

RN 171797-79-8 CAPLUS
 CN Benzonitrile, 4-[2-(3,4-dihydro-6-methoxy-4-oxospiro[naphthalene-2(1H),4'-piperidin]-1'-yl)ethyl]- (9CI) (CA INDEX NAME)

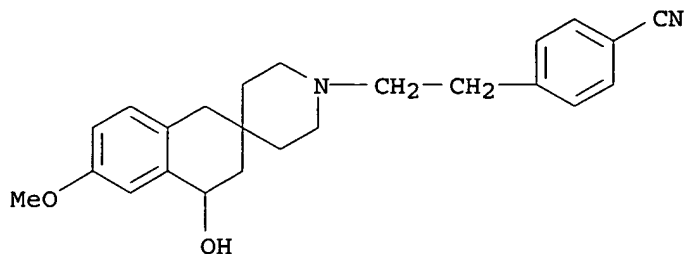


IT 171797-63-0P
 RL: BAC (Biological activity or effector, except adverse); RCT (Reactant);
 SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological
 study); PREP (Preparation); USES (Uses)
 (prepn. of spirocycles as Class III antiarrhythmic agents)
 RN 171797-63-0 CAPLUS
 CN Benzonitrile, 4-[2-(3,4-dihydro-4-hydroxy-6-methoxyspiro[naphthalene-2(1H),4'-piperidin]-1'-yl)ethyl]- (9CI) (CA INDEX NAME)



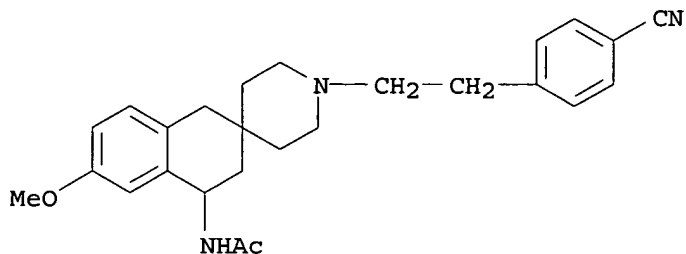
IT 171797-64-1P 171797-65-2P 171797-66-3P
 171797-67-4P 171797-68-5P
 RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic
 preparation); THU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); USES (Uses)
 (prepn. of spirocycles as Class III antiarrhythmic agents)
 RN 171797-64-1 CAPLUS

CN Benzonitrile, 4-[2-(3,4-dihydro-4-hydroxy-6-methoxyspiro[naphthalene-2(1H),4'-piperidin]-1'-yl)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

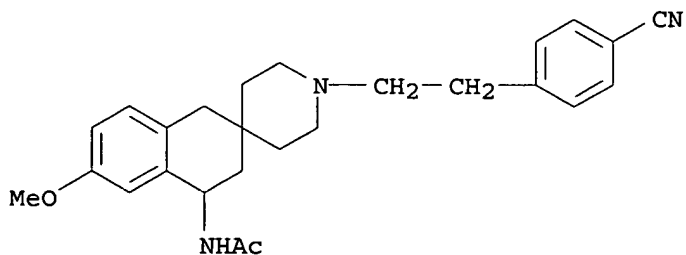


● HCl

RN 171797-65-2 CAPLUS
CN Acetamide, N-[1'-[2-(4-cyanophenyl)ethyl]-3,4-dihydro-6-methoxyspiro[naphthalene-2(1H),4'-piperidin]-4-yl]- (9CI) (CA INDEX NAME)



RN 171797-66-3 CAPLUS
CN Acetamide, N-[1'-[2-(4-cyanophenyl)ethyl]-3,4-dihydro-6-methoxyspiro[naphthalene-2(1H),4'-piperidin]-4-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

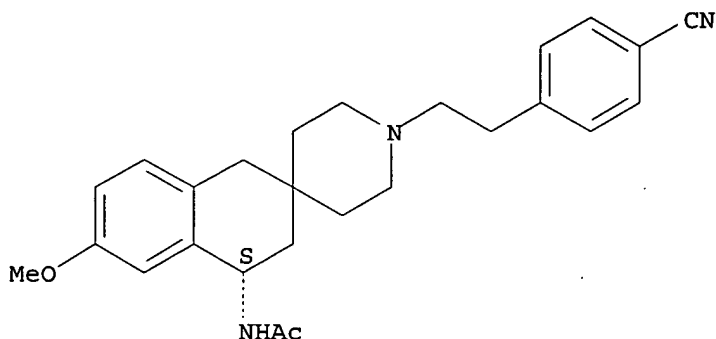


● HCl

RN 171797-67-4 CAPLUS
CN Acetamide, N-[1'-[2-(4-cyanophenyl)ethyl]-3,4-dihydro-6-methoxyspiro[naphthalene-2(1H),4'-piperidin]-4-yl]-, (S)- (9CI) (CA INDEX NAME)

NAME)

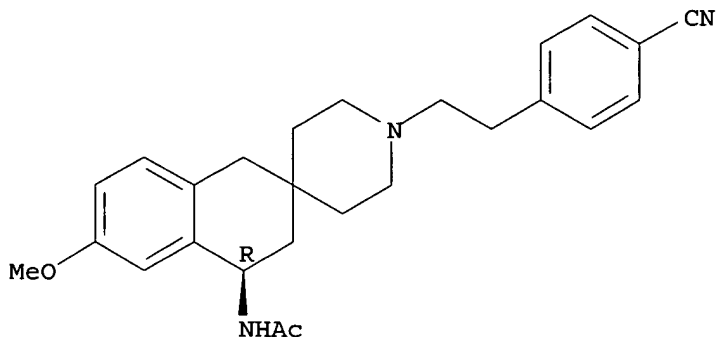
Absolute stereochemistry.



RN 171797-68-5 CAPLUS

CN Acetamide, N-[1'-[2-(4-cyanophenyl)ethyl]-3,4-dihydro-6-methoxyspiro[naphthalene-2(1H),4'-piperidin]-4-yl]-, (R) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 14 OF 22 CAPLUS COPYRIGHT 2002 ACS

AB Title compds. $R_3NH(CH_2)_nCR_1R_2(CH_2)_mR_4$ [I; R_1, R_2 = C1-6 alkyl or alkoxy, (substituted) Ph, OH, trihalomethyl, pyridinyl, thienyl; R_1R_2 form with the attached carbon a (substituted) C4-7 cycloalkyl, C4-7 benzocycloalkyl, (substituted) 4-piperidinyl; R_3 = $PhSO_2$ optionally substituted on Ph by C1-6 alkyl, naphthylsulfonyl, C1-6 alkyl, alkylaminocarbonyl, C1-6 acyl; R_4 = $CH:CH(CH_2)_pCO_2H$ or $CH_2CH_2(CH_2)_pCO_2H$ (p = 0-3); n, m = 0-2], their isomers and salts, are prepd. as thromboxane A_2 receptor antagonists and as inhibitors of thromboxane A_2 synthase. Preparative methods are delineated for compds. I starting from either R_1CHR_2CHCN or $R_1CHR_2CO_2Et$. Thus, 1-(2,2-dimethoxyethyl)cyclopentanecarbonitrile (prepn. given) was converted to sodium (4Z)-8-[(4-chlorophenyl)sulfonamido]-7,7-tetramethylene-4-octenoate in 5 steps. The thromboxane A_2 receptor antagonist activity of compds. I (8 examples) was expressed as IC_{50} of inhibition of platelet aggregation in humans induced by U46619 and was in the range 8.10 .times. 10^{-8} to 8.10 .times. 10^{-7} M. Pharmaceutical compns. of compds. I are claimed (1 example).

AN 1994:270075 CAPLUS

DN 120:270075

TI Preparation of aminoalkenecarboxylic acid derivatives and their

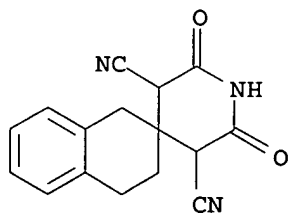
pharmaceutical compositions as thromboxane A2 receptor antagonists
 IN Lavielle, Gilbert; Hautefaye, Patrick; Laubie, Michel; Verbeuren, Tony
 PA Adir et Compagnie, Fr.
 SO Eur. Pat. Appl., 37 pp.
 CODEN: EPXXDW

DT Patent

LA French

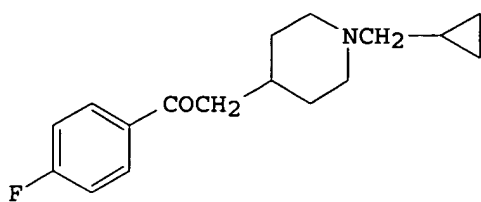
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 570263	A1	19931118	EP 1993-401174	19930507
	EP 570263	B1	19971203		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	FR 2691146	A1	19931119	FR 1992-5905	19920515
	FR 2691146	B1	19980102		
	AT 160771	E	19971215	AT 1993-401174	19930507
	ES 2112404	T3	19980401	ES 1993-401174	19930507
	CA 2096293	AA	19931116	CA 1993-2096293	19930514
	CA 2096293	C	19990323		
	AU 9338555	A1	19931118	AU 1993-38555	19930514
	AU 661576	B2	19950727		
	ZA 9303380	A	19931210	ZA 1993-3380	19930514
	JP 06041052	A2	19940215	JP 1993-113226	19930514
	JP 2506261	B2	19960612		
	US 5436343	A	19950725	US 1993-62080	19930514
PRAI	FR 1992-5905		19920515		
OS	MARPAT 120:270075				
IT	154678-95-2P				
	RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and reaction of, in prepn. of aminoalkenecarboxylic acid thromboxane A2 receptor antagonist)				
RN	154678-95-2 CAPLUS				
CN	Spiro[naphthalene-2(1H),4'-piperidine]-3',5'-dicarbonitrile, 3,4-dihydro-2',6'-dioxo-, ammonium salt (9CI) (CA INDEX NAME)				

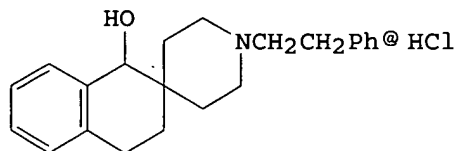


● NH₃

L4 ANSWER 15 OF 22 CAPLUS COPYRIGHT 2002 ACS
 GI



I



II

AB .sigma. Receptor ligands have been proposed to be potential antipsychotic drugs based on their activity profile in animal behavioral models and their indirect modulation of dopaminergic function. DuP 734 (I) is a combined antagonist of .sigma.-1 and serotonin 5HT2 receptors, which has been entered into phase I clin. trials as a potential antipsychotic drug. Tetralins were prepd. to det. whether restriction of the conformation of I and its analogs may lead to differences in binding selectivity or in vivo profile. The syntheses and the structure-activity relationships of these compds. are reported. A reduced deriv. (II) had high affinity for .sigma.-1 and serotonin 5HT2 receptors as well as excellent oral activity in some animal antipsychotic models. Furthermore, II failed to cause catalepsy in the rat up to 90 mg/kg (oral).

AN 1994:124142 CAPLUS

DN 120:124142

TI Piperidinyltetralin .sigma. Ligands

AU Gilligan, Paul J.; Kergaye, Ahmed A.; Lewis, Bryan M.; McElroy, John F.

CS CNS Diseases Research, DuPont Merck Pharmaceutical Company, Wilmington, DE, 19880-0353, USA

SO J. Med. Chem. (1994), 37(3), 364-70

CODEN: JMCMAR; ISSN: 0022-2623

DT Journal

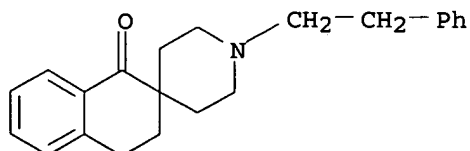
LA English

IT 152830-66-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and redn. of)

RN 152830-66-5 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 3,4-dihydro-1'-(2-phenylethyl)- (9CI) (CA INDEX NAME)



IT 152830-56-3P 152830-80-3P 152830-81-4P

152830-82-5P 152830-84-7P 152830-85-8P

152830-86-9P 152830-88-1P 152830-89-2P

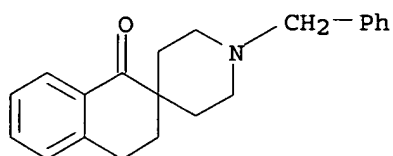
152830-90-5P 152830-91-6P 152830-93-8P

152830-94-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as .sigma.-receptor ligand)

RN 152830-56-3 CAPLUS

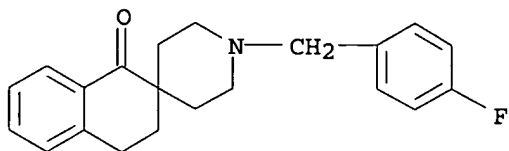
CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 3,4-dihydro-1'-(phenylmethyl)-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 152830-80-3 CAPLUS

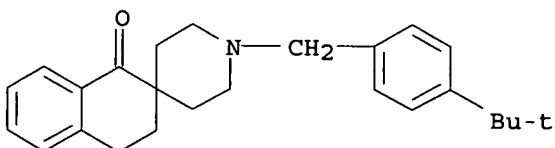
CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 1'-[(4-fluorophenyl)methyl]-3,4-dihydro-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 152830-81-4 CAPLUS

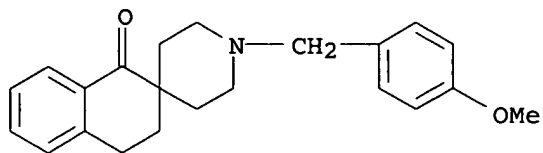
CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 1'-[[4-(1,1-dimethylethyl)phenyl)methyl]-3,4-dihydro-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 152830-82-5 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 3,4-dihydro-1'-[(4-methoxyphenyl)methyl]-, hydrochloride (9CI) (CA INDEX NAME)

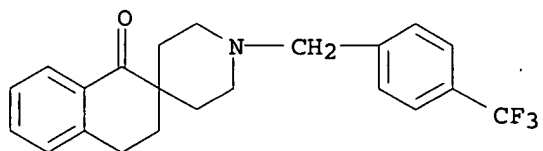


● HCl

RN 152830-84-7 CAPLUS
 CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 3,4-dihydro-1'-[[4-(trifluoromethyl)phenyl]methyl]-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

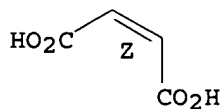
CRN 152830-83-6
 CMF C22 H22 F3 N O



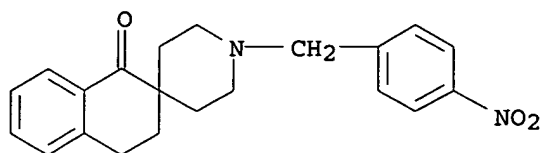
CM 2

CRN 110-16-7
 CMF C4 H4 O4
 CDES 2:Z

Double bond geometry as shown.

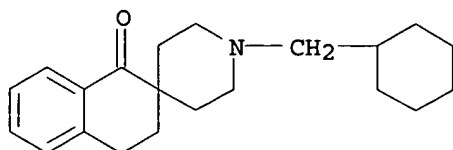


RN 152830-85-8 CAPLUS
 CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 3,4-dihydro-1'-[[4-nitrophenyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 152830-86-9 CAPLUS
CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 1'-(cyclohexylmethyl)-3,4-dihydro-, hydrochloride (9CI) (CA INDEX NAME)



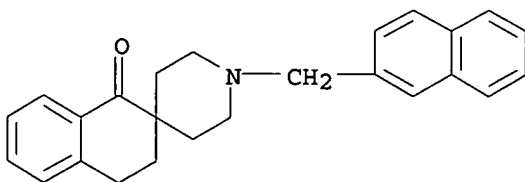
● HCl

RN 152830-88-1 CAPLUS
CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 3,4-dihydro-1'-(2-naphthalenylmethyl)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 152830-87-0

CMF C25 H25 N O



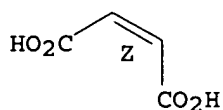
CM 2

CRN 110-16-7

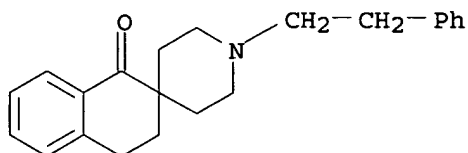
CMF C4 H4 O4

CDES 2:Z

Double bond geometry as shown.

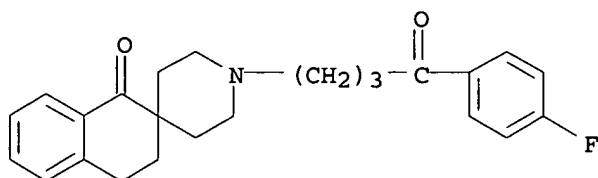


RN 152830-89-2 CAPLUS
 CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 3,4-dihydro-1'-(2-phenylethyl)-, hydrochloride (9CI) (CA INDEX NAME)



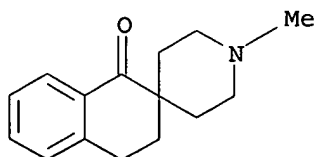
● HCl

RN 152830-90-5 CAPLUS
 CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 1'-[4-(4-fluorophenyl)-4-oxobutyl]-3,4-dihydro-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 152830-91-6 CAPLUS
 CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 3,4-dihydro-1'-methyl-, hydrochloride (9CI) (CA INDEX NAME)



HCl

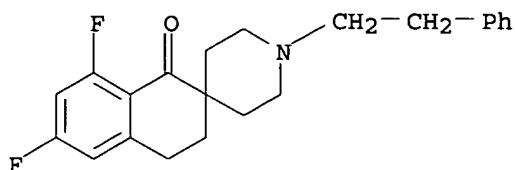
RN 152830-93-8 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 6,8-difluoro-3,4-dihydro-1'-(2-phenylethyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 152830-92-7

CMF C22 H23 F2 N O



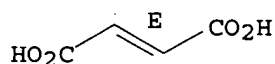
CM 2

CRN 110-17-8

CMF C4 H4 O4

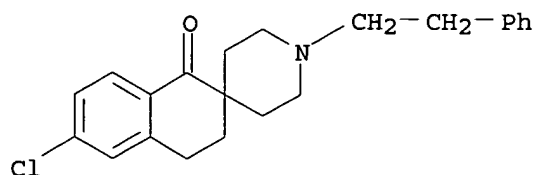
CDES 2:E

Double bond geometry as shown.



RN 152830-94-9 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 6-chloro-3,4-dihydro-1'-(2-phenylethyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 16 OF 22 CAPLUS COPYRIGHT 2002 ACS

GI For diagram(s), see printed CA Issue.

AB Title compds. [I; Ar = benzo, thieno, furo, or pyrido ring; B = 5-8-membered ring; X, Y, Z = O, CO, CH(OR5), NR6, CHNR7R8, CH, N, bond, (CR4R5)n, etc.; R1-R3 = H, OH, (substituted) alkyl, Ph, alkoxy, amino, NO2, halo, alkylcarbonyl, carbamoyl, CF3, aminosulfonyl, etc.; adjacent R1R2Ar = Q1, Q2, etc.; R = (CR4R5)mQ(CR4R5)q; R4, R5 = H, alkyl; R6 = H, alkyl, arylcarbonyl, alkylcarbonyl, (CH2)nC6H4R10; R10 = NO2, alkyl, alkoxy, halo, CF3, H; R7, R8 = H, (substituted) alkyl, alkylcarbonyl, arylcarbonyl; R7R8N = (substituted) satd. 5- or 6-membered ring; M = H, OH, carboxy, alkoxy, carbamoyl, amino, halo, cycloalkyl, R3sAr2; Ar2 = carbocyclic, heterocyclic ring; Q = bond, O, CO, CH(OH), NR5, S(O)n; n = 0-2; n, q = 0-5; s = 1-3], were prepd. as antiarrhythmics (no data). Thus, a mixt. of 2-mercaptothiophene, N-benzoyl-4-(carboxymethylidene)piperidine, and Et3N in THF was refluxed 4 h to give N-benzoyl-4-carboxymethyl-4-(2-thienothio)piperidine. The latter in

CH₂Cl₂ at 10.degree. was treated with DMF, (COCl)₂, and then F₃CSO₂OH followed by warming to room temp. to give 1-benzoylspiro(piperidine-4,6'-(6H)thieno[2,3-b]thiopyran)-4'-(5'H)-one. The latter was treated with HNO₃/Ac₂O, refluxed in 6N HCl/MeOH, and condensed with 2-vinylpyridine in MeOH/H₂O contg. NaOAc.3H₂O to give 2'-nitro-1-[2-(2-pyridyl)ethyl]spiro(piperidine-4,6'-(6H)thieno[2,3-b]thiopyran)-4'-(5'H)-one.

AN 1992:20938 CAPLUS

DN 116:20938

TI Preparation of arylheterocyclylspiropiperidines as class III antiarrhythmics and cardiotonics

IN Baldwin, John J.; Claremon, David A.; Elliott, Jason M.; Ponticello, Gerald S.; Remy, David C.; Selnick, Harold G.

PA Merck and Co., Inc., USA

SO Eur. Pat. Appl., 162 pp.

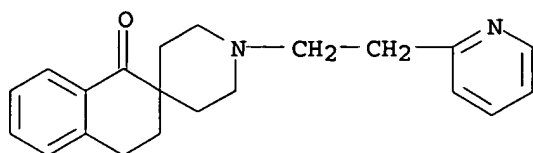
CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 431943	A2	19910612	EP 1990-313262	19901206
	EP 431943	A3	19920325		
	EP 431943	B1	19980715		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	CA 2031633	AA	19910609	CA 1990-2031633	19901206
	AT 168377	E	19980815	AT 1990-313262	19901206
	ES 2118715	T3	19981001	ES 1990-313262	19901206
	FI 9006045	A	19910609	FI 1990-6045	19901207
	NO 9005306	A	19910610	NO 1990-5306	19901207
	AU 9067873	A1	19910613	AU 1990-67873	19901207
	CN 1053613	A	19910807	CN 1990-110421	19901207
	ZA 9009836	A	19910925	ZA 1990-9836	19901207
	JP 04217960	A2	19920807	JP 1990-419271	19901207
	JP 07047576	B4	19950524		
	US 5206240	A	19930427	US 1991-709686	19910603
	AU 9463297	A1	19940721	AU 1994-63297	19940524
	CN 1110685	A	19951025	CN 1995-100709	19950106
	US 5633247	A	19970527	US 1995-498525	19950705
PRAI	US 1989-447950		19891208		
	US 1990-612091		19901116		
	US 1991-709686		19910603		
	US 1992-998321		19921230		
OS	MARPAT 116:20938				
IT	136081-76-0P				
	RL: SPN (Synthetic preparation); PREP (Preparation) (prep. of, as antiarrhythmic and cardiogenic)				
RN	136081-76-0 CAPLUS				
CN	Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 3,4-dihydro-1'-[2-(2-pyridinyl)ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)				



● 2 HCl

IT 136074-77-6P 136074-78-7P 136074-79-8P

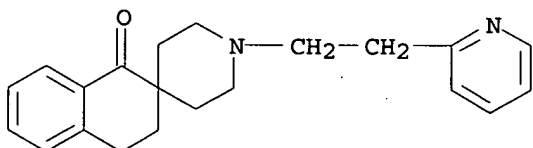
136074-80-1P 136074-81-2P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of, as class III antiarrhythmic and cardiotonic)

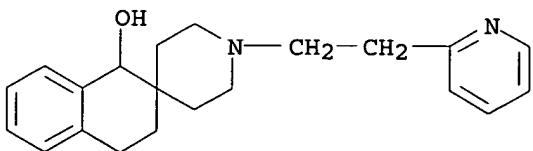
RN 136074-77-6 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 3,4-dihydro-1'-[2-(2-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)



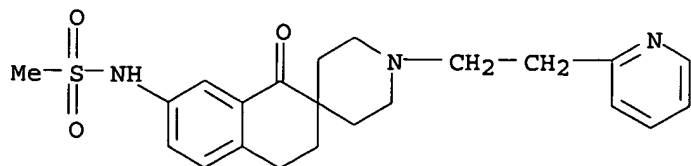
RN 136074-78-7 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-ol, 3,4-dihydro-1'-[2-(2-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)



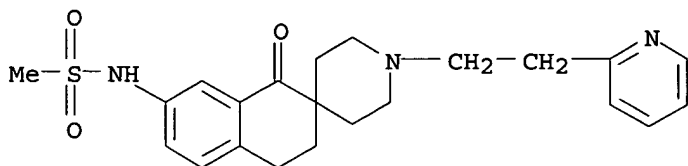
RN 136074-79-8 CAPLUS

CN Methanesulfonamide, N-[3,4-dihydro-1-oxo-1'-[2-(2-pyridinyl)ethyl]spiro[naphthalene-2(1H),4'-piperidin]-7-yl]- (9CI) (CA INDEX NAME)



RN 136074-80-1 CAPLUS

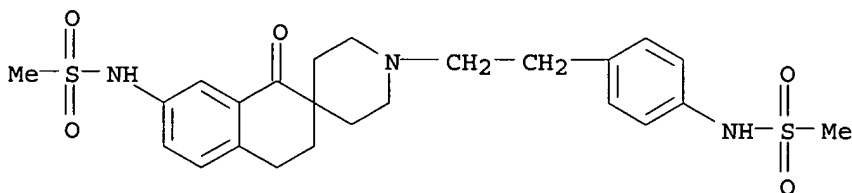
CN Methanesulfonamide, N-[3,4-dihydro-1-oxo-1'-[2-(2-pyridinyl)ethyl]spiro[naphthalene-2(1H),4'-piperidin]-7-yl]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 136074-81-2 CAPLUS

CN Methanesulfonamide, N-[4-[2-[3,4-dihydro-7-[(methanesulfonyl)amino]-1-oxospiro[naphthalene-2(1H),4'-piperidin]-1'-yl]ethyl]phenyl]- (9CI) (CA INDEX NAME)

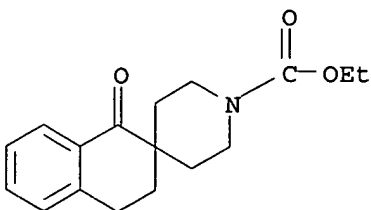


IT 136080-33-6P 136080-34-7P 136080-35-8P
136080-36-9P 136112-40-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediates for antiarrhythmic and cardiotonic)

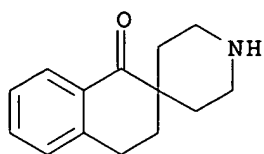
RN 136080-33-6 CAPLUS

CN Spiro[naphthalene-2(1H),4'-piperidine]-1'-carboxylic acid, 3,4-dihydro-1-oxo-, ethyl ester (9CI) (CA INDEX NAME)

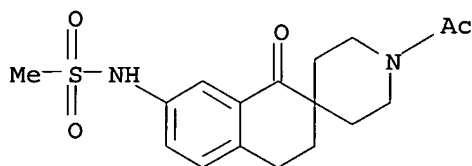


RN 136080-34-7 CAPLUS

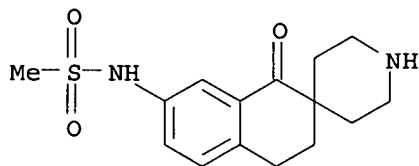
CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 3,4-dihydro- (9CI) (CA INDEX NAME)



RN 136080-35-8 CAPLUS
 CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 1'-acetyl-3,4-dihydro-7-
 [(methanesulfonyl)amino]- (9CI) (CA INDEX NAME)

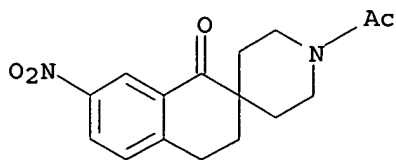


RN 136080-36-9 CAPLUS
 CN Methanesulfonamide, N-(3,4-dihydro-1-oxospiro[naphthalene-2(1H),4'-
 piperidin]-7-yl)-, monohydrochloride (9CI) (CA INDEX NAME)

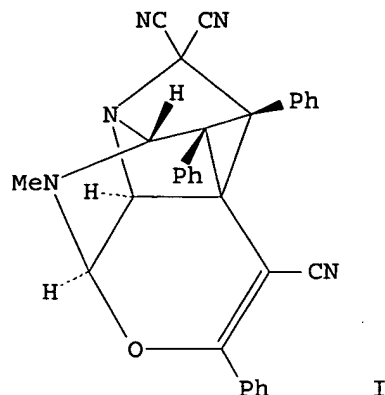


● HCl

RN 136112-40-8 CAPLUS
 CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 1'-acetyl-3,4-dihydro-7-nitro-
 (9CI) (CA INDEX NAME)



L4 ANSWER 17 OF 22 CAPLUS COPYRIGHT 2002 ACS
 GI



AB Imidazolium methylides such as imidazolium dicyanomethylide and bis(ethoxycarbonyl)methylide react with the methylenecyclopropenes with unsatd. substituents at the 4-position in the fashion of double cycloaddn. reaction, leading to the novel cage compds., e.g. I, which involves an intermol. 1,3-dipolar cycloaddn. reaction and an intramol. Diels-Alder reaction.

AN 1984:22615 CAPLUS

DN 100:22615

TI Double cycloaddition reaction of imidazolium methylides. Intermolecular 1,3-dipolar and intramolecular Diels-Alder cycloaddition reactions

AU Tsuge, Otohiko; Kanemasa, Shuji; Takenaka, Shigeori

CS Interdiscip. Grad. Sch. Eng. Sci., Kyushu Univ., Kasuga, 816, Japan

SO Bull. Chem. Soc. Jpn. (1983), 56(7), 2073-6

CODEN: BCSJA8; ISSN: 0009-2673

DT Journal

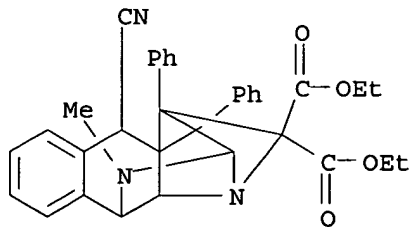
LA English

IT 87446-60-4P 87454-55-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

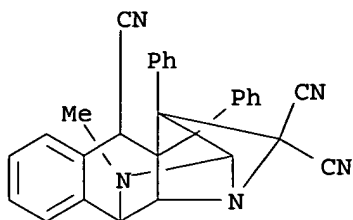
RN 87446-60-4 CAPLUS

CN 6H-2,5,5a-Methenobenzo[g]pyrrolo[1,2,3-cd]benzimidazole-4,4(5H)-dicarboxylic acid, 6-cyano-1,2,10b,10c-tetrahydro-1-methyl-5,11-diphenyl-, diethyl ester, (2.alpha.,5.alpha.,5a.alpha.,6.beta.,10b.beta.,10c.beta.,11S*)- (9CI) (CA INDEX NAME)

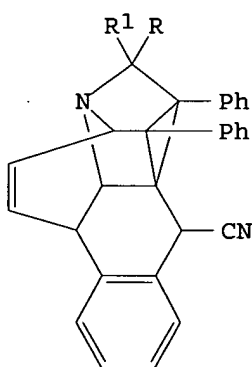


RN 87454-55-5 CAPLUS

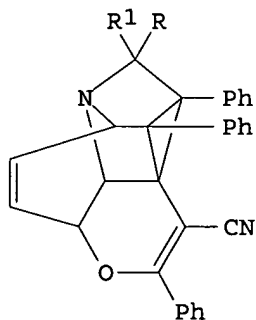
CN 6H-2,5,5a-Methenobenzo[g]pyrrolo[1,2,3-cd]benzimidazole-4,4,6(5H)-tricarboxitrile, 1,2,10b,10c-tetrahydro-1-methyl-5,11-diphenyl-, (2.alpha.,5.alpha.,5a.alpha.,6.beta.,10b.beta.,10c.beta.,11S*)- (9CI) (CA INDEX NAME)



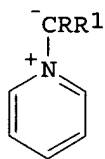
L4 ANSWER 18 OF 22 CAPLUS COPYRIGHT 2002 ACS
GI



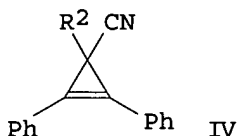
I



II



III



IV

AB Cage compds. (I, II, R = R1 = CN, CO2Et; R = H, R1 = CO2Me, CO2Et, Bz) were prepd. in 16-89% yields by the title reaction of III with IV (R2 = Ph, Bz).

AN 1983:453635 CAPLUS

DN 99:53635

TI Double cycloaddition reaction of pyridinium N-methylides to methylenecyclopropenes leading to cage compounds

AU Tsuge, Otohiko; Kanemasa, Shuji; Takenaka, Shigeori

CS Interdisc. Grad. Sch. Eng. Sci., Kyushu Univ., Kasuga, 816, Japan

SO Chem. Lett. (1983), (4), 519-22

CODEN: CMLTAG; ISSN: 0366-7022

DT Journal

LA English

IT 86551-85-1P 86551-86-2P 86551-87-3P

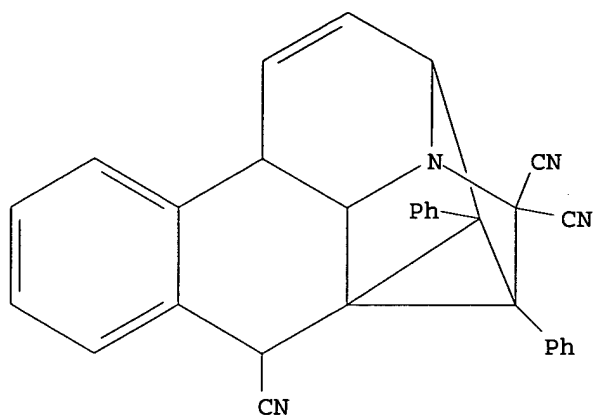
86551-88-4P 86551-89-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

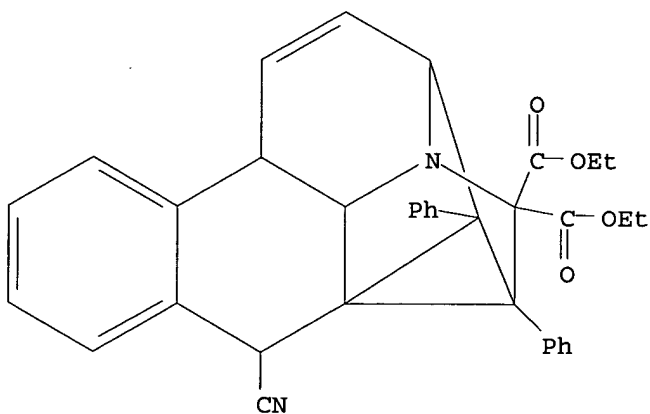
RN 86551-85-1 CAPLUS

CN 7H-3,6,6a-Metheno-3H-benzo[f]pyrrolo[3,2,1-ij]quinoline-5,5,7(6H)-tricarbonitrile, 11b,11c-dihydro-6,12-diphenyl-,

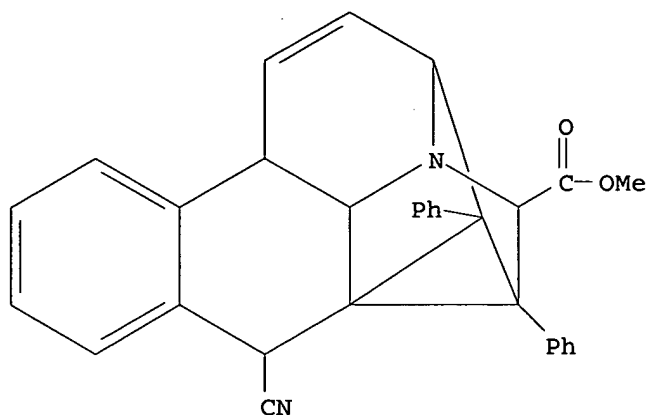
(3.alpha.,6.alpha.,6a.alpha.,7.beta.,11b.beta.,11c.beta.,12R*)- (9CI) (CA INDEX NAME)



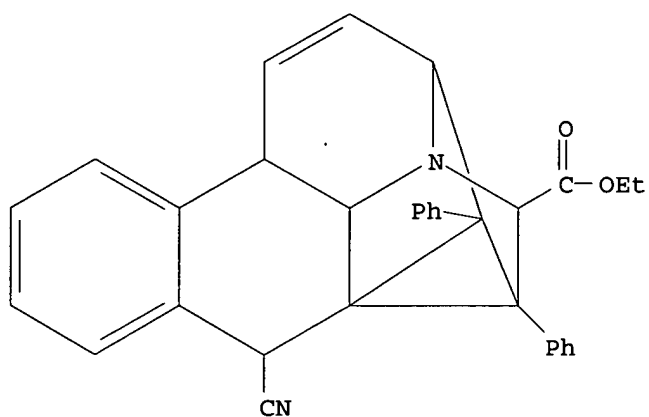
RN 86551-86-2 CAPLUS
CN 7H-3,6,6a-Metheno-3H-benzo[f]pyrrolo[3,2,1-ij]quinoline-5,5(6H)-dicarboxylic acid, 7-cyano-11b,11c-dihydro-6,12-diphenyl-, diethyl ester, (3.alpha.,6.alpha.,6a.alpha.,7.beta.,11b.beta.,11c.beta.,12R*)- (9CI) (CA INDEX NAME)



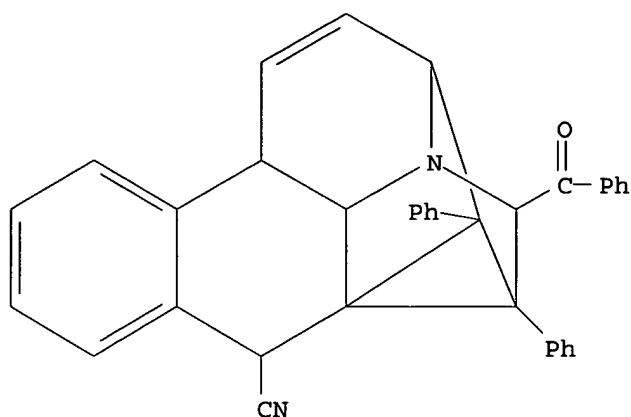
RN 86551-87-3 CAPLUS
CN 7H-3,6,6a-Metheno-3H-benzo[f]pyrrolo[3,2,1-ij]quinoline-5-carboxylic acid, 7-cyano-5,6,11b,11c-tetrahydro-6,12-diphenyl-, methyl ester, (3.alpha.,5.alpha.,6.alpha.,6a.alpha.,7.beta.,11b.beta.,11c.beta.,12R*)- (9CI) (CA INDEX NAME)



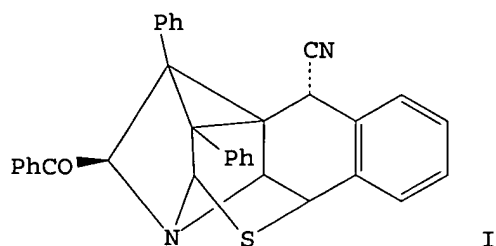
RN 86551-88-4 CAPLUS
 CN 7H-3,6,6a-Metheno-3H-benzo[f]pyrrolo[3,2,1-ij]quinoline-5-carboxylic acid,
 7-cyano-5,6,11b,11c-tetrahydro-6,12-diphenyl-, ethyl ester,
 (3.alpha.,5.alpha.,6.alpha.,6a.alpha.,7.beta.,11b.beta.,11c.beta.,12R*) -
 (9CI) (CA INDEX NAME)



RN 86551-89-5 CAPLUS
 CN 7H-3,6,6a-Metheno-3H-benzo[f]pyrrolo[3,2,1-ij]quinoline-7-carbonitrile,
 5-benzoyl-5,6,11b,11c-tetrahydro-6,12-diphenyl-,
 (3.alpha.,5.alpha.,6.alpha.,6a.alpha.,7.beta.,11b.beta.,11c.beta.,12R*) -
 (9CI) (CA INDEX NAME)



L4 ANSWER 19 OF 22 CAPLUS COPYRIGHT 2002 ACS
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AB Thiazolium N-phenacylide and N-dicyanomethylide react with a methylenecyclopropane bearing an aryl group on the 4-position to give novel cage compds., 6,8-thiazapentacyclo[6.3.1.01,10.05,12.07,11]dodecenes, e.g. I. The reaction proceeds via an intramol. Diels-Alder reaction of the initially formed endo-[3 + 2]cycloadducts, followed by a hydrogen shift.

AN 1982:472266 CAPLUS

DN 97:72266

TI Formation of novel cage compounds via endo-[3 + 2] cycloadducts between thiazolium N-methylides and methylenecyclopropenes

AU Tsuge, Otohiko; Shimoharada, Hiroshi; Noguchi, Michihiko; Kanemasa, Shuji

CS Res. Inst. Ind. Sci., Kyushu Univ., Kasuga, 816, Japan

SO Chem. Lett. (1982), (5), 711-14

CODEN: CMLTAG; ISSN: 0366-7022

DT Journal

LA English

IT 82562-82-1P 82562-83-2P 82571-79-7P

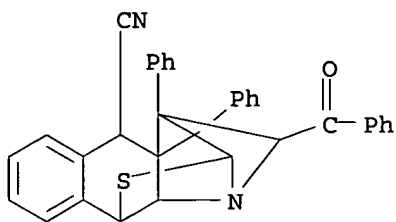
82597-72-6P 82597-73-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 82562-82-1 CAPLUS

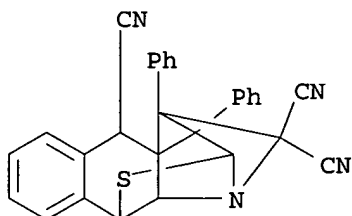
CN 6H-2,5,5a-Metheno-2H-benzo[g]pyrrolo[1,2,3-cd]benzothiazole-6-carbonitrile, 4-benzoyl-4,5,10b,10c-tetrahydro-5,11-diphenyl-,

(2.alpha.,4.alpha.,5.alpha.,5a.alpha.,6.beta.,10b.beta.,10c.beta.,11R*) -
(9CI) (CA INDEX NAME)



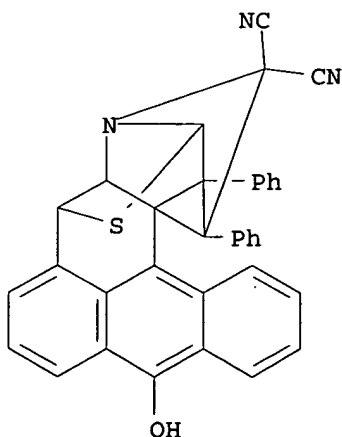
RN 82562-83-2 CAPLUS

CN 6H-2,5,5a-Metheno-2H-benzo[g]pyrrolo[1,2,3-cd]benzothiazole-4,4,6(5H) -
tricarbonitrile, 10b,10c-dihydro-5,11-diphenyl-,
(2.alpha.,5.alpha.,5a.alpha.,6.beta.,10b.beta.,10c.beta.,11R*) - (9CI) (CA
INDEX NAME)



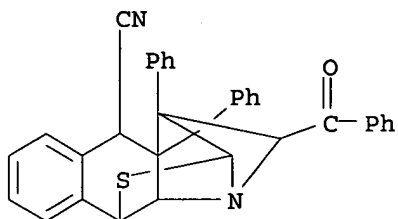
RN 82571-79-7 CAPLUS

CN 1,10c,11-Metheno-1H,10cH-anthra[9,1-fg]pyrrolo[1,2,3-cd]benzothiazole-
12,12(11H)-dicarbonitrile, 2a,13a-dihydro-6-hydroxy-11,14-diphenyl- (9CI)
(CA INDEX NAME)



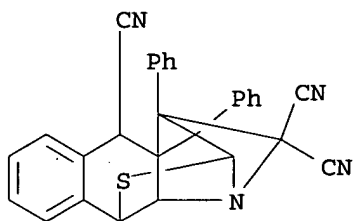
RN 82597-72-6 CAPLUS

CN 6H-2,5,5a-Metheno-2H-benzo[g]pyrrolo[1,2,3-cd]benzothiazole-6-
carbonitrile, 4-benzoyl-4,5,10b,10c-tetrahydro-5,11-diphenyl-,
(2.alpha.,4.alpha.,5.alpha.,5a.alpha.,6.alpha.,10b.beta.,10c.beta.,11R*) -
(9CI) (CA INDEX NAME)

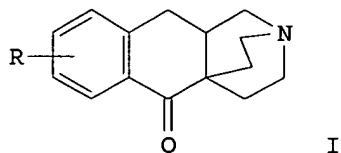


RN 82597-73-7 CAPLUS

CN 6H-2,5,5a-Metheno-2H-benzo[g]pyrrolo[1,2,3-cd]benzothiazole-4,4,6 (5H) - tricarbonitrile, 10b,10c-dihydro-5,11-diphenyl-, (2.alpha.,5.alpha.,5a.alpha.,6.alpha.,10b.beta.,10c.beta.,11R*) - (9CI) (CA INDEX NAME)



L4 ANSWER 20 OF 22 CAPLUS COPYRIGHT 2002 ACS
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AB The title compds. I (R = H, alkyl, halo), useful as antifertility and analgesic agents, were prepd. Thus, 10.0 g 1-(3-phenyl-2-propenyl)-4-piperidinecarbonitrile, prepd. from isonipecotamide and ClCH₂CH:CHPh, was cyclized in the presence of LiNEt₂ to give 3.67 g 3-benzyl-1-azabicyclo[2.2.2]octane-4-carbonitrile, which was hydrolyzed to the carboxylic acid, whose cyclization in the presence of polyphosphoric acid at 120.degree. for 3 h gave, after treatment with HCl, I.HCl (R = H;II), which at 5 mg/kg s.c. relieved pain in 3 of 4 mice. Also, at 30.0 mg/kg s.c. II showed antifertility activity in 5 of the 8 female hamsters tested.

AN 1981:480737 CAPLUS

DN 95:80737

TI 2,4a-Ethanobenz[g]isoquinolin-5(1H)-ones and their use as anti-fertility and analgesic agents

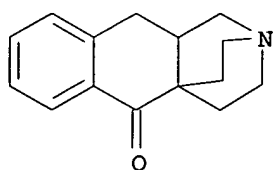
IN Farr, Robert A.; Dolfini, Joseph E.

PA Richardson-Merrell Inc., USA

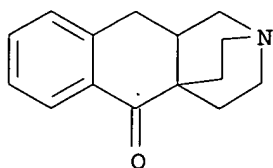
SO U.S., 6 pp.

CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4244955	A	19810113	US 1979-34357	19790430
IT	76448-01-6P 76448-02-7P				
	RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (prepn. and pharmacol. activity of)				
RN	76448-01-6 CAPLUS				
CN	2,4a-Ethanobenz [g] isoquinolin-5 (1H) -one, 3,4,10,10a-tetrahydro- (9CI) (CA INDEX NAME)				

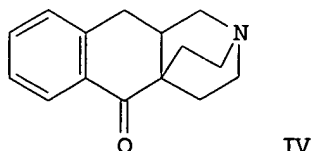
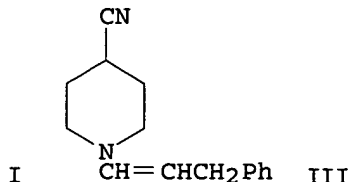
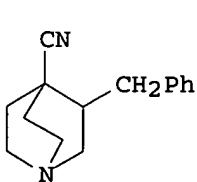


RN 76448-02-7 CAPLUS
 CN 2,4a-Ethanobenz [g] isoquinolin-5 (1H) -one, 3,4,10,10a-tetrahydro-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

L4 ANSWER 21 OF 22 CAPLUS COPYRIGHT 2002 ACS
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AB 3-(Phenylmethyl)-1-azabicyclo[2.2.2]octane-4-carbonitrile (I) was isolated in 56-60% yield from 1-(3-phenyl-2-propenyl)-4-piperidinecarbonitrile (II) after heating for 20 min in THF contg. one equiv of LiNEt₂ and excess Et₂NHl. Also isolated from the reaction mixt. were 9-15% of recovered II

and 13% of enamine III. Chem. evidence in support of the structure of quinuclidine I was obtained upon hydrolysis of the nitrile to the corresponding carboxylic acid, which cyclized in polyphosphoric acid or H₂SO₄/HCCl₃ to give the ethanobenzisoquinolinone IV. A mechanism to account for the formation of I involving reversible formation of benzylic carbanion is proposed.

AN 1981:121283 CAPLUS

DN 94:121283

TI Intramolecular anionic cycloaddition of 1-(3-phenyl-2-propenyl)-4-piperidinecarbonitrile. Synthesis of the 2,4a-ethanobenz[g]isoquinolin-5(1H)-one ring system

AU Farr, Robert A.; Dolfini, Joseph E.; Carr, Albert A.

CS Merrell Res. Cent.; Cincinnati, OH, 45215, USA

SO J. Org. Chem. (1981), 46(6), 1212-15

CODEN: JOCEAH; ISSN: 0022-3263

DT Journal

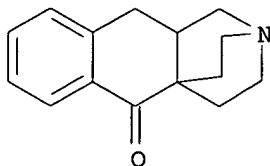
LA English

IT 76448-01-6P 76448-02-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

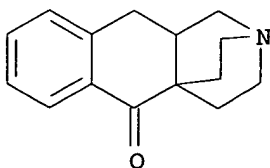
RN 76448-01-6 CAPLUS

CN 2,4a-Ethanobenz[g]isoquinolin-5(1H)-one, 3,4,10,10a-tetrahydro- (9CI) (CA INDEX NAME)



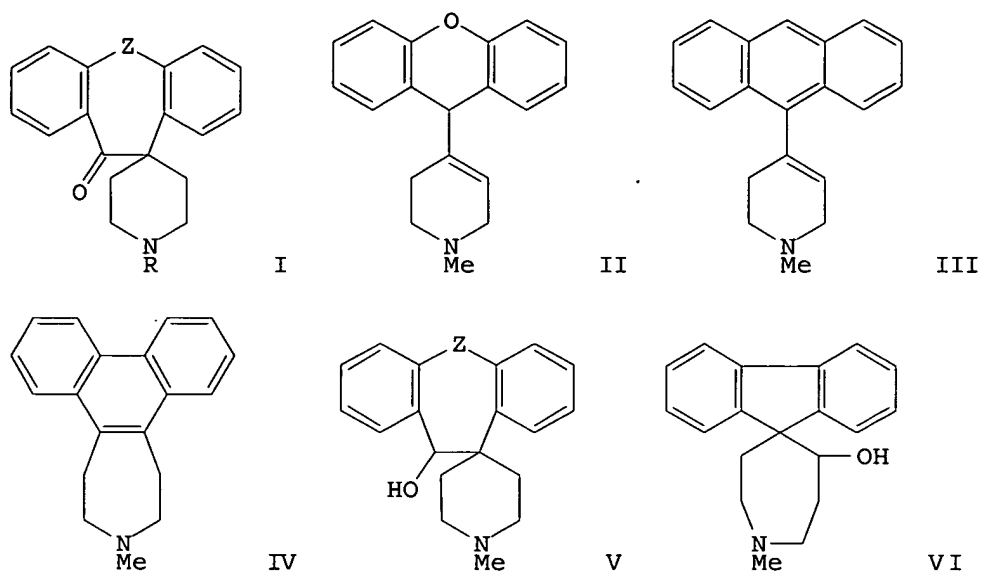
RN 76448-02-7 CAPLUS

CN 2,4a-Ethanobenz[g]isoquinolin-5(1H)-one, 3,4,10,10a-tetrahydro-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

L4 ANSWER 22 OF 22 CAPLUS COPYRIGHT 2002 ACS
GI



AB Spiro compds. (I; R = Me, PhCH₂; Z = bond, O, CH₂) were prepd. by a sequence of reactions involving the pinacol rearrangement of 9-(1-ethoxycarbonyl-4-piperidiny)fluorene-9,4'-diol or the cyclization of 1-benzyl-4-(o-substituted phenyl)-4-carboxy(or cyano)piperidine. Pyridylxanthene or -anthracene derivs. II and III and phenanthro[9,10-d]azepine deriv. IV were also prepd. by the Wagner-Meerwein rearrangement of .alpha.-hydroxy spiro compds. V. Among the compds. synthesized, the spiro(azepinefluorene) deriv. VI showed marked anticonvulsant activity.

AN 1980:76260 CAPLUS

DN 92:76260

TI Studies on psychotropic agents. V. Synthesis of 1-substituted spiro[dibenz[b,f]oxepin-11,4'-piperidine]-10(11H)-one and related compounds

AU Nagai, Yasutaka; Uno, Hitoshi

CS Res. Lab., Dainippon Pharm. Co., Ltd., Suita, Japan

SO Chem. Pharm. Bull. (1979), 27(9), 2056-64

CODEN: CPBTAL; ISSN: 0009-2363

DT Journal

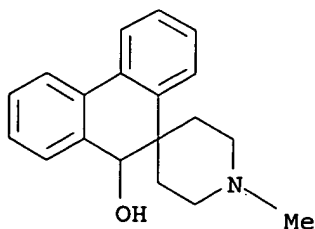
LA English

IT 72643-50-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and oxidn. of)

RN 72643-50-6 CAPLUS

CN Spiro[phenanthrene-9(10H),4'-piperidin]-10-ol, 1'-methyl- (9CI) (CA INDEX NAME)

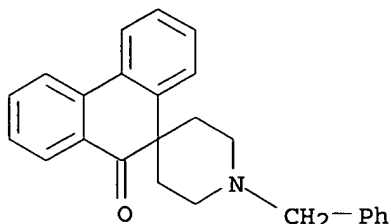


IT 72643-59-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, with Et chloroformate)

RN 72643-59-5 CAPLUS

CN Spiro[phenanthrene-9(10H),4'-piperidin]-10-one, 1'-(phenylmethyl)- (9CI)
(CA INDEX NAME)

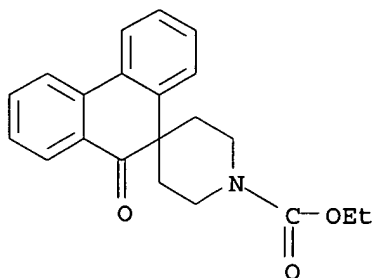


IT 72643-49-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and redn. of)

RN 72643-49-3 CAPLUS

CN Spiro[phenanthrene-9(10H),4'-piperidine]-1'-carboxylic acid, 10-oxo-,
ethyl ester (9CI) (CA INDEX NAME)

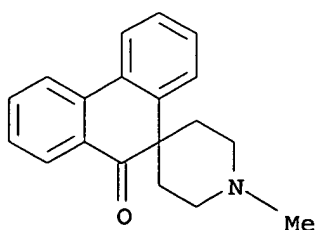


IT 72643-51-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 72643-51-7 CAPLUS

CN Spiro[phenanthrene-9(10H),4'-piperidin]-10-one, 1'-methyl- (9CI) (CA
INDEX NAME)



=>

9980965.trn10/01/2003

=> file stnguide

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

108.83

289.14

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-13.63

-13.63

FILE 'STNGUIDE' ENTERED AT 17:03:03 ON 13 MAY 2002

USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT

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AND TECHNOLOGY CORPORATION, AND FACHINFORMATIONSZENTRUM KARLSRUHE

FILE CONTAINS CURRENT INFORMATION.

LAST RELOADED: May 10, 2002 (20020510/UP).

=>

Connection closed by remote host

---Logging off of STN---

END

Unable to generate the STN prompt.

Exiting the script...

Welcome to STN International! Enter x:x

LOGINID:SSSPTA1612RXD

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * Welcome to STN International * * * * *

NEWS 1 Web Page URLs for STN Seminar Schedule - N. America
NEWS 2 Jan 25 BLAST(R) searching in REGISTRY available in STN on the Web
NEWS 3 Jan 29 FSTA has been reloaded and moves to weekly updates
NEWS 4 Feb 01 DKILIT now produced by FIZ Karlsruhe and has a new update
frequency
NEWS 5 Feb 19 Access via Tymnet and SprintNet Eliminated Effective 3/31/02
NEWS 6 Mar 08 Gene Names now available in BIOSIS
NEWS 7 Mar 22 TOXLIT no longer available
NEWS 8 Mar 22 TRCTHERMO no longer available
NEWS 9 Mar 28 US Provisional Priorities searched with P in CA/Caplus
and USPATFULL
NEWS 10 Mar 28 LIPINSKI/CALC added for property searching in REGISTRY
NEWS 11 Apr 02 PAPERCHEM no longer available on STN. Use PAPERCHEM2 instead.
NEWS 12 Apr 08 "Ask CAS" for self-help around the clock
NEWS 13 Apr 09 BEILSTEIN: Reload and Implementation of a New Subject Area
NEWS 14 Apr 09 ZDB will be removed from STN
NEWS 15 Apr 19 US Patent Applications available in IFICDB, IFIPAT, and IFIUDB
NEWS 16 Apr 22 Records from IP.com available in CAPLUS, HCAPLUS, and ZCAPLUS
NEWS 17 Apr 22 BIOSIS Gene Names now available in TOXCENTER
NEWS 18 Apr 22 Federal Research in Progress (FEDRIP) now available

NEWS EXPRESS February 1 CURRENT WINDOWS VERSION IS V6.0d,
 CURRENT MACINTOSH VERSION IS V6.0a(ENG) AND V6.0Ja(JP),
 AND CURRENT DISCOVER FILE IS DATED 05 FEBRUARY 2002
 NEWS HOURS STN Operating Hours Plus Help Desk Availability
 NEWS INTER General Internet Information
 NEWS LOGIN Welcome Banner and News Items
 NEWS PHONE Direct Dial and Telecommunication Network Access to STN
 NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 09:59:41 ON 17 MAY 2002

=> file registry

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 09:59:56 ON 17 MAY 2002

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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STRUCTURE FILE UPDATES: 15 MAY 2002 HIGHEST RN 416838-75-0

DICTIONARY FILE UPDATES: 15 MAY 2002 HIGHEST RN 416838-75-0

TSCA INFORMATION NOW CURRENT THROUGH July 7, 2001

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Calculated physical property data is now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:

<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

=> s tetralin/cn

L1 1 TETRALIN/CN

=> d

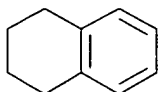
L1 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2002 ACS

RN 119-64-2 REGISTRY

CN Naphthalene, 1,2,3,4-tetrahydro- (8CI, 9CI) (CA INDEX NAME)

OTHER NAMES:

CN 1,2,3,4-Tetrahydronaphthalene
 CN Benzocyclohexane
 CN Tetrahydronaphthalene
 CN **Tetralin**
 CN Tetranap
 FS 3D CONCORD
 MF C10 H12
 CI COM
 LC STN Files: AGRICOLA, ANABSTR, BEILSTEIN*, BIOBUSINESS, BIOSIS,
 BIOTECHNO, CA, CANCERLIT, CAOLD, CAPLUS, CASREACT, CBNB, CEN, CHEMCATS,
 CHEMINFORMRX, CHEMLIST, CHEMSAFE, CIN, CSCHEM, CSNB, DDFU, DETHERM*,
 DIPPR*, DRUGU, EMBASE, ENCOMPLIT, ENCOMPLIT2, ENCOMPPAT, ENCOMPPAT2,
 GMELIN*, HODOC*, HSDB*, IFICDB, IFIPAT, IFIUDB, MEDLINE, MRCK*,
 MSDS-OHS, NAPRALERT, NIOSHTIC, PDLCOM*, PIRA, PROMT, RTECS*, SPECINFO,
 SYNTHLINE, TOXCENTER, ULIDAT, USPAT2, USPATFULL, VTB
 (*File contains numerically searchable property data)
 Other Sources: DSL**, EINECS**, TSCA**
 (**Enter CHEMLIST File for up-to-date regulatory information)



****PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT****

4763 REFERENCES IN FILE CA (1967 TO DATE)
 246 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
 4773 REFERENCES IN FILE CAPLUS (1967 TO DATE)
 11 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

=> s spirotetralin
 0 SPIROTETRALIN
 L2 0 SPIROTETRALIN

 => s spiro-tetralin
 310714 SPIRO
 1 SPIROS
 310714 SPIRO
 (SPIRO OR SPIROS)
 716 TETRALIN
 L3 0 SPIRO-TETRALIN
 (SPIRO (W) TETRALIN)

=> file stnguide		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	19.10	19.31

FILE 'STNGUIDE' ENTERED AT 10:02:15 ON 17 MAY 2002
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FILE CONTAINS CURRENT INFORMATION.
 LAST RELOADED: May 10, 2002 (20020510/UP).

9980965.trn10/01/2003

=>

=> logoff y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

2.76

22.07

STN INTERNATIONAL LOGOFF AT 10:29:59 ON 17 MAY 2002

Welcome to STN International! Enter x:x

LOGINID:SSSPTA1612RXD

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * Welcome to STN International * * * * *

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NEWS 3 Jan 29 FSTA has been reloaded and moves to weekly updates
NEWS 4 Feb 01 DKILIT now produced by FIZ Karlsruhe and has a new update
frequency
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NEWS 6 Mar 08 Gene Names now available in BIOSIS
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NEWS 9 Mar 28 US Provisional Priorities searched with P in CA/CAPLUS
and USPATFULL
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NEWS 12 Apr 08 "Ask CAS" for self-help around the clock
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NEWS EXPRESS February 1 CURRENT WINDOWS VERSION IS V6.0d,
CURRENT MACINTOSH VERSION IS V6.0a(ENG) AND V6.0Ja(JP),
AND CURRENT DISCOVER FILE IS DATED 05 FEBRUARY 2002
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NEWS INTER General Internet Information
NEWS LOGIN Welcome Banner and News Items
NEWS PHONE Direct Dial and Telecommunication Network Access to STN
NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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9980965.trn11:31Page 179

* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 10:36:40 ON 17 MAY 2002

=>

Uploading

THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE

Do you want to switch to the Registry File?

Choice (Y/n):

Switching to the Registry File...

Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	0.84	0.84

FILE 'REGISTRY' ENTERED AT 10:39:01 ON 17 MAY 2002

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DICTIONARY FILE UPDATES: 15 MAY 2002 HIGHEST RN 416838-75-0

TSCA INFORMATION NOW CURRENT THROUGH July 7, 2001

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Calculated physical property data is now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:

<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

=>

Uploading 9980965iso.str

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

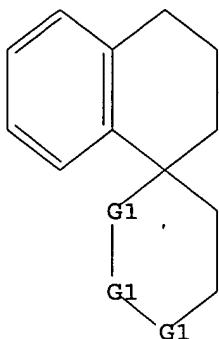
L1 STR

L11 STRUCTURE UPLOADED

=> d l11

L11 HAS NO ANSWERS

L11 STR



G1 C,N

Structure attributes must be viewed using STN Express query preparation.

=> s l11

SAMPLE SEARCH INITIATED 10:51:05 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 5858 TO ITERATE

17.1% PROCESSED 1000 ITERATIONS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

2 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 112575 TO 121745
PROJECTED ANSWERS: 29 TO 439

L12 2 SEA SSS SAM L11

=> s l11 ful

FULL SEARCH INITIATED 10:51:13 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 116632 TO ITERATE

100.0% PROCESSED 116632 ITERATIONS
SEARCH TIME: 00.00.02

343 ANSWERS

L13 343 SEA SSS FUL L11

=> file uspatall

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
140.28	548.74

FULL ESTIMATED COST

FILE 'USPATFULL' ENTERED AT 10:51:20 ON 17 MAY 2002
CA INDEXING COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 10:51:20 ON 17 MAY 2002

CA INDEXING COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

=> s l13

L14 27 L13

=> l14 and piperdi?

L14 IS NOT A RECOGNIZED COMMAND

The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).

=> s l14 and piperdi?

L15 2 L14 AND PIPERDI?

=> d abs bib hitstr 1-2

L15 ANSWER 1 OF 2 USPATFULL

AB A series of hetero-oxy alkanamines are effective pharmaceuticals for the treatment of conditions related to or affected by the reuptake of serotonin and by the serotonin 1.sub.A receptor. The compounds are particularly useful for alleviating the symptoms of nicotine and tobacco withdrawal, and for the treatment of depression and other conditions for which serotonin reuptake inhibitors are used.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AN 97:25037 USPATFULL

TI Compounds having effects on serotonin-related systems

IN Audia, James E., Indianapolis, IN, United States

Krushinski, Jr., Joseph H., Indianapolis, IN, United States

Rasmussen, Kurt, Fishers, IN, United States

Rocco, Vincent P., Indianapolis, IN, United States

Schaus, John M., Zionsville, IN, United States

Thompson, Dennis C., Indianapolis, IN, United States

Wong, David T., Indianapolis, IN, United States

PA Eli Lilly and Company, Indianapolis, IN, United States (U.S. corporation)

PI US 5614523 19970325

AI US 1995-470512 19950606 (8)

RLI Continuation-in-part of Ser. No. US 1995-373823, filed on 17 Jan 1995, now abandoned

DT Utility

FS Granted

EXNAM Primary Examiner: Shah, Mukund J.; Assistant Examiner: Bottino, Anthony

LREP Jones, Joseph A., Boone, David E.

CLMN Number of Claims: 19

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 5755

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 180158-08-1P 180158-10-5P 180158-74-1P

180158-75-2P

(prepn. of heteroaryloxy alkanamines having effects on
serotonin-related systems)

RN 180158-08-1 USPATFULL

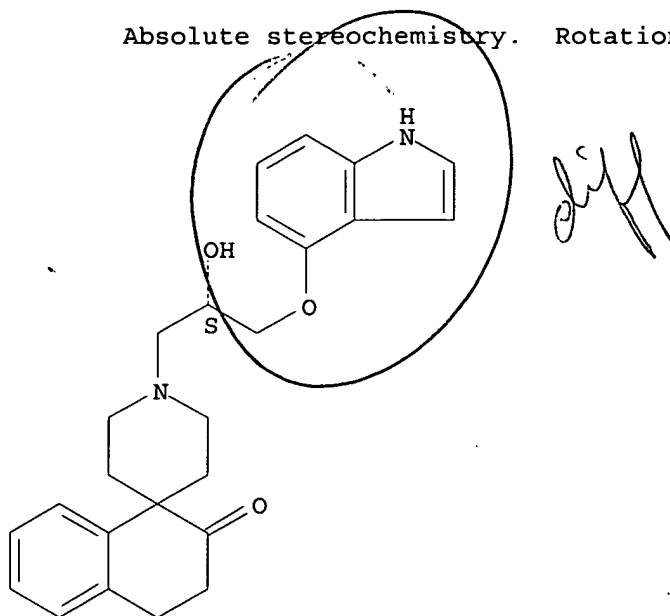
CN Spiro[naphthalene-1(2H),4'-piperidin]-2-one, 3,4-dihydro-1'-[(2S)-2-hydroxy-3-(1H-indol-4-yloxy)propyl]-, ethanedioate (1:1) (salt) (9CI)
(CA INDEX NAME)

CM 1

9980965.trn10/01/2003

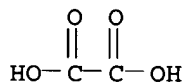
CRN 180158-07-0
CMF C25 H28 N2 O3

Absolute stereochemistry. Rotation (-).



CM 2

CRN 144-62-7
CMF C2 H2 O4

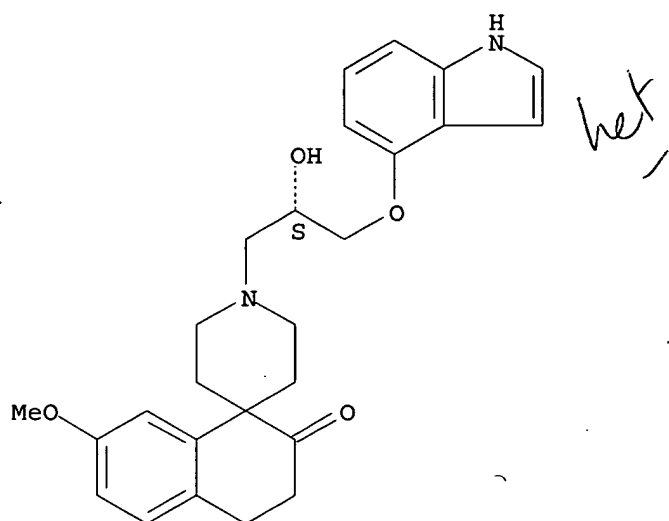


RN 180158-10-5 USPATFULL
CN Spiro[naphthalene-1(2H),4'-piperidin]-2-one, 3,4-dihydro-1'-[(2S)-2-hydroxy-3-(1H-indol-4-yloxy)propyl]-7-methoxy-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 180158-09-2
CMF C26 H30 N2 O4

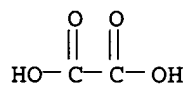
Absolute stereochemistry. Rotation (-).



CM 2

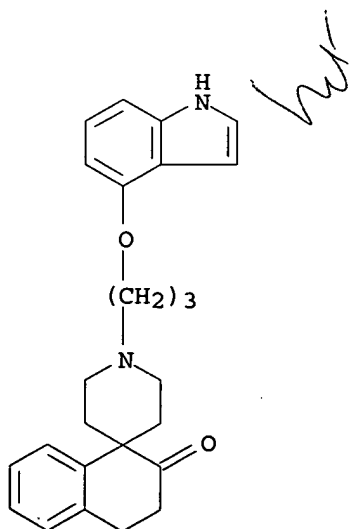
CRN 144-62-7

CMF C2 H2 O4



RN 180158-74-1 USPATFULL

CN Spiro[naphthalene-1(2H),4'-piperidin]-2-one, 3,4-dihydro-1'-[3-(1H-indol-4-yloxy)propyl]- (9CI) (CA INDEX NAME)



RN 180158-75-2 USPATFULL

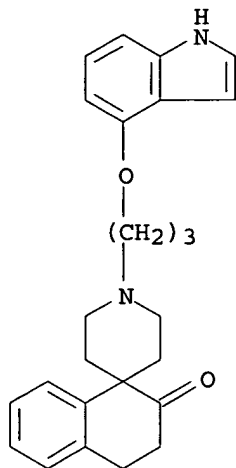
CN Spiro[naphthalene-1(2H),4'-piperidin]-2-one, 3,4-dihydro-1'-[3-(1H-indol-4-yloxy)propyl]- (9CI) (CA INDEX NAME)

yl oxy)propyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 180158-74-1

CMF C25 H28 N2 O2

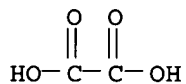


het
4 piper

CM 2

CRN 144-62-7

CMF C2 H2 O4

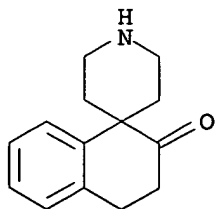


IT 180160-95-6 180160-96-7

(prepn. of heteroaryloxy alkanamines having effects on serotonin-related systems)

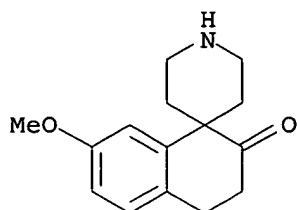
RN 180160-95-6 USPATFULL

CN Spiro[naphthalene-1(2H),4'-piperidin]-2-one, 3,4-dihydro- (9CI) (CA INDEX NAME)



RN 180160-96-7 USPATFULL

CN Spiro[naphthalene-1(2H),4'-piperidin]-2-one, 3,4-dihydro-7-methoxy- (9CI) (CA INDEX NAME)



L15 ANSWER 2 OF 2 USPATFULL

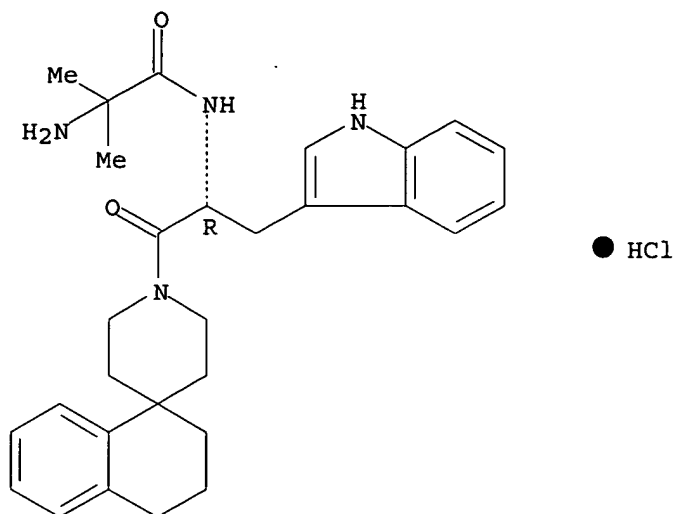
AB There are disclosed certain novel compounds identified as spiro piperidines and homologs which promote the release of growth hormone in humans and animals. This property can be utilized to promote the growth of food animals to render the production of edible meat products more efficient, and in humans, to treat physiological or medical conditions characterized by a deficiency in growth hormone secretion, such as short stature in growth hormone deficient children, and to treat medical conditions which are improved by the anabolic effects of growth hormone. Growth hormone releasing compositions containing such spiro compounds as the active ingredient thereof are also disclosed.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

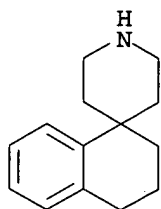
AN 96:108962 USPATFULL
 TI Spiro piperidines and homologs promote release of growth hormone
 IN Chen, Meng-Hsin, Westfield, NJ, United States
 Johnston, David B. R., Warren, NJ, United States
 Nargund, Ravi P., East Brunswick, NJ, United States
 Patchett, Arthur A., Westfield, NJ, United States
 Tata, James R., Westfield, NJ, United States
 Yang, Lihu, Edison, NJ, United States
 PA Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)
 PI US 5578593 19961126
 AI US 1993-146848 19931103 (8)
 RLI Continuation-in-part of Ser. No. US 1992-989322, filed on 11 Dec 1992, now abandoned
 DT Utility
 FS Granted
 EXNAM Primary Examiner: Ivy, C. Warren; Assistant Examiner: Covington, Raymond
 LREP Thies, J. Eric, Rose, David L.
 CLMN Number of Claims: 17
 ECL Exemplary Claim: 1
 DRWN No Drawings
 LN.CNT 7922

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 185523-35-7P
 (prepn. of N-(.alpha.-aminoalkanoyl)spiropiperidines as growth hormone release promoters)
 RN 185523-35-7 USPATFULL
 CN Propanamide, 2-amino-N-[2-(3,4-dihydrospiro[naphthalene-1(2H),4'-piperidin]-1'-yl)-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-2-methyl-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

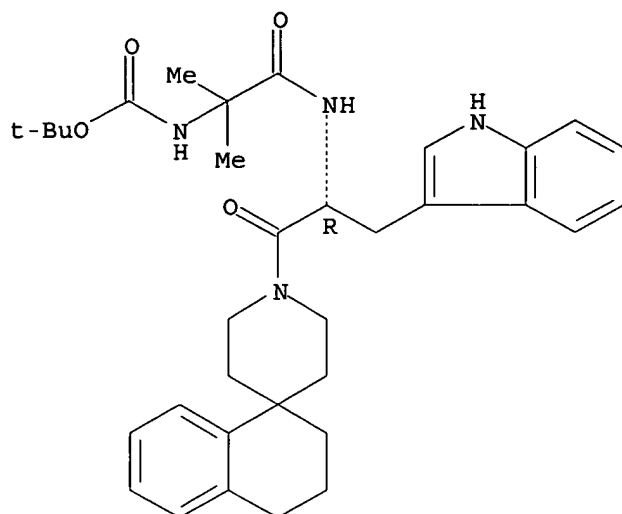


IT 134697-64-6, 3,4-Dihydrospiro[naphthalene-1(2H),4'-piperidine]
 (prepn. of N-(.alpha.-aminoalkanoyl)spiropiperidines as growth hormone
 release promoters)
 RN 134697-64-6 USPATFULL
 CN Spiro[naphthalene-1(2H),4'-piperidine], 3,4-dihydro- (9CI) (CA INDEX
 NAME)



IT 185525-57-9P
 (prepn. of N-(.alpha.-aminoalkanoyl)spiropiperidines as growth hormone
 release promoters)
 RN 185525-57-9 USPATFULL
 CN Carbamic acid, [2-[[2-(3,4-dihydrospiro[naphthalene-1(2H),4'-piperidin]-1'-
 yl)-1-(1H-indol-3-ylmethyl)-2-oxoethyl]amino]-1,1-dimethyl-2-oxoethyl]-,
 1,1-dimethylethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> s 114 and pain

L16 11 L14 AND PAIN

=> d abs bib fhitr 1-11

L16 ANSWER 1 OF 11 USPATFULL

AB Piperidine compounds having the general formula (I), ##STR1##

wherein R^{sup.1} is (a) a group consisting of alkyl, alkenyl, cycloalkyl, cycloalkenyl, phenyl, cycloalkylalkyl, cycloalkenylalkyl, phenylalkyl or diphenylalkyl linked to the piperidyl N-atom through an at least 2-membered spacer group; or (b) a group having general formula (II), ##STR2##

wherein X is CHR^{sup.10}, O, S, SO, SO₂ or NR^{sup.10}, Z^{sup.1} is CH₂, O, or S; Z^{sup.2} and Z^{sup.3} are independently (CH₂)_n, n being 0 or 1, O or S or Z^{sup.1} and Z^{sup.2} may together represent a group --CH=CH--; or when Z^{sup.3} is (CH₂)_n wherein n is 0, Z^{sup.1} and Z^{sup.2} may together represent a 3-membered divalent group; show potent sigma receptor activity. Furthermore they show effect in animal models indicative of anxiolytic properties. Accordingly they are useful as medicines for the treatment of anxiety, psychosis, epilepsy, convulsion, movement disorders, motor disturbances, amnesia, cerebrovascular diseases, senile dementia of the Alzheimer type or Parkinson's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AN 2001:44235 USPATFULL

TI Piperidine derivatives having anxiolytic effect

IN Moltzen, Ejner K., Frederiksberg C, Denmark

Perregaard, Jens Kristian, Jaegerspris, Denmark

PA H. Lundbeck A/S, Copenhagen-Valby, Denmark (non-U.S. corporation)

PI US 6207677 B1 20010327

AI US 1999-391290 19990907 (9)

RLI Continuation of Ser. No. US 1995-486510, filed on 7 Jun 1995, now patented, Pat. No. US 6031099 Division of Ser. No. US 1993-166647, filed on 13 Dec 1993, now patented, Pat. No. US 5665725 Continuation of Ser.

No. WO 1992-DK183, filed on 12 Jun 1992
 PRAI DK 1991-1129 19910613
 DK 1991-1131 19910613
 DK 1992-157 19920210

DT Utility

FS Granted

EXNAM Primary Examiner: Huang, Evelyn Mei

LREP Darby & Darby

CLMN Number of Claims: 8

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 2119

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 147835-16-3P

(prepn. of, as .sigma.-receptor antagonist)

RN 147835-16-3 USPATFULL

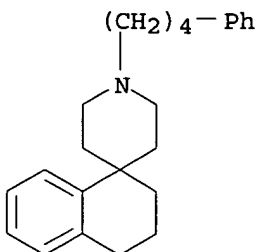
CN Spiro[naphthalene-1(2H),4'-piperidine], 3,4-dihydro-1'-(4-phenylbutyl)-,
 (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

*Ref. not good
checked.*

CM 1

CRN 147835-15-2

CMF C24 H31 N



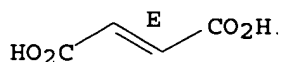
CM 2

CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.



L16 ANSWER 2 OF 11 USPATFULL

AB A series of hetero-oxy alkanamines are effective pharmaceuticals for the treatment of conditions related to or affected by the reuptake of serotonin and by the serotonin 1.sub.A receptor. The compounds are particularly useful for alleviating the symptoms of nicotine and tobacco withdrawal, and for the treatment of depression and other conditions for which serotonin reuptake inhibitors are used.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

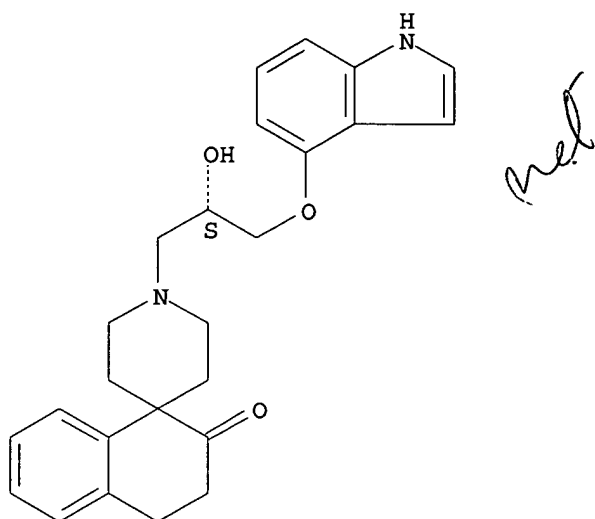
AN 2001:4747 USPATFULL

TI Compounds having effects on serotonin-related systems
IN Audia, James E., Indianapolis, IN, United States
Hibschman, David J., Bargersville, IN, United States
Krushinski, Jr., Joseph H., Indianapolis, IN, United States
Mabry, Thomas E., Indianapolis, IN, United States
Nissen, Jeffrey S., Fishers, IN, United States
Rasmussen, Kurt, Fishers, IN, United States
Rocco, Vincent P., Indianapolis, IN, United States
Schaus, John M., Zionsville, IN, United States
Thompson, Dennis C., Indianapolis, IN, United States
Wong, David T., Indianapolis, IN, United States
PA Eli Lilly and Company, Indianapolis, IN, United States (U.S.
corporation)
PI US 6172073 B1 20010109
AI US 1998-49837 19980327 (9)
RLI Division of Ser. No. US 1995-467434, filed on 6 Jun 1995, now patented,
Pat. No. US 5741789 Continuation-in-part of Ser. No. US 1995-373823,
filed on 17 Jan 1995, now abandoned
DT Patent
FS Granted
EXNAM Primary Examiner: Raymond, Richard L.
LREP Lentz, Nelsen L.
CLMN Number of Claims: 8
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 5343
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT 180158-08-1P
(prepn. of 3-(4-indolyloxy)-2-hydroxypropanamines as serotonin 1A
receptor antagonists and partial agonists)
RN 180158-08-1 USPATFULL
CN Spiro[naphthalene-1(2H),4'-piperidin]-2-one, 3,4-dihydro-1'-[(2S)-2-
hydroxy-3-(1H-indol-4-yloxy)propyl]-, ethanedioate (1:1) (salt) (9CI)
(CA INDEX NAME)

CM 1

CRN 180158-07-0
CMF C25 H28 N2 O3

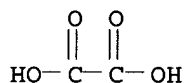
Absolute stereochemistry. Rotation (-).



CM 2

CRN 144-62-7

CMF C2 H2 O4



L16 ANSWER 3 OF 11 USPATFULL

AB Piperidine compounds having the general formula (I), ##STR1## wherein R.sup.1 is (a) a group consisting of alkyl, alkenyl, cycloalkyl, cycloalkenyl, phenyl, cycloalkylalkyl, cycloalkenylalkyl, phenylalkyl or diphenylalkyl linked to the piperidyl N-atom through an at least 2-membered spacer group; or (b) a group having general formula (II), ##STR2## wherein X is CHR.sup.10, O, S, SO, SO.sub.2 or NR.sup.10, Z.sup.1 is CH.sub.2, O, or S; Z.sup.2 and Z.sup.3 are independently (CH.sub.2).sub.n, n being 0 or 1, O or S or Z.sup.1 and Z.sup.2 may together represent a group --CH.dbd.CH--; or when Z.sup.3 is (CH.sub.2).sub.n wherein n is 0, Z.sup.1 and Z.sup.2 may together represent a 3-membered divalent group; show potent sigma receptor activity. Furthermore they show effect in animal models indicative of anxiolytic properties. Accordingly they are useful as medicines for the treatment of anxiety, psychosis, epilepsy, convulsion, movement disorders, motor disturbances, amnesia, cerebrovascular diseases, senile demential of the Alzheimer type or Parkinson's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AN 2000:24773 USPATFULL

TI Piperidine derivates having anxiolytic effect

IN Moltzen, Ejner K., Frederiksberg C, Denmark

Perregaard, Jens Kristian, Jaegerspris, Denmark

PA H. Lundbeck A/S, Copenhagen-Valby, Denmark (non-U.S. corporation)

PI US 6031099 20000229

AI US 1995-486510 19950607 (8)
 RLI Division of Ser. No. US 166647
 PRAI DK 1991-1129 19910613
 DK 1991-1131 19910613
 DK 1992-157 19920210

DT Utility

FS Granted

EXNAM Primary Examiner: Huang, Evelyn Mei

LREP Darby & Darby

CLMN Number of Claims: 4

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 2042

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 147835-16-3P

(prepn. of, as .sigma.-receptor antagonist)

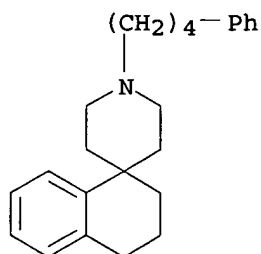
RN 147835-16-3 USPATFULL

CN Spiro[naphthalene-1(2H),4'-piperidine], 3,4-dihydro-1'-(4-phenylbutyl)-,
 (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 147835-15-2

CMF C24 H31 N



checked ref - not good

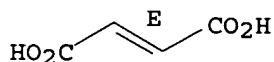
CM 2

CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.



L16 ANSWER 4 OF 11 USPATFULL

AB Piperidine compounds having the general formula (I), ##STR1## wherein R.sup.1 is (a) a group consisting of alkyl, alkenyl, cycloalkyl, cycloalkenyl, phenyl, cycloalkylalkyl, cycloalkenyalkyl, phenylalkyl or diphenylalkyl linked to the piperidyl N-atom through an at least 2-membered spacer group; or (b) a group having general formula (II), ##STR2## wherein X is CHR.sup.10, O, S, SO, SO.sub.2 or NR.sup.10, Z.sup.1 is CH.sub.2, O, or S; Z.sup.2 and Z.sup.3 are independently (CH.sub.2).sub.n, n being 0 or 1, O or S or Z.sup.1 and Z.sup.2 may

together represent a group --CH.dbd.CH--; or when Z.sup.3 is (CH.sub.2).sub.n wherein n is 0, Z.sup.1 and Z.sup.2 may together represent a 3-membered divalent group; show potent sigma receptor activity. Furthermore they show effect in animal models indicative of anxiolytic properties. Accordingly they are useful as medicines for the treatment of anxiety, psychosis, epilepsy, convulsion, movement disorders, motor disturbances, amnesia, cerebrovascular diseases, senile demential of the Alzheimer type or Parkinson's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AN 1998:111951 USPATFULL
 TI Piperidine derivatives having anxiolytic effect
 IN Moltzen, Ejner K., Frederiksberg C, Denmark
 Perregaard, Jens Kristian, Jaegerspris, Denmark
 PA H. Lundbeck A/S, Copenhagen-Valby, Denmark (non-U.S. corporation)
 PI US 5807871 19980915
 AI US 1995-478563 19950607 (8)
 RLI Division of Ser. No. US 1993-166647, filed on 13 Dec 1993
 PRAI DK 1991-1129 19910613
 DK 1991-1131 19910613
 DK 1992-157 19920210
 DT Utility
 FS Granted
 EXNAM Primary Examiner: Ivy, C. Warren; Assistant Examiner: Dahlen, Garth M.
 LREP Darby & Darby
 CLMN Number of Claims: 2
 ECL Exemplary Claim: 1
 DRWN No Drawings
 LN.CNT 2055

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 147835-16-3P

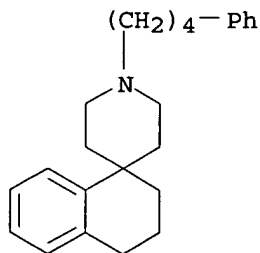
(prepn. of, as .sigma.-receptor antagonist)

RN 147835-16-3 USPATFULL
 CN Spiro[naphthalene-1(2H),4'-piperidine], 3,4-dihydro-1'-(4-phenylbutyl)-,
 (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 147835-15-2

CMF C24 H31 N



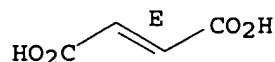
CM 2

CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.



L16 ANSWER 5 OF 11 USPATFULL

AB A series of hetero-oxy alkanamines are effective pharmaceuticals for the treatment of conditions related to or affected by the reuptake of serotonin and by the serotonin 1.sub.A receptor. The compounds are particularly useful for alleviating the symptoms of nicotine and tobacco withdrawal, and for the treatment of depression and other conditions for which serotonin reuptake inhibitors are used.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AN 1998:92024 USPATFULL

TI Compounds having effects on serotonin-related systems

IN Audia, James E., Indianapolis, IN, United States
 Hibschan, David J., Bargersville, IN, United States
 Krushinski, Jr., Joseph H., Indianapolis, IN, United States
 Mabry, Thomas E., Indianapolis, IN, United States
 Nissen, Jeffrey S., Fishers, IN, United States
 Rasmussen, Kurt, Fishers, IN, United States
 Rocco, Vincent P., Indianapolis, IN, United States
 Schaus, John M., Zionsville, IN, United States
 Thompson, Dennis C., Indianapolis, IN, United States
 Wong, David T., Indianapolis, IN, United States

PA Eli Lilly Company, Indianapolis, IN, United States (U.S. corporation)

PI US 5789402 19980804

AI US 1995-471121 19950606 (8)

RLI Continuation-in-part of Ser. No. US 1995-373823, filed on 17 Jan 1995, now abandoned

DT Utility

FS Granted

EXNAM Primary Examiner: Berch, Mark L.; Assistant Examiner: Kifle, Bruck

LREP Palmberg, Arleen, Boone, David E.

CLMN Number of Claims: 21

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 5961

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 180158-08-1P

(prepn. of heteroaryloxy alkanamines having effects on serotonin-related systems)

RN 180158-08-1 USPATFULL

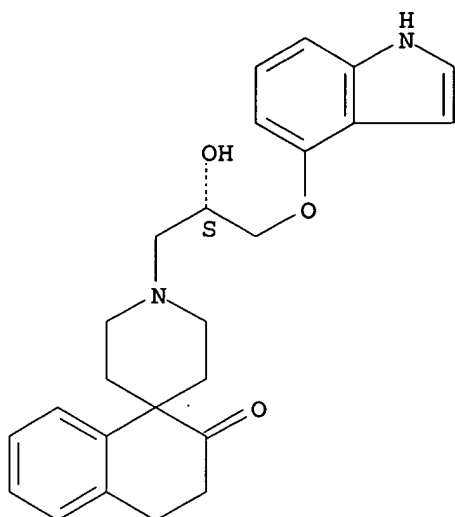
CN Spiro[naphthalene-1(2H),4'-piperidin]-2-one, 3,4-dihydro-1'-[(2S)-2-hydroxy-3-(1H-indol-4-yloxy)propyl]-, ethanedioate (1:1) (salt) (9CI)
 (CA INDEX NAME)

CM 1

CRN 180158-07-0

CMF C25 H28 N2 O3

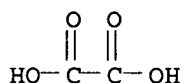
Absolute stereochemistry. Rotation (-).



CM 2

CRN 144-62-7

CMF C2 H2 O4



L16 ANSWER 6 OF 11 USPATFULL

AB A series of hetero-oxy alkanamines are effective pharmaceuticals for the treatment of conditions related to or affected by the reuptake of serotonin and by the serotonin 1.sub.A receptor. The compounds are particularly useful for alleviating the symptoms of nicotine and tobacco withdrawal, and for the treatment of depression and other conditions for which serotonin reuptake inhibitors are used.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AN 1998:42357 USPATFULL

TI Compounds having effects on serotonin-related systems

IN Hibsichman, David J., Bargersville, IN, United States
 Krushinski, Jr., Joseph H., Indianapolis, IN, United States
 Rasmussen, Kurt, Fishers, IN, United States
 Rocco, Vincent P., Indianapolis, IN, United States
 Schaus, John M., Zionsville, IN, United States
 Thompson, Dennis C., Indianapolis, IN, United States

PA Eli Lilly and Company, Indianapolis, IN, United States (U.S. corporation)

PI US 5741789 19980421

AI US 1995-467434 19950606 (8)

RLI Continuation-in-part of Ser. No. US 1995-373823, filed on 17 Jan 1995, now abandoned

DT Utility

FS Granted

EXNAM Primary Examiner: Shah, Mukund J.; Assistant Examiner: Kifle, Bruck
 LREP Palmberg, Arleen, Boone, David E.
 CLMN Number of Claims: 20
 ECL Exemplary Claim: 1
 DRWN No Drawings

LN.CNT 5902

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 180158-08-1P

(prepn. of heterocyclyoxyalkanamines as serotonin 1A antagonists and reuptake inhibitors)

RN 180158-08-1 USPATFULL

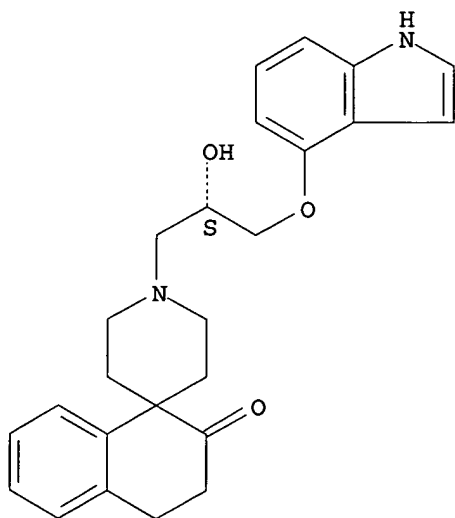
CN Spiro[naphthalene-1(2H),4'-piperidin]-2-one, 3,4-dihydro-1'-[(2S)-2-hydroxy-3-(1H-indol-4-yloxy)propyl]-, ethanedioate (1:1) (salt) (9CI)
 (CA INDEX NAME)

CM 1

CRN 180158-07-0

CMF C25 H28 N2 O3

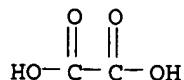
Absolute stereochemistry. Rotation (-).



CM 2

CRN 144-62-7

CMF C2 H2 O4



L16 ANSWER 7 OF 11 USPATFULL

AB Piperidine compounds having the general formula (I), ##STR1## wherein R.sup.1 is a group having general formula (II), ##STR2## wherein X is CHR.sup.10, O, S, SO, SO.sub.2 or NR.sup.10, Z.sup.1 is CH.sub.2, O, or

S; Z.sup.2 and Z.sup.3 are independently (CH.sub.2).sub.n, n being 0 or 1, O or S or Z.sup.1 and Z.sup.2 may together represent a group --CH.dbd.CH--; or when Z.sup.3 is (CH.sub.2).sub.n wherein n is 0, Z.sup.1 and Z.sup.2 may together represent a 3-membered divalent group; show potent sigma receptor activity. Furthermore they show effect in animal models indicative of anxiolytic properties. Accordingly they are useful as medicines for the treatment of anxiety, psychosis, epilepsy, convulsion, movement disorders, motor disturbances, amnesia, cerebrovascular diseases, senile demential of the Alzheimer type or Parkinson's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

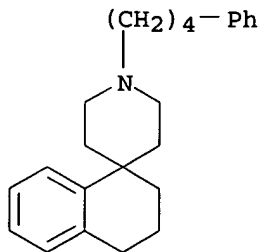
AN 97:81274 USPATFULL
 TI Piperidine derivatives having anxiolytic effect
 IN Moltzen, Ejner K., Frederiksberg C, Denmark
 Perregaard, Jens Kristian, Jaegerspors, Denmark
 PA Lundbeck, H., Copenhagen-Valby, Denmark (non-U.S. individual)
 PI US 5665725 19970909
 AI US 1993-166647 19931213 (8)
 PRAI DK 1991-1129 19910613
 DK 1991-1131 19910613
 DK 1992-157 19920210
 DT Utility
 FS Granted
 EXNAM Primary Examiner: Chang, Ceila
 LREP Darby & Darby
 CLMN Number of Claims: 7
 ECL Exemplary Claim: 1
 DRWN No Drawings
 LN.CNT 2120

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 147835-16-3P
 (prepn. of, as .sigma.-receptor antagonist)
 RN 147835-16-3 USPATFULL
 CN Spiro[naphthalene-1(2H),4'-piperidine], 3,4-dihydro-1'-(4-phenylbutyl)-,
 (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

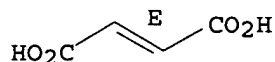
CRN 147835-15-2
 CMF C24 H31 N



CM 2

CRN 110-17-8
 CMF C4 H4 O4
 CDES 2:E

Double bond geometry as shown.



L16 ANSWER 8 OF 11 USPATFULL

AB A series of hetero-oxy alkanamines are effective pharmaceuticals for the treatment of conditions related to or affected by the reuptake of serotonin and by the serotonin 1.sub.A receptor. The compounds are particularly useful for alleviating the symptoms of nicotine and tobacco withdrawal, and for the treatment of depression and other conditions for which serotonin reuptake inhibitors are used.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AN 97:38539 USPATFULL

TI Compounds having effects on serotonin-related systems

IN Audia, James E., Indianapolis, IN, United States
Hibschman, David J., Bargersville, IN, United States
Krushinski, Jr., Joseph H., Indianapolis, IN, United States
Mabry, Thomas E., Indianapolis, IN, United States
Nissen, Jeffrey S., Fishers, IN, United States
Rasmussen, Kurt, Fishers, IN, United States
Rocco, Vincent P., Indianapolis, IN, United States
Schaus, John M., Zionsville, IN, United States
Thompson, Dennis C., Indianapolis, IN, United States
Wong, David T., Indianapolis, IN, United States

PA Eli Lilly and Company, Indianapolis, IN, United States (U.S. corporation)

PI US 5627196 19970506

AI US 1995-468948 19950606 (8)

RLI Continuation-in-part of Ser. No. US 1995-373823, filed on 17 Jan 1995, now abandoned

DT Utility

FS Granted

EXNAM Primary Examiner: Shah, Mukund J.; Assistant Examiner: Bottino, Anthony

LREP Jones, Joseph A., Boone, David E.

CLMN Number of Claims: 56

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 5947

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 180158-08-1P

(prepn. of heterocyclyloxyalkanamines as serotonin 1A antagonists and reuptake inhibitors)

RN 180158-08-1 USPATFULL

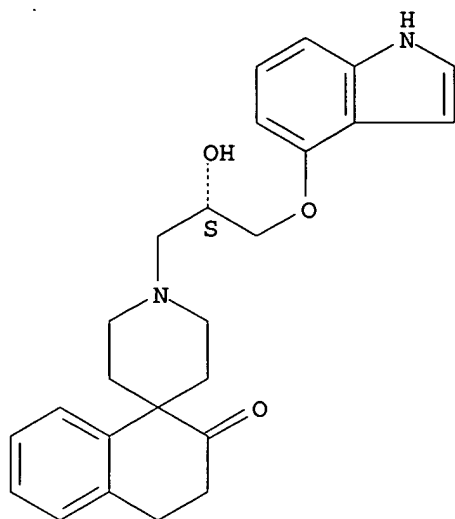
CN Spiro[naphthalene-1(2H),4'-piperidin]-2-one, 3,4-dihydro-1'-[(2S)-2-hydroxy-3-(1H-indol-4-yloxy)propyl]-, ethanedioate (1:1) (salt) (9CI)
(CA INDEX NAME)

CM 1

CRN 180158-07-0

CMF C25 H28 N2 O3

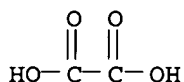
Absolute stereochemistry. Rotation (-).



CM 2

CRN 144-62-7

CMF C2 H2 O4



L16 ANSWER 9 OF 11 USPATFULL

AB A series of hetero-oxy alkanamines are effective pharmaceuticals for the treatment of conditions related to or affected by the reuptake of serotonin and by the serotonin 1.sub.A receptor. The compounds are particularly useful for alleviating the symptoms of nicotine and tobacco withdrawal, and for the treatment of depression and other conditions for which serotonin reuptake inhibitors are used.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AN 97:25037 USPATFULL

TI Compounds having effects on serotonin-related systems

IN Audia, James E., Indianapolis, IN, United States
 Krushinski, Jr., Joseph H., Indianapolis, IN, United States
 Rasmussen, Kurt, Fishers, IN, United States
 Rocco, Vincent P., Indianapolis, IN, United States
 Schaus, John M., Zionsville, IN, United States
 Thompson, Dennis C., Indianapolis, IN, United States
 Wong, David T., Indianapolis, IN, United States

PA Eli Lilly and Company, Indianapolis, IN, United States (U.S. corporation)

PI US 5614523 19970325

AI US 1995-470512 19950606 (8)

RLI Continuation-in-part of Ser. No. US 1995-373823, filed on 17 Jan 1995, now abandoned

DT Utility

FS Granted

EXNAM Primary Examiner: Shah, Mukund J.; Assistant Examiner: Bottino, Anthony
 LREP Jones, Joseph A., Boone, David E.
 CLMN Number of Claims: 19
 ECL Exemplary Claim: 1
 DRWN No Drawings

LN.CNT 5755

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 180158-08-1P

(prepn. of heteroaryloxy alkanamines having effects on
 serotonin-related systems)

RN 180158-08-1 USPATFULL

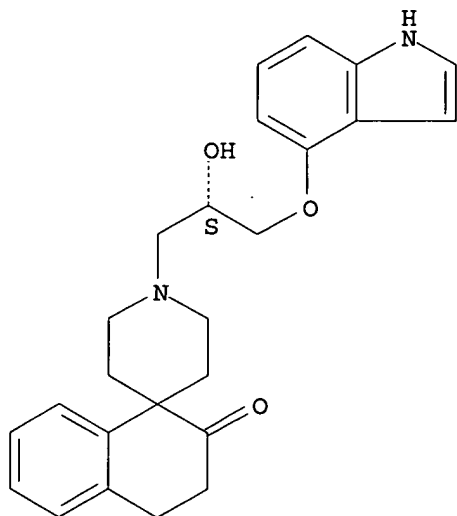
CN Spiro[naphthalene-1(2H);4'-piperidin]-2-one, 3,4-dihydro-1'-[(2S)-2-
 hydroxy-3-(1H-indol-4-yloxy)propyl]-, ethanedioate (1:1) (salt) (9CI)
 (CA INDEX NAME)

CM 1

CRN 180158-07-0

CMF C25 H28 N2 O3

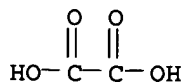
Absolute stereochemistry. Rotation (-).



CM 2

CRN 144-62-7

CMF C2 H2 O4



L16 ANSWER 10 OF 11 USPATFULL

AB A series of hetero-oxy alkanamines are effective pharmaceuticals for the
 treatment of conditions related to or affected by the reuptake of
 serotonin and by the serotonin 1.sub.A receptor. The compounds are

particularly useful for alleviating the symptoms of nicotine and tobacco withdrawal, and for the treatment of depression and other conditions for which serotonin reuptake inhibitors are used.

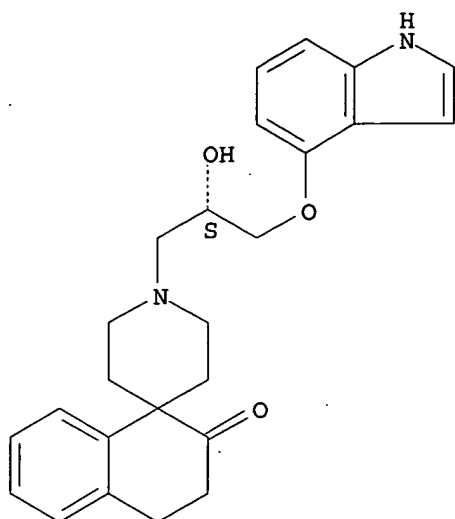
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AN 96:106493 USPATFULL
 TI Compounds having effects on serotonin-related systems
 IN Krushinski, Jr., Joseph H., Indianapolis, IN, United States
 Rasmussen, Kurt, Fishers, IN, United States
 Rocco, Vincent P., Indianapolis, IN, United States
 Schaus, John M., Zionsville, IN, United States
 Thompson, Dennis C., Indianapolis, IN, United States
 PA Eli Lilly and Company, Indianapolis, IN, United States (U.S. corporation)
 PI US 5576321 19961119
 AI US 1995-468900 19950606 (8)
 RLI Continuation-in-part of Ser. No. US 1995-373823, filed on 17 Jan 1995, now abandoned
 DT Utility
 FS Granted
 EXNAM Primary Examiner: Shah, Mukund J.; Assistant Examiner: Bottino, Anthony
 LREP Jones, Joseph A., Boone, David E.
 CLMN Number of Claims: 14
 ECL Exemplary Claim: 1
 DRWN No Drawings
 LN.CNT 5725

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 180158-08-1P
 (prepn. and formulation of 4-(3-amino-2-hydroxypropoxy)indoles and analogs as 5-HT1A receptor ligands)
 RN 180158-08-1 USPATFULL
 CN Spiro[naphthalene-1(2H),4'-piperidin]-2-one, 3,4-dihydro-1'-[(2S)-2-hydroxy-3-(1H-indol-4-yloxy)propyl]-, ethanedioate (1:1) (salt) (9CI)
 (CA INDEX NAME)
 CM 1
 CRN 180158-07-0
 CMF C25 H28 N2 O3

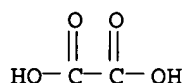
Absolute stereochemistry. Rotation (-).



CM 2

CRN 144-62-7

CMF C2 H2 O4



L16 ANSWER 11 OF 11 USPATFULL

AB Novel spiro benzocyclane acetic acid compounds of the formula ##SPC1##

Wherein R is selected from the group consisting of hydrogen and linear and branched alkyl of one to four carbon atoms, R.sub.1 is selected from the group consisting of hydrogen, chlorine, trifluoromethyl and lower alkoxy, Y is selected from the group consisting of methylene, oxygen and sulfur, n is 2, 3 or 4 and R.sub.2 is selected from the group consisting of hydrogen, substituted or unsubstituted alkyl of one to six carbon atoms and cation of non-toxic, pharmaceutically acceptable mineral and organic bases, processes for their preparation and novel intermediates. The compounds of formula I have analgesic and anti-inflammatory properties.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AN 72:40328 USPATFULL

TI SPIRO BENZOCYCLANE ACETIC ACID COMPOUNDS

IN Rousseau, Genevieve, Paris, France

Allais, Andres, Les Lilas, France

Poittevin, Andre, Vaires-sur-Marne, France

PA Roussel Uclof, Paris, France

PI US 3682964 19720808

AI US 1970-68530 19700831 (5)

DT Utility

FS Granted

9980965.trn10/01/2003

EXNAM Primary Examiner: Jiles, Henry R.; Assistant Examiner: Shurko, Cecilia M.

LREP Hammond & Littell

CLMN Number of Claims: 14

DRWN No Drawings

LN.CNT 1534

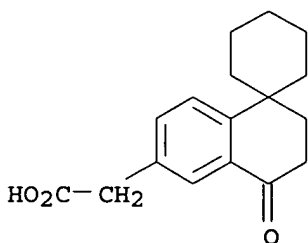
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 33413-63-7P

(prepn. of)

RN 33413-63-7 USPATFULL

CN Spiro[cyclohexane-1,1'(2'H)-naphthalene]-6'-acetic acid,
3',4'-dihydro-4'-oxo- (8CI, 9CI) (CA INDEX NAME)



=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

92.07

640.81

FILE 'CAPLUS' ENTERED AT 10:58:10 ON 17 MAY 2002

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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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FILE COVERS 1907 - 17 May 2002 VOL 136 ISS 20

FILE LAST UPDATED: 15 May 2002 (20020515/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

=> d his

(FILE 'HOME' ENTERED AT 10:36:40 ON 17 MAY 2002)

FILE 'REGISTRY' ENTERED AT 10:39:01 ON 17 MAY 2002

L1 STRUCTURE UPLOADED
 L2 2627 S L1 FUL
 L3 3 S L1
 L4 STRUCTURE UPLOADED
 L5 1 S L4
 L6 STRUCTURE UPLOADED
 L7 0 S L6
 L8 255 S L6 FUL

FILE 'USPATFULL, USPAT2' ENTERED AT 10:42:47 ON 17 MAY 2002

L9 74 S L8
 L10 19 S L9 AND (PAIN OR ANALGESIC)

FILE 'REGISTRY' ENTERED AT 10:50:44 ON 17 MAY 2002

L11 STRUCTURE UPLOADED
 L12 2 S L11
 L13 343 S L11 FUL

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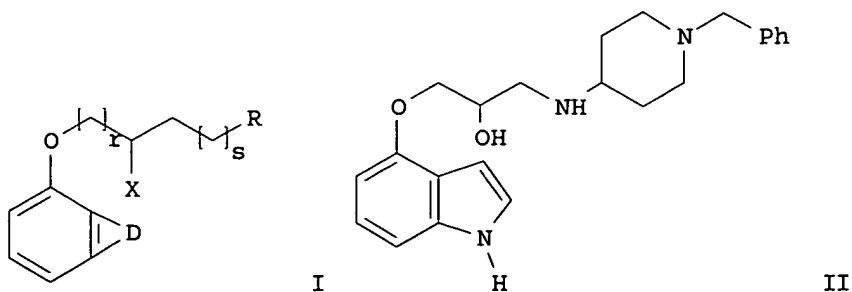
L14 27 S L13
 L15 2 S L14 AND PIPERDI?
 L16 11 S L14 AND PAIN

FILE 'CAPLUS' ENTERED AT 10:58:10 ON 17 MAY 2002

=> s l13 and (piperid? and pain)
 104 L13
 79878 PIPERID?
 24920 PAIN
 745 PAINS
 25487 PAIN
 (PAIN OR PAINS)
 L17 1 L13 AND (PIPERID? AND PAIN)

=> d abs bib hitstr

L17 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2002 ACS
 GI



AB The title compds. [I; r = 0-4; s = 0-1; D = a residue which combines with the carbon atoms to which it is attached to complete a pyrrolyl group; X = H, Ph, OH, MeO; R = (un)substituted piperazino, **piperidino**,

etc.], useful for the treatment of conditions related to or affected by the reuptake of serotonin and by the serotonin 1A receptor, were prepd. and formulated. Thus, refluxing of (S)-(+)-4-(oxiranylmethoxy)-1H-indole with 4-amino-1-benzylpiperidine in MeOH afforded 78% (2S)-(-)-II. Compds. I are effective at 20-25 mg/day when administered to a patient in need of or carrying out a redn. or cessation of tobacco or nicotine use. Compds. I are particularly useful for alleviating the symptoms of nicotine and tobacco withdrawal, and for the treatment of depression, anxiety, hypertension, cognitive disorders, psychosis, sleep disorders, gastric motility disorders, sexual dysfunction, brain trauma, memory loss, eating disorders and obesity, substance abuse, obsessive-compulsive disorder, panic disorder, migraine, pain, bulimia, premenstrual syndrome, late luteal syndrome, alcoholism, dementia of aging, social phobia, attention deficit hyperactivity disorder, impulsive control disorders, chronic fatigue syndrome, premature ejaculation, anorexia nervosa, and autism.

AN 1997:260110 CAPLUS

DN 126:305591

TI Preparation of heteroaryloxy alkanamines having effects on serotonin-related systems

IN Audia, James E.; Krushinski, Joseph H., Jr.; Rasmussen, Kurt; Rocco, Vincent P.; Schaus, John M.; Thompson, Dennis C.; Wong, David T.

PA Eli Lilly and Company, USA

SO U.S., 63 pp. Cont.-in-part of U.S. Ser. No. 373,823, abandoned.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5614523	A	19970325	US 1995-470512	19950606
	CN 1178530	A	19980408	CN 1996-192598	19960111
PRAI	US 1995-373823	B2	19950117		

OS MARPAT 126:305591

IT 180158-08-1P 180158-10-5P 180158-74-1P

180158-75-2P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of heteroaryloxy alkanamines having effects on serotonin-related systems)

RN 180158-08-1 CAPLUS

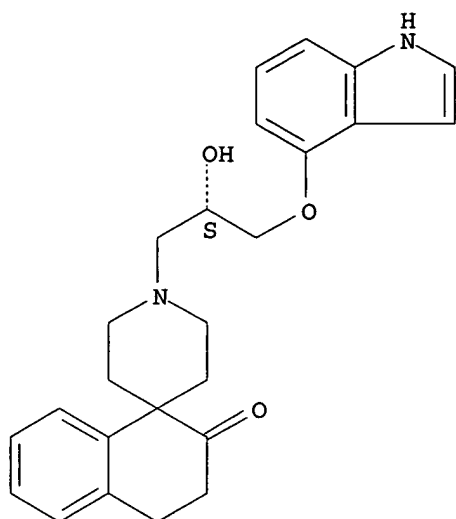
CN Spiro[naphthalene-1(2H),4'-piperidin]-2-one, 3,4-dihydro-1'-[(2S)-2-hydroxy-3-(1H-indol-4-yloxy)propyl]-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

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CRN 180158-07-0

CMF C25 H28 N2 O3

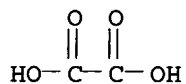
Absolute stereochemistry. Rotation (-).



CM 2

CRN 144-62-7

CMF C2 H2 O4



RN 180158-10-5 CAPLUS

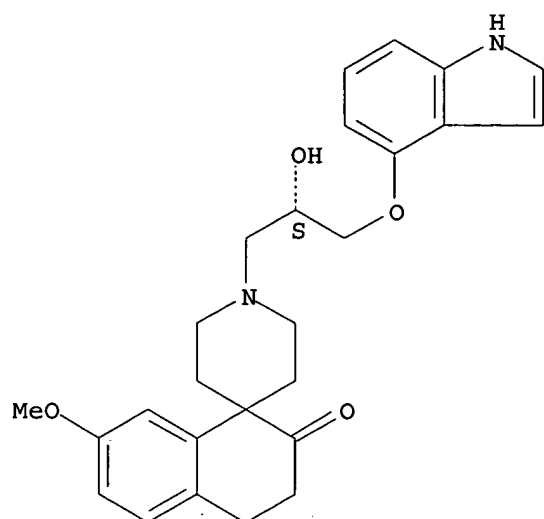
CN Spiro[naphthalene-1(2H),4'-piperidin]-2-one, 3,4-dihydro-1'-[(2S)-2-hydroxy-3-(1H-indol-4-yloxy)propyl]-7-methoxy-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 180158-09-2

CMF C26 H30 N2 O4

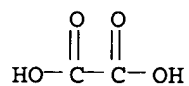
Absolute stereochemistry. Rotation (-).



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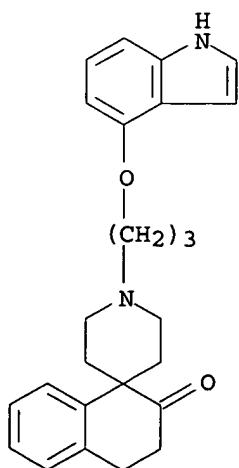
CRN 144-62-7

CMF C2 H2 O4



RN 180158-74-1 CAPLUS

CN Spiro[naphthalene-1(2H),4'-piperidin]-2-one, 3,4-dihydro-1'-[3-(1H-indol-4-yloxy)propyl]- (9CI) (CA INDEX NAME)



RN 180158-75-2 CAPLUS

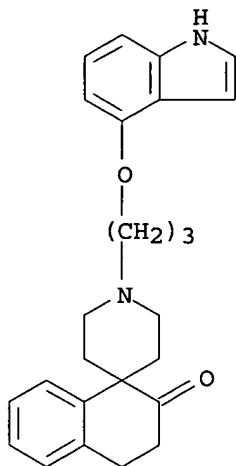
CN Spiro[naphthalene-1(2H),4'-piperidin]-2-one, 3,4-dihydro-1'-[3-(1H-indol-4-

xyloxy)propyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 180158-74-1

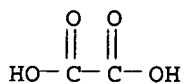
CMF C25 H28 N2 O2



CM 2

CRN 144-62-7

CMF C2 H2 O4



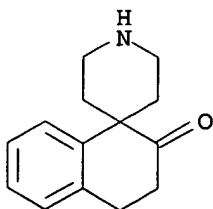
IT 180160-95-6 180160-96-7

RL: RCT (Reactant)

(prepn. of heteroaryloxy alkanamines having effects on serotonin-related systems)

RN 180160-95-6 CAPLUS

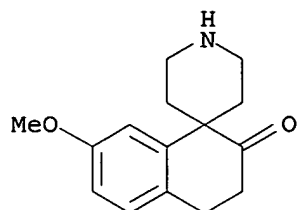
CN Spiro[naphthalene-1(2H),4'-piperidin]-2-one, 3,4-dihydro- (9CI) (CA INDEX NAME)



RN 180160-96-7 CAPLUS

CN Spiro[naphthalene-1(2H),4'-piperidin]-2-one, 3,4-dihydro-7-methoxy- (9CI)

(CA INDEX NAME)



=> s l13 and (piperid? and analges?)

104 L13

79878 PIPERID?

46906 ANALGES?

L18 8 L13 AND (PIPERID? AND ANALGES?)

=> d abs bib hitstr 1-8

L18 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2002 ACS

AB This invention provides an isolated nucleic acid encoding a human MCH1 receptor, a purified human MCH1 receptor, vectors comprising isolated nucleic acid encoding a human MCH1 receptor, cells comprising such vectors, antibodies directed to a human MCH1 receptor, nucleic acid probes useful for detecting nucleic acid encoding human MCH1 receptors, antisense oligonucleotides complementary to unique sequence of nucleic acid encoding human MCH1 receptors, transgenic, nonhuman animals which express DNA encoding a normal or mutant human MCH1 receptor, methods of isolating a human MCH1 receptor, methods of treating an abnormality that is linked to the activity of a human MCH1 receptor, as well as methods of detg. binding of compds. to mammalian MCH1 receptors. This invention provides a method of modifying the feeding behavior of a subject which comprises administering to the subject an amt. of an MCH1 antagonist effective to decrease the body mass of the subject and/or decrease the consumption of food by the subject. This invention further provides a method of treating a subject suffering from depression and/or anxiety which comprises administering to the subject an amt. of an MCH1 antagonist effective to treat the subject's depression and/or anxiety.

AN 2002:31619 CAPLUS

DN 136:96697

TI Human melanin concentrating hormone receptor MCH1, its DNA, its synthetic ligands and diagnostic and therapeutic uses thereof

IN Salon, John A.; Laz, Thomas M.; Nagorny, Raisa; Wilson, Amy E.

PA Synaptic Pharmaceutical Corporation, USA

SO PCT Int. Appl., 524 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002002744	A2	20020110	WO 2001-US21350	20010705

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RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ,
 VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
 BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI US 2000-610635 A 20000705

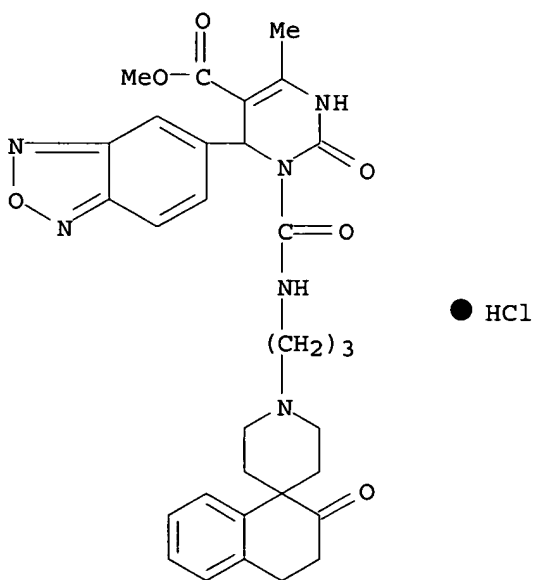
IT 387825-93-6P 387825-94-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(human melanin concg. hormone receptor MCH1, its DNA, its synthetic
 ligands and diagnostic and therapeutic uses thereof)

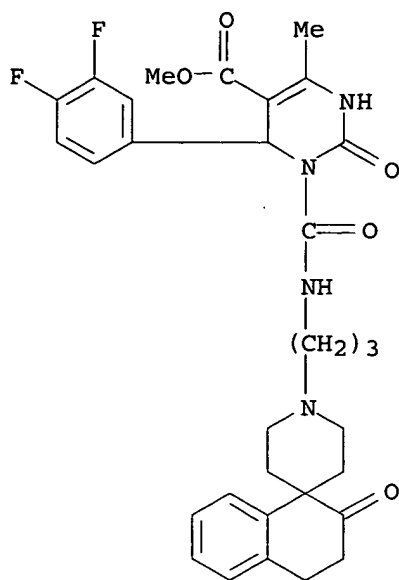
RN 387825-93-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(2,1,3-benzoxadiazol-5-yl)-1-[[[3-(3,4-
 dihydro-2-oxospiro[naphthalene-1(2H),4'-piperidin]-1'-
 yl)propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl
 ester, monohydrochloride (9CI) (CA INDEX NAME)



RN 387825-94-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[3-(3,4-dihydro-2-
 oxospiro[naphthalene-1(2H),4'-piperidin]-1'-yl)propyl]amino]carbonyl]-
 1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester, monohydrochloride (9CI)
 (CA INDEX NAME)



● HCl

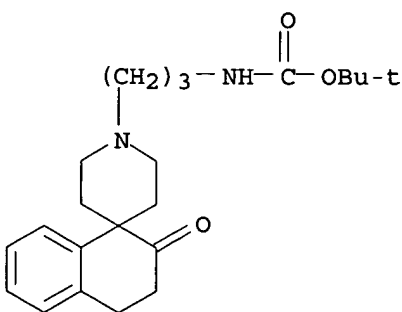
on whole and good

IT 387827-11-4P 387827-12-5P 387827-13-6P
387827-14-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(human melanin concg. hormone receptor MCH1, its DNA, its synthetic ligands and diagnostic and therapeutic uses thereof)

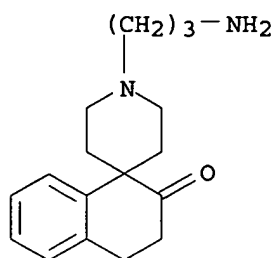
RN 387827-11-4 CAPLUS

CN Carbamic acid, [3-(3,4-dihydro-2-oxospiro[naphthalene-1(2H),4'-piperidin]-1'-yl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



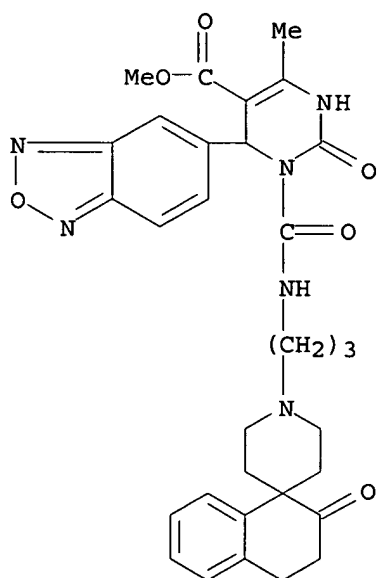
RN 387827-12-5 CAPLUS

CN Spiro[naphthalene-1(2H),4'-piperidin]-2(1H)-one, 1'-(3-aminopropyl)-3,4-dihydro- (9CI) (CA INDEX NAME)



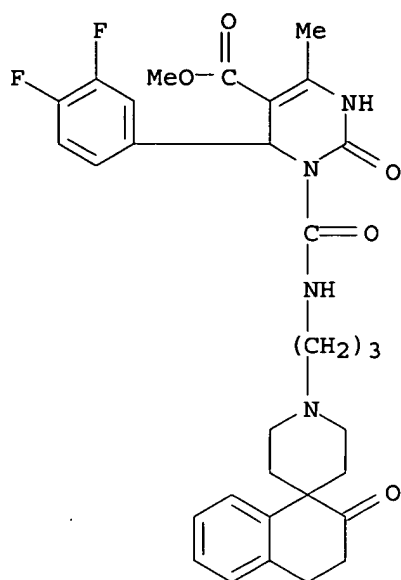
RN 387827-13-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(2,1,3-benzoxadiazol-5-yl)-1-[[[3-(3,4-dihydro-2-oxospiro[naphthalene-1(2H),4'-piperidin]-1'-yl)propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester (9CI) (CA INDEX NAME)

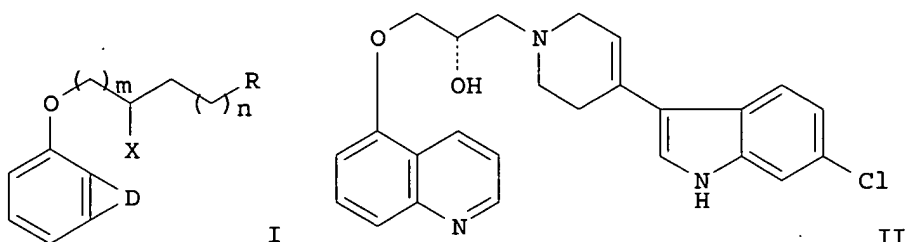


RN 387827-14-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[3-(3,4-dihydro-2-oxospiro[naphthalene-1(2H),4'-piperidin]-1'-yl)propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



L18 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2002 ACS
GI



AB A series of heterocyclyloxy-substituted alkanamines I [$m = 0-4$; $n = 0-1$; D = atoms to complete fused pyrrolo, imidazolo, pyrido, pyrazino, pyridazino, or pyrimido nucleus (only pyrido is claimed); X = H, Ph, OH, OMe; X = H or Ph when $m = 0$; R = certain (un)substituted cyclic, bicyclic, and spirocyclic amino groups] are effective pharmaceuticals for the treatment of conditions related to or affected by the reuptake of serotonin and by the serotonin 1A receptor (no data). Some I show a unique combination of 5-HT_{1A} receptor activity and serotonin reuptake inhibition. I are particularly useful for alleviating the symptoms of nicotine and tobacco withdrawal, and for the treatment of depression and other conditions for which serotonin reuptake inhibitors are used. Over 200 synthetic examples and 7 std. formulation examples are given. In the only example of a claimed compd. (quinoline-derived, D = pyrido), reaction of (R)-5-(oxiranylmethoxy)quinoline with 6-chloro-2-(1,2,3,6-tetrahydropyridin-4-yl)-1H-indole in EtOH gave the preferred compd. II in 87% yield.

AN 1998:250697 CAPLUS

DN 128:294709

TI Heterocyclyloxyalkanamines having effects on serotonin-related systems

IN Hibschan, David J.; Krushinski, Joseph H., Jr.; Rasmussen, Kurt; Rocco, Vincent P.; Schaus, John M.; Thompson, Dennis C.

PA Eli Lilly and Co., USA

SO U.S., 65 pp. Cont.-in-part of U.S. Ser. No. 373,823, abandoned.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5741789	A	19980421	US 1995-467434	19950606
	CN 1178530	A	19980408	CN 1996-192598	19960111
	US 6172073	B1	20010109	US 1998-49837	19980327
PRAI	US 1995-373823	B2	19950117		
	US 1995-467434	A3	19950606		

OS MARPAT 128:294709

IT 180158-08-1P 180158-10-5P 180158-75-2P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of heterocyclyloxyalkanamines as serotonin 1A antagonists and reuptake inhibitors)

RN 180158-08-1 CAPLUS

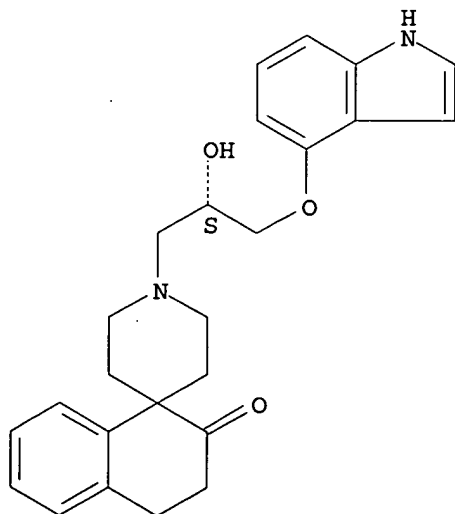
CN Spiro[naphthalene-1(2H),4'-piperidin]-2-one, 3,4-dihydro-1'-[(2S)-2-hydroxy-3-(1H-indol-4-yloxy)propyl]-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 180158-07-0

CMF C25 H28 N2 O3

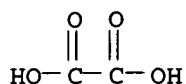
Absolute stereochemistry. Rotation (-).



CM 2

CRN 144-62-7

CMF C2 H2 O4

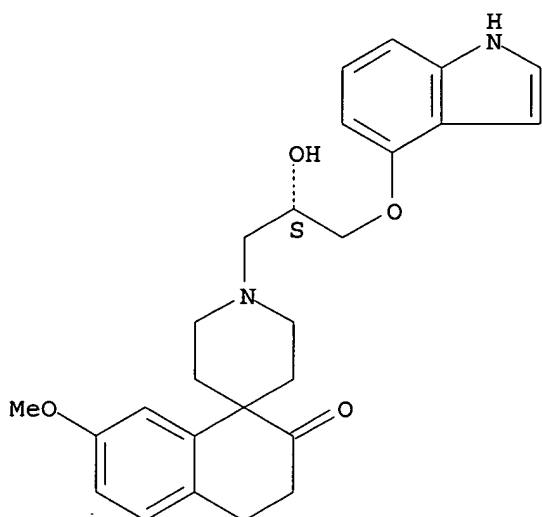


RN 180158-10-5 CAPLUS
 CN Spiro[naphthalene-1(2H),4'-piperidin]-2-one, 3,4-dihydro-1'-[(2S)-2-hydroxy-3-(1H-indol-4-yloxy)propyl]-7-methoxy-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

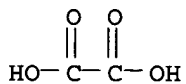
CRN 180158-09-2
 CMF C26 H30 N2 O4

Absolute stereochemistry. Rotation (-).



CM 2

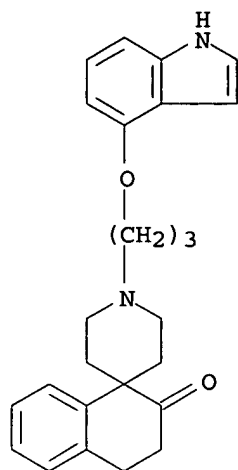
CRN 144-62-7
 CMF C2 H2 O4



RN 180158-75-2 CAPLUS
 CN Spiro[naphthalene-1(2H),4'-piperidin]-2-one, 3,4-dihydro-1'-[3-(1H-indol-4-yloxy)propyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

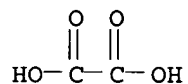
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 CMF C25 H28 N2 O2



CM 2

CRN 144-62-7

CMF C2 H2 O4



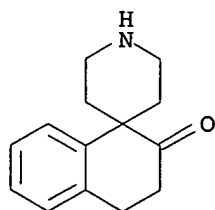
IT 180160-95-6 180160-96-7

RL: RCT (Reactant)

(starting material; prepn. of heterocycloxyalkanamines as serotonin
1A antagonists and reuptake inhibitors)

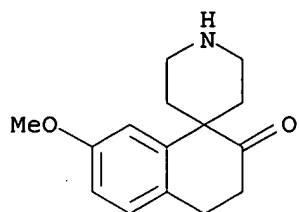
RN 180160-95-6 CAPLUS

CN Spiro[naphthalene-1(2H),4'-piperidin]-2-one, 3,4-dihydro- (9CI) (CA INDEX
NAME)

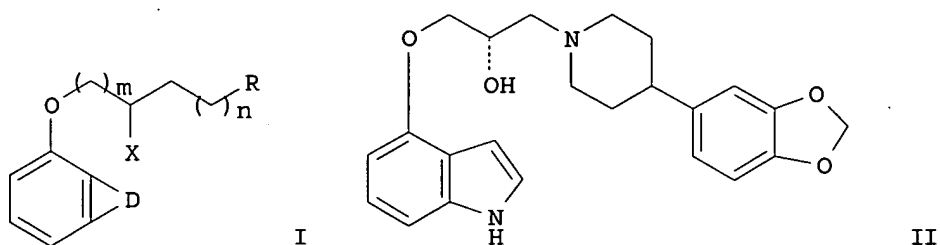


RN 180160-96-7 CAPLUS

CN Spiro[naphthalene-1(2H),4'-piperidin]-2-one, 3,4-dihydro-7-methoxy- (9CI)
(CA INDEX NAME)



L18 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2002 ACS
GI



AB A series of heterocycloxy-substituted alkanamines I [$m = 0-4$; $n = 0-1$; D = atoms to complete fused pyrrolo, imidazolo, pyrido, pyrazino, pyridazino, or pyrimido nucleus; X = H, Ph, OH, OMe; X = H or Ph when $r = 0$; R = (un)substituted piperidino, piperazino, piperidinylamino, piperazinoamino, morpholinoamino, certain spirocyclic amino substituents, etc.] are effective pharmaceuticals for the treatment of conditions related to or affected by the reuptake of serotonin and by the serotonin 1A receptor (no data). Some I show a unique combination of 5-HT_{1A} receptor activity and serotonin reuptake inhibition. I are particularly useful for alleviating the symptoms of nicotine and tobacco withdrawal, and for the treatment of depression and other conditions for which serotonin reuptake inhibitors are used. Over 200 synthetic examples and 7 std. formulation examples are given. For instance, reaction of (S)-(+)-4-(oxiranylmethoxy)-1H-indole with 4-(3,4-methylenedioxyphenyl)piperidine gave a preferred title compd., II, isolated as the oxalate in 71% overall yield.

AN 1997:344806 CAPLUS

DN 127:34133

TI Heterocycloxyalkanamines having effects on serotonin-related systems

IN Audia, James E.; Hibschan, David J.; Krushinski, Joseph H. Jr.; Mabry, Thomas E.; Nissen, Jeffrey S.; Rasmussen, Kurt; Rocco, Vincent P.; Schaus, John M.; Thompson, Dennis C.; Wong, David T.

PA Eli Lilly and Company, USA

SO U.S., 65 pp. Cont.-in-part of U.S. Ser. No. 373,823, abandoned.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5627196	A	19970506	US 1995-468948	19950606
	CN 1178530	A	19980408	CN 1996-192598	19960111

9980965.trn10/01/2003

PRAI US 1995-373823 B2 19950117

OS MARPAT 127:34133

IT 180158-08-1P 180158-10-5P 180158-75-2P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of heterocyclyloxyalkanamines as serotonin 1A antagonists and reuptake inhibitors)

RN 180158-08-1 CAPLUS

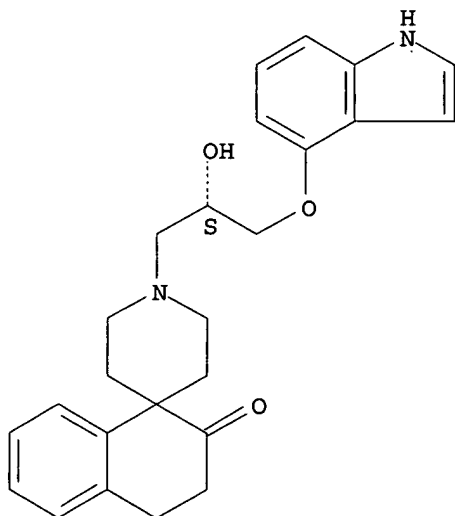
CN Spiro[naphthalene-1(2H),4'-piperidin]-2-one, 3,4-dihydro-1'-[(2S)-2-hydroxy-3-(1H-indol-4-yloxy)propyl]-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 180158-07-0

CMF C25 H28 N2 O3

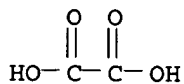
Absolute stereochemistry. Rotation (-).



CM 2

CRN 144-62-7

CMF C2 H2 O4



RN 180158-10-5 CAPLUS

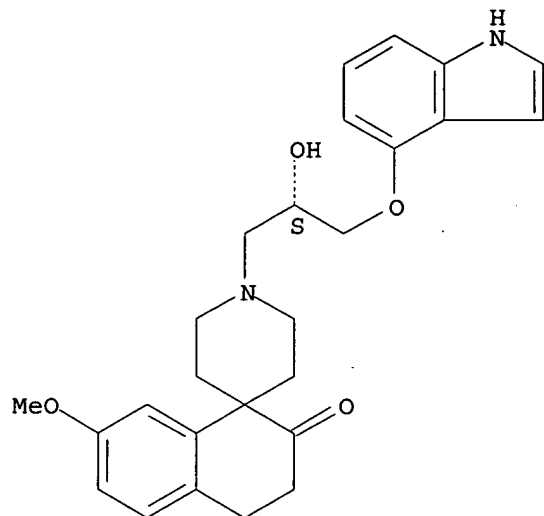
CN Spiro[naphthalene-1(2H),4'-piperidin]-2-one, 3,4-dihydro-1'-[(2S)-2-hydroxy-3-(1H-indol-4-yloxy)propyl]-7-methoxy-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

9980965.trn10/01/2003

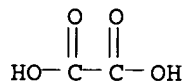
CRN 180158-09-2
CMF C26 H30 N2 O4

Absolute stereochemistry. Rotation (-).



CM 2

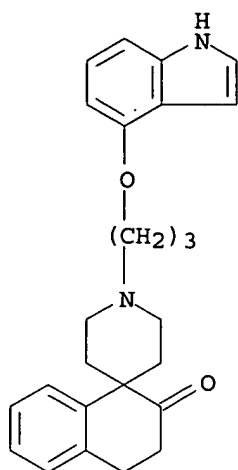
CRN 144-62-7
CMF C2 H2 O4



RN 180158-75-2 CAPLUS
CN Spiro[naphthalene-1(2H),4'-piperidin]-2-one, 3,4-dihydro-1'-[3-(1H-indol-4-yloxy)propyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

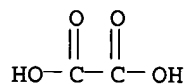
CRN 180158-74-1
CMF C25 H28 N2 O2



CM 2

CRN 144-62-7

CMF C2 H2 O4



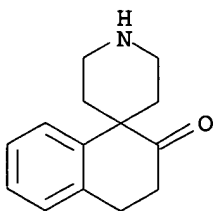
IT 180160-95-6 180160-96-7

RL: RCT (Reactant)

(starting material; prepn. of heterocycloxyalkanamines as serotonin
1A antagonists and reuptake inhibitors)

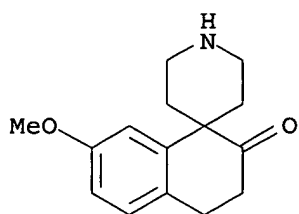
RN 180160-95-6 CAPLUS

CN Spiro[naphthalene-1(2H),4'-piperidin]-2-one, 3,4-dihydro- (9CI) (CA INDEX
NAME)

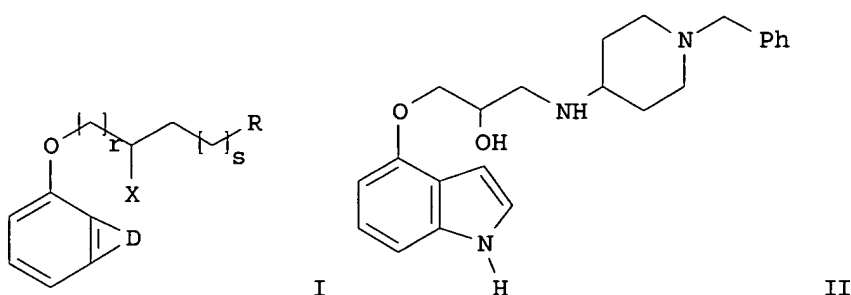


RN 180160-96-7 CAPLUS

CN Spiro[naphthalene-1(2H),4'-piperidin]-2-one, 3,4-dihydro-7-methoxy- (9CI)
(CA INDEX NAME)



L18 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2002 ACS
GI



AB The title compds. [I; r = 0-4; s = 0-1; D = a residue which combines with the carbon atoms to which it is attached to complete a pyrrolyl group; X = H, Ph, OH, MeO; R = (un)substituted piperazino, **piperidino**, etc.], useful for the treatment of conditions related to or affected by the reuptake of serotonin and by the serotonin 1A receptor, were prepd. and formulated. Thus, refluxing of (S)-(+)-4-(oxiranylmethoxy)-1H-indole with 4-amino-1-benzylpiperidine in MeOH afforded 78% (2S)-(-)-II. Compds. I are effective at 20-25 mg/day when administered to a patient in need of or carrying out a redn. or cessation of tobacco or nicotine use. Compds. I are particularly useful for alleviating the symptoms of nicotine and tobacco withdrawal, and for the treatment of depression, anxiety, hypertension, cognitive disorders, psychosis, sleep disorders, gastric motility disorders, sexual dysfunction, brain trauma, memory loss, eating disorders and obesity, substance abuse, obsessive-compulsive disorder, panic disorder, migraine, pain, bulimia, premenstrual syndrome, late luteal syndrome, alcoholism, dementia of aging, social phobia, attention deficit hyperactivity disorder, impulsive control disorders, chronic fatigue syndrome, premature ejaculation, anorexia nervosa, and autism.

AN 1997:260110 CAPLUS

DN 126:305591

TI Preparation of heteroaryloxy alkanamines having effects on serotonin-related systems

IN Audia, James E.; Krushinski, Joseph H., Jr.; Rasmussen, Kurt; Rocco, Vincent P.; Schaus, John M.; Thompson, Dennis C.; Wong, David T.

PA Eli Lilly and Company, USA

SO U.S., 63 pp. Cont.-in-part of U.S. Ser. No. 373,823, abandoned.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 6

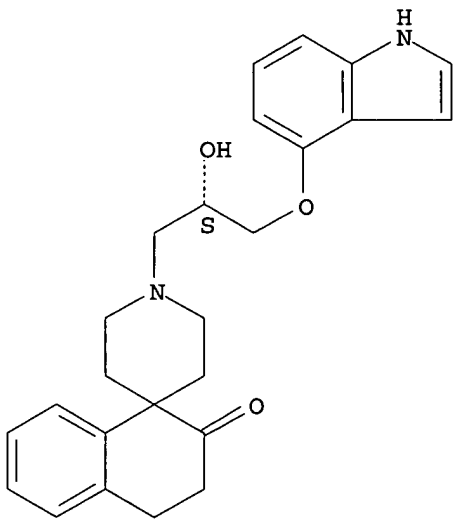
PATENT NO.

KIND DATE

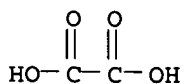
APPLICATION NO. DATE

 PI US 5614523 A 19970325 US 1995-470512 19950606
 CN 1178530 A 19980408 CN 1996-192598 19960111
 PRAI US 1995-373823 B2 19950117
 OS MARPAT 126:305591
 IT 180158-08-1P 180158-10-5P 180158-74-1P
 180158-75-2P
 RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic
 preparation); THU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); USES (Uses)
 (prepn. of heteroaryloxy alkanamines having effects on
 serotonin-related systems)
 RN 180158-08-1 CAPLUS
 CN Spiro[naphthalene-1(2H),4'-piperidin]-2-one, 3,4-dihydro-1'-[(2S)-2-
 hydroxy-3-(1H-indol-4-yloxy)propyl]-, ethanedioate (1:1) (salt) (9CI) (CA
 INDEX NAME)
 CM 1
 CRN 180158-07-0
 CMF C25 H28 N2 O3

Absolute stereochemistry. Rotation (-).



CM 2
 CRN 144-62-7
 CMF C2 H2 O4



RN 180158-10-5 CAPLUS
 CN Spiro[naphthalene-1(2H),4'-piperidin]-2-one, 3,4-dihydro-1'-[(2S)-2-
 hydroxy-3-(1H-indol-4-yloxy)propyl]-7-methoxy-, ethanedioate (1:1) (salt)

9980965.trn10/01/2003

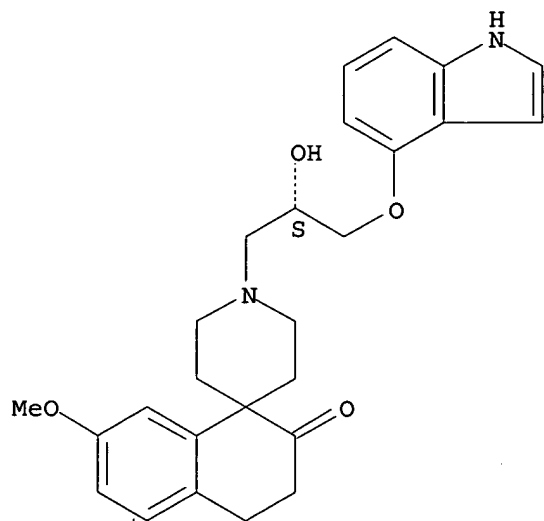
(9CI) (CA INDEX NAME)

CM 1

CRN 180158-09-2

CMF C26 H30 N2 O4

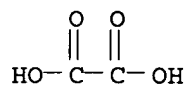
Absolute stereochemistry. Rotation (-).



CM 2

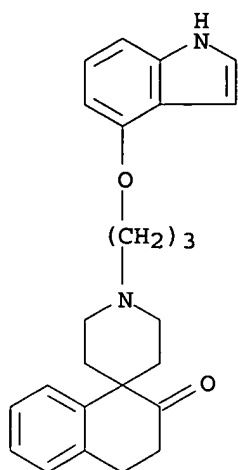
CRN 144-62-7

CMF C2 H2 O4



RN 180158-74-1 CAPLUS

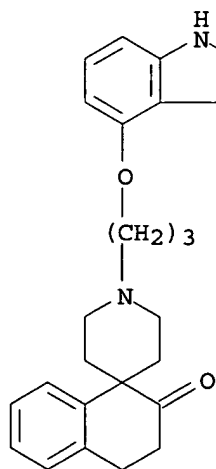
CN Spiro[naphthalene-1(2H),4'-piperidin]-2-one, 3,4-dihydro-1'-[3-(1H-indol-4-yloxy)propyl]- (9CI) (CA INDEX NAME)



RN 180158-75-2 CAPLUS
 CN Spiro[naphthalene-1(2H),4'-piperidin]-2-one, 3,4-dihydro-1'-[3-(1H-indol-4-yloxy)propyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

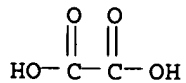
CM 1

CRN 180158-74-1
 CMF C25 H28 N2 O2



CM 2

CRN 144-62-7
 CMF C2 H2 O4



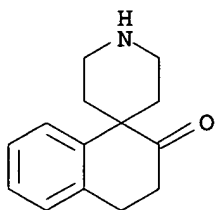
IT 180160-95-6 180160-96-7

RL: RCT (Reactant)

(prepn. of heteroaryloxy alkanamines having effects on
serotonin-related systems)

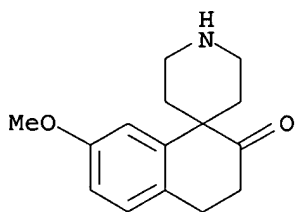
RN 180160-95-6 CAPLUS

CN Spiro[naphthalene-1(2H),4'-piperidin]-2-one, 3,4-dihydro- (9CI) (CA INDEX
NAME)

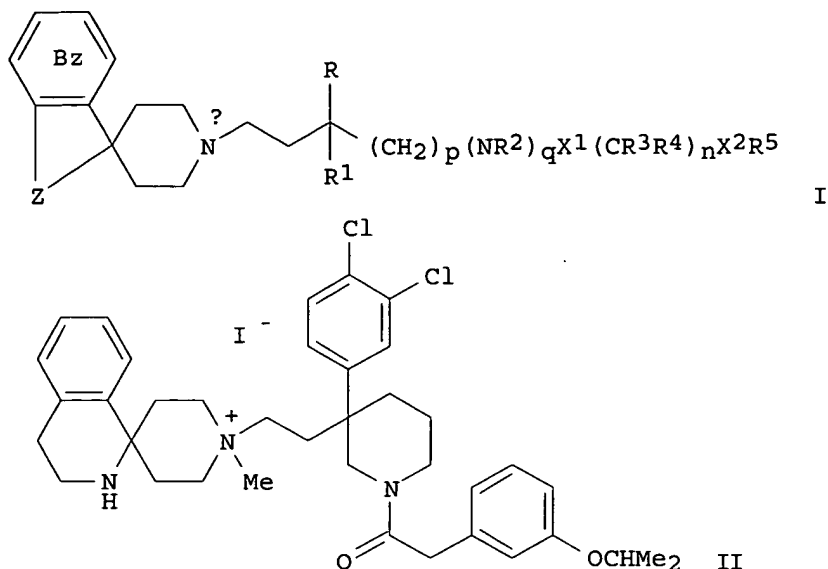


RN 180160-96-7 CAPLUS

CN Spiro[naphthalene-1(2H),4'-piperidin]-2-one, 3,4-dihydro-7-methoxy- (9CI)
(CA INDEX NAME)



L18 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2002 ACS
GI



AB The title compds. I [Na = N (which may form a quaternary ammonium salt with alkyl, etc.), or N-oxide; Z = C(R8R9)Z1, etc.; Z1 = O, etc.; R = (un)substituted aryl; n = 0 - 5; p, q = 0 or 1 (a proviso is given); X1 = CO, etc. (a proviso is given); X2 = O, S, etc. (a proviso is given); R1 - R4 = H, alkyl; further details on R1 and R2 are given; R5 = H, (un)substituted alkyl, etc.; R8, R9 = H, alkyl, etc.; ring Bz = (un)substituted benzene] are claimed. In an in vitro test for NK-1 receptor antagonism, the title compd. II (prepn. given) showed IC50 of 2 nM.

AN 1995:997446 CAPLUS

DN 124:175840

TI Preparation of spiro heterocyclic compounds as tachykinin antagonists

IN Kubota, Hirokazu; Okamoto, Yoshinori; Fujii, Masahiro; Kakefuda, Akio; Yamamoto, Osamu; Nagaoka, Hitoshi; Ikeda, Ken; Isomura, Yasuo

PA Yamanouchi Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 142 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9528389	A1	19951026	WO 1995-JP713	19950412
	W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KE, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TT, UA, US, UZ, VN				
	RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9522234	A1	19951110	AU 1995-22234	19950412
PRAI	JP 1994-101936		19940415		
	JP 1994-255382		19941020		
	WO 1995-JP713		19950412		
OS	MARPAT 124:175840				
IT	173941-68-9P 173943-85-6P				
	RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic				

preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of spiro heterocyclic compds. as tachykinin antagonists)

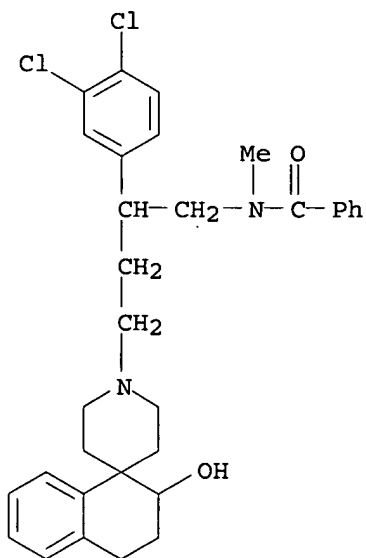
RN 173941-68-9 CAPLUS

CN Benzamide, N-[2-(3,4-dichlorophenyl)-4-(3,4-dihydro-2-hydroxyspiro[naphthalene-1(2H),4'-piperidin]-1'-yl)butyl]-N-methyl-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 173941-67-8

CMF C32 H36 Cl2 N2 O2



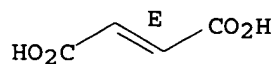
CM 2

CRN 110-17-8

CMF C4 H4 O4

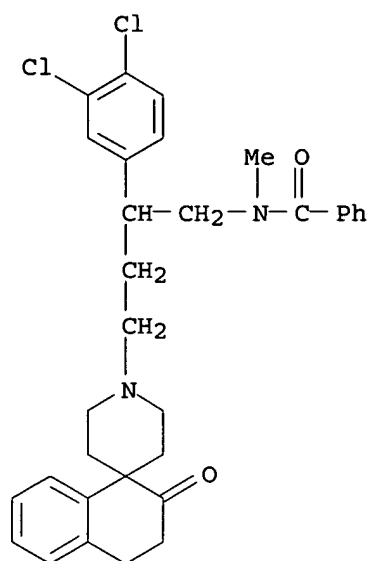
CDES 2:E

Double bond geometry as shown.

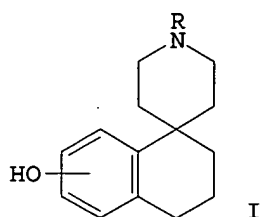


RN 173943-85-6 CAPLUS

CN Benzamide, N-[2-(3,4-dichlorophenyl)-4-(3,4-dihydro-2-oxospiro[naphthalene-1(2H),4'-piperidin]-1'-yl)butyl]-N-methyl- (9CI) (CA INDEX NAME)



L18 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2002 ACS
GI



AB A series of 5 spiro compds., a new class of conformationally restricted analogs of 4-alkyl-4-(m-hydroxyphenyl)piperidines (I, R = H, Me, or phenethyl), were synthesized and their affinities for μ , δ , and κ opioid receptor sites and in vivo analgetic activities detd. I show rather low affinities for the 3 receptors, with some modulation by the N-substituent and by the position of the phenolic group. To help understand the origin of this poor affinity compared to the unrestricted 4-alkyl-4-phenylpiperidines, energy conformation calcns. were performed which indicated that all the analogs favor a Ph equatorial over a Ph axial conformer. Significant differences in the lowest energy conformation were found between these spiro analogs and both morphine and 4-n-propyl-4-(m-hydroxyphenyl)piperidines which are conformationally unrestricted, closely related analogs with high μ -receptor affinity. These differences could account for their lower affinities. To continue the search for more active members of the family, structure variations which favor a phenyl-axial conformation have been identified and proposed for further study.

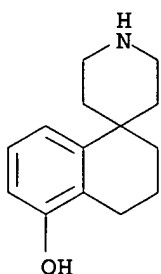
AN 1992:439790 CAPLUS

DN 117:39790

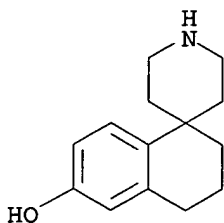
TI Structure-activity studies of morphine fragments. III. Synthesis, opiate receptor binding, analgetic activity and conformational studies of

spiro-[tetralin-1,4'-piperidines]

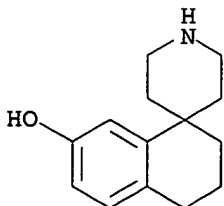
AU Lawson, J. A.; Toll, L.; Polgar, W.; Uyeno, E. T.; Loew, G. H.
 CS SRI Int., Menlo Park, CA, 94025, USA
 SO Eur. J. Med. Chem. (1991), 26(8), 775-85
 CODEN: EJMCA5; ISSN: 0223-5234
 DT Journal
 LA English
 IT 139157-97-4 139157-98-5 139157-99-6
 RL: BAC (Biological activity or effector, except adverse); BIOL
 (Biological study)
 (analgesic activity of and opiate receptor binding by,
 structure in relation to)
 RN 139157-97-4 CAPLUS
 CN Spiro[naphthalene-1(2H),4'-piperidin]-5-ol, 3,4-dihydro- (9CI) (CA INDEX
 NAME)



RN 139157-98-5 CAPLUS
 CN Spiro[naphthalene-1(2H),4'-piperidin]-6-ol, 3,4-dihydro- (9CI) (CA INDEX
 NAME)



RN 139157-99-6 CAPLUS
 CN Spiro[naphthalene-1(2H),4'-piperidin]-7-ol, 3,4-dihydro- (9CI) (CA INDEX
 NAME)



IT 139158-00-2 139158-01-3

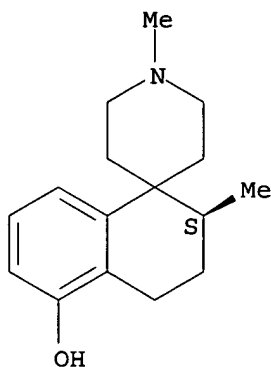
RL: PRP (Properties)

(conformation of, opiate receptor binding in relation to)

RN 139158-00-2 CAPLUS

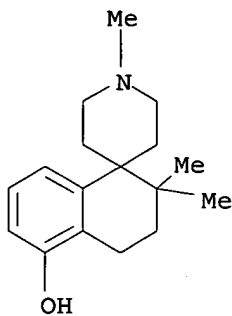
CN Spiro[naphthalene-1(2H),4'-piperidin]-5-ol, 3,4-dihydro-1',2-dimethyl-,
(S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 139158-01-3 CAPLUS

CN Spiro[naphthalene-1(2H),4'-piperidin]-5-ol, 3,4-dihydro-1',2,2-trimethyl-,
(9CI) (CA INDEX NAME)



IT 139157-92-9P 139157-93-0P 139157-94-1P

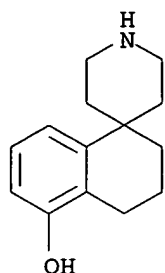
139157-95-2P 139157-96-3P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. and analgesic activity and opiate receptor binding
by, structure in relation to)

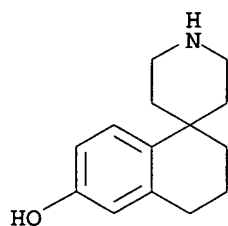
RN 139157-92-9 CAPLUS

CN Spiro[naphthalene-1(2H),4'-piperidin]-5-ol, 3,4-dihydro-, hydrochloride
(9CI) (CA INDEX NAME)



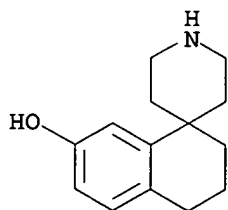
HCl

RN 139157-93-0 CAPLUS
CN Spiro[naphthalene-1(2H),4'-piperidin]-6-ol, 3,4-dihydro-, hydrochloride
(9CI) (CA INDEX NAME)



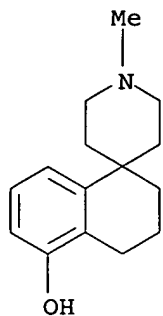
● HCl

RN 139157-94-1 CAPLUS
CN Spiro[naphthalene-1(2H),4'-piperidin]-7-ol, 3,4-dihydro-, hydrochloride
(9CI) (CA INDEX NAME)

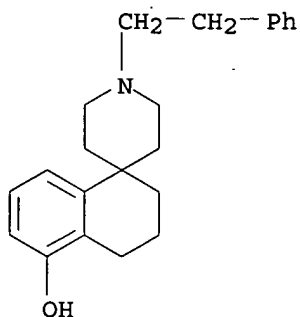


● HCl

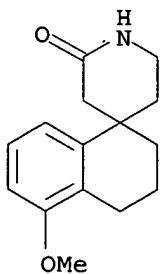
RN 139157-95-2 CAPLUS
CN Spiro[naphthalene-1(2H),4'-piperidin]-5-ol, 3,4-dihydro-1'-methyl- (9CI)
(CA INDEX NAME)



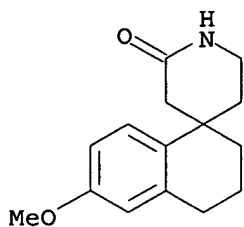
RN 139157-96-3 CAPLUS
CN Spiro[naphthalene-1(2H),4'-piperidin]-5-ol, 3,4-dihydro-1'-(2-phenylethyl)- (9CI) (CA INDEX NAME)



IT 139157-89-4P 139157-90-7P 139157-91-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and redn. and demethylation of)
RN 139157-89-4 CAPLUS
CN Spiro[naphthalene-1(2H),4'-piperidin]-2'-one, 3,4-dihydro-5-methoxy- (9CI)
(CA INDEX NAME)

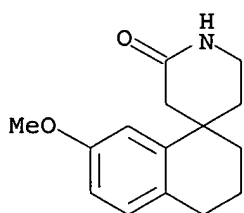


RN 139157-90-7 CAPLUS
CN Spiro[naphthalene-1(2H),4'-piperidin]-2'-one, 3,4-dihydro-6-methoxy- (9CI)
(CA INDEX NAME)

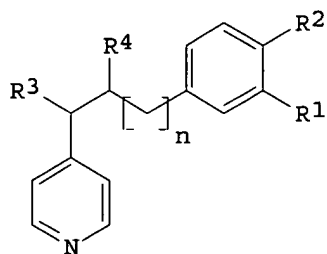


RN 139157-91-8 CAPLUS

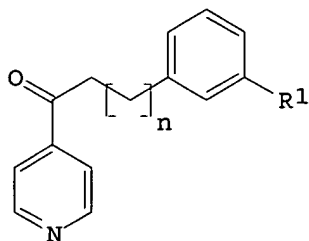
CN Spiro[naphthalene-1(2H),4'-piperidin]-2'-one, 3,4-dihydro-7-methoxy- (9CI)
(CA INDEX NAME)



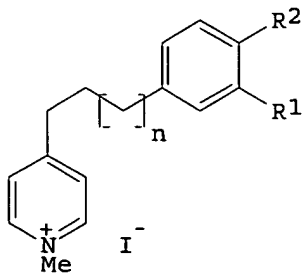
L18 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2002 ACS
GI



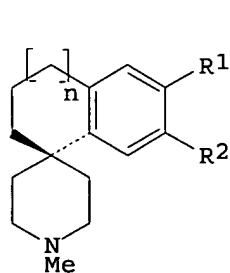
I



II



III

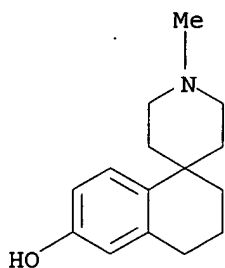


IV

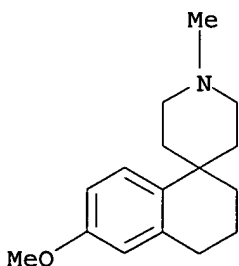
AB Aryl(pyridinyl)alkenes I ($R_1 = R_2 = \text{OMe}$, $R_3R_4 = \text{double bond}$, $n = 1$; $R_1 = R_2 = \text{H}$, $R_3R_4 = \text{double bond}$, $n = 0$) and pyridinylalkanone II ($R_1 = \text{OMe}$, H , $n = 1, 2$) are prepd. and converted to phenylpyridylalkanes I ($R_3 = R_4 = \text{H}$, $n = 0, 1, 2$ same R_1, R_2); their methiodides III are reduced to yield the

corresponding tetrahydropyridines, where upon cyclization by HBr or H₃PO₄ gave title spiro[naphthalene-1(2H),4'-piperidines] IV. Compds. of type IV exhibit notable activity in the writhing test, whereas the hydroxylated derivs. are definitely less active.

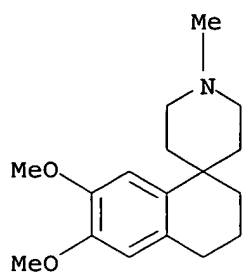
AN 1990:423667 CAPLUS
 DN 113:23667
 TI Intramolecular alkylation of aromatic compounds. XXVIII. Synthesis and pharmacological test of homologated and hydroxylated 3,4-dihydro-1'-methylspiro[naphthalene-1(2H),4'-piperidines]
 AU Reimann, Eberhard; Speckbacher, Johann; Schuenemann, Juergen
 CS Inst. Pharm. Lebensmittelchem., Univ. Muenchen, Munich, D-8000/2, Fed. Rep. Ger.
 SO Arch. Pharm. (Weinheim, Ger.) (1990), 323(1), 35-9
 CODEN: ARPMAS; ISSN: 0365-6233
 DT Journal
 LA German
 OS CASREACT 113:23667
 IT 113018-73-8P 113018-74-9P 127781-44-6P
 127781-45-7P 127781-46-8P 127781-47-9P
 127781-48-0P 127781-83-3P 127781-84-4P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 113018-73-8 CAPLUS
 CN Spiro[naphthalene-1(2H),4'-piperidin]-6-ol, 3,4-dihydro-1'-methyl- (9CI)
 (CA INDEX NAME)



RN 113018-74-9 CAPLUS
 CN Spiro[naphthalene-1(2H),4'-piperidine], 3,4-dihydro-6-methoxy-1'-methyl- (9CI) (CA INDEX NAME)

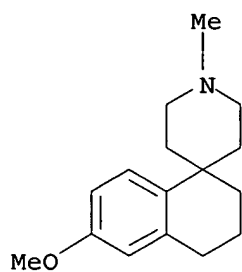


RN 127781-44-6 CAPLUS
 CN Spiro[naphthalene-1(2H),4'-piperidine], 3,4-dihydro-6,7-dimethoxy-1'-methyl-, hydrochloride (9CI) (CA INDEX NAME)



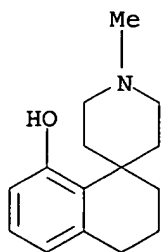
● HCl

RN 127781-45-7 CAPLUS
 CN Spiro[naphthalene-1(2H),4'-piperidine], 3,4-dihydro-6-methoxy-1'-methyl-,
 hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 127781-46-8 CAPLUS
 CN Spiro[naphthalene-1(2H),4'-piperidin]-8-ol, 3,4-dihydro-1'-methyl-,
 hydrochloride (9CI) (CA INDEX NAME)

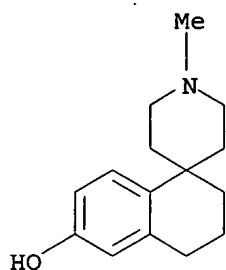


HCl

RN 127781-47-9 CAPLUS

9980965.trn10/01/2003

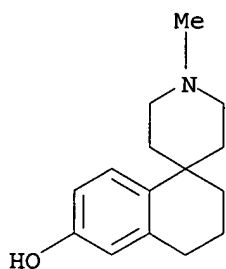
CN Spiro[naphthalene-1(2H),4'-piperidin]-6-ol, 3,4-dihydro-1'-methyl-,
hydrobromide (9CI) (CA INDEX NAME)



● HBr

RN 127781-48-0 CAPLUS

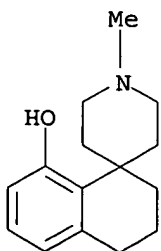
CN Spiro[naphthalene-1(2H),4'-piperidin]-6-ol, 3,4-dihydro-1'-methyl-,
hydrochloride (9CI) (CA INDEX NAME)



● HCl

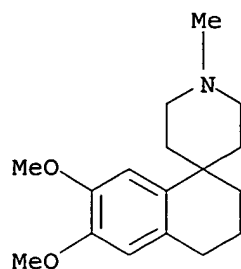
RN 127781-83-3 CAPLUS

CN Spiro[naphthalene-1(2H),4'-piperidin]-8-ol, 3,4-dihydro-1'-methyl- (9CI)
(CA INDEX NAME)

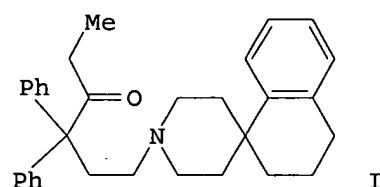


RN 127781-84-4 CAPLUS

CN Spiro[naphthalene-1(2H),4'-piperidine], 3,4-dihydro-6,7-dimethoxy-1'-
methyl- (9CI) (CA INDEX NAME)



L18 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2002 ACS
GI

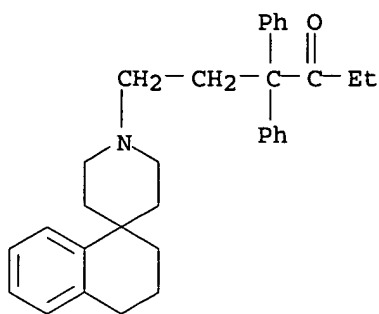


AB A methadone congener I [66194-37-4], in which the metabolically labile C-6 dimethylamino moiety was replaced with a **piperidinospiro** deriv., was reduced and acetylated. The redn. and acetylation of I produced a marked increase in the duration of **analgesia** in rats, a trend similar to that found for methadone, but the relative potencies were not similar to those for the methadone series.

AN 1978:164217 CAPLUS
DN 88:164217
TI Synthesis and **analgesic** activity of some long-acting **piperidinospiro** derivatives of methadone
AU Frincke, James M.; Henderson, Gary L.; Janssen, Paul A. J.; Van der Eycken, Cyriel A. M.
CS Dep. Pharmacol., Univ. California, Davis, Calif., USA
SO J. Med. Chem. (1978), 21(5), 474-6
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
IT **66194-37-4**
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (analgesic activity of)
RN 66194-37-4 CAPLUS
CN 3-Hexanone, 6-(3,4-dihydrospiro[naphthalene-1(2H),4'-piperidin]-1'-yl)-4,4-diphenyl-, ethanedioate (9CI) (CA INDEX NAME)

CM 1

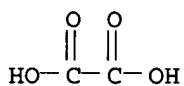
CRN 66194-36-3
CMF C32 H37 N O



CM 2

CRN 144-62-7

CMF C2 H2 O4

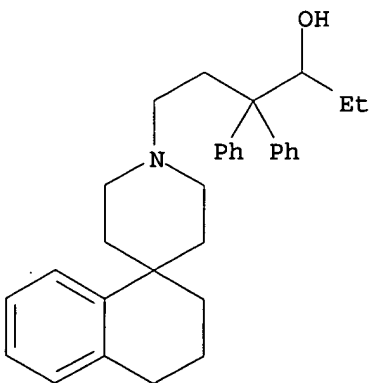


IT 66194-34-1P 66194-35-2P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and **analgesic** activity of)

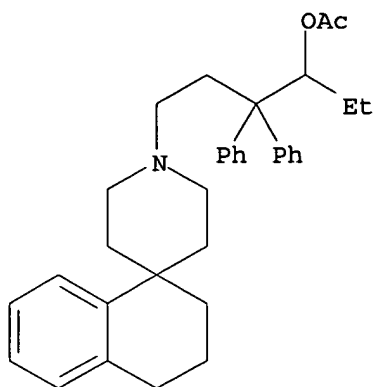
RN 66194-34-1 CAPLUS

CN Spiro[naphthalene-1(2H),4'-piperidine]-1'-butanol, .alpha.-ethyl-3,4-dihydro-.beta.,.beta.-diphenyl- (9CI) (CA INDEX NAME)



RN 66194-35-2 CAPLUS

CN Spiro[naphthalene-1(2H),4'-piperidine]-1'-butanol, .alpha.-ethyl-3,4-dihydro-.beta.,.beta.-diphenyl-, acetate (ester) (9CI) (CA INDEX NAME)



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COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
47.99	688.80

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
-5.58	-5.58

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SINCE FILE	TOTAL
ENTRY	SESSION
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FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
0.00	-5.58

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ENTRY	SESSION
0.21	0.21

FULL ESTIMATED COST

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FILE LAST UPDATED: 15 May 2002 (20020515/ED)

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```
=> s review sigma 1 and( analges? or pain)
    1692634 REVIEW
    24216 REVIEWS
    1704749 REVIEW
        (REVIEW OR REVIEWS)
    161045 SIGMA
    91 SIGMAS
    161069 SIGMA
        (SIGMA OR SIGMAS)
    7129265 1
        0 REVIEW SIGMA 1
            (REVIEW(W) SIGMA(W) 1)
    46906 ANALGES?
    24920 PAIN
    745 PAINS
    25487 PAIN
        (PAIN OR PAINS)
L1      0 REVIEW SIGMA 1 AND( ANALGES? OR PAIN)
```

```
=> s review sigma 1 and pain
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    24216 REVIEWS
    1704749 REVIEW
        (REVIEW OR REVIEWS)
    161045 SIGMA
    91 SIGMAS
    161069 SIGMA
        (SIGMA OR SIGMAS)
    7129265 1
        0 REVIEW SIGMA 1
            (REVIEW(W) SIGMA(W) 1)
    24920 PAIN
    745 PAINS
    25487 PAIN
        (PAIN OR PAINS)
L2      0 REVIEW SIGMA 1 AND PAIN
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```
=> s review sigma 1 and analgesic
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    24216 REVIEWS
    1704749 REVIEW
```

```

                (REVIEW OR REVIEWS)
161045 SIGMA
    91 SIGMAS
161069 SIGMA
    (SIGMA OR SIGMAS)
7129265 1
    0 REVIEW SIGMA 1
        (REVIEW(W) SIGMA(W) 1)
33068 ANALGESIC
27714 ANALGESICS
40666 ANALGESIC
    (ANALGESIC OR ANALGESICS)
L3          0 REVIEW SIGMA 1 AND ANALGESIC

```

=> s review agonist 5HT2 and (analgesics
 UNMATCHED LEFT PARENTHESIS 'AND (ANALGESICS'
 The number of right parentheses in a query must be equal to the
 number of left parentheses.

```

=> s review agonist 5HT2 and (analgesics)
1692634 REVIEW
24216 REVIEWS
1704749 REVIEW
    (REVIEW OR REVIEWS)
80915 AGONIST
59422 AGONISTS
110112 AGONIST
    (AGONIST OR AGONISTS)
596 5HT2
    0 REVIEW AGONIST 5HT2
        (REVIEW(W) AGONIST(W) 5HT2)
27714 ANALGESICS
L4          0 REVIEW AGONIST 5HT2 AND (ANALGESICS)

```

```

=> s review agonist 5HT2 and pain
1692634 REVIEW
24216 REVIEWS
1704749 REVIEW
    (REVIEW OR REVIEWS)
80915 AGONIST
59422 AGONISTS
110112 AGONIST
    (AGONIST OR AGONISTS)
596 5HT2
    0 REVIEW AGONIST 5HT2
        (REVIEW(W) AGONIST(W) 5HT2)
24920 PAIN
745 PAINS
25487 PAIN
    (PAIN OR PAINS)
L5          0 REVIEW AGONIST 5HT2 AND PAIN

```

```

=> s review serotonin and analgesic
1692634 REVIEW
24216 REVIEWS
1704749 REVIEW
    (REVIEW OR REVIEWS)
57779 SEROTONIN
44 SEROTONINS
57783 SEROTONIN

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(SEROTONIN OR SEROTONINS)
 1142 REVIEW SEROTONIN
 (REVIEW (W) SEROTONIN)
 33068 ANALGESIC
 27714 ANALGESICS
 40666 ANALGESIC
 (ANALGESIC OR ANALGESICS)
 L6 0 REVIEW SEROTONIN AND ANALGESIC

=> s review serotonin and pain
 1692634 REVIEW
 24216 REVIEWS
 1704749 REVIEW
 (REVIEW OR REVIEWS)
 57779 SEROTONIN
 44 SEROTONINS
 57783 SEROTONIN
 (SEROTONIN OR SEROTONINS)
 1142 REVIEW SEROTONIN
 (REVIEW (W) SEROTONIN)
 24920 PAIN
 745 PAINS
 25487 PAIN
 (PAIN OR PAINS)
 L7 26 REVIEW SEROTONIN AND PAIN

=> d 1-5 abs bib hitstr

L7 ANSWER 1 OF 26 CAPLUS COPYRIGHT 2002 ACS
 AB A review. Serotonin (5-hydroxytryptamine, 5-HT) induces various effects in the central nervous system, cardiovascular system, and gastrointestinal tract. The response depends primarily on the nature of the 5-HT receptors involved. In the light of the current knowledge about the anatomy and physiol. of the serotonergic system and the distribution of the various 5-HT receptors in the organs and tissues, the established and potential therapeutic applications of 5-HT receptor ligands in the treatment of several disorders are discussed. In particular, new selective 5-HT receptor ligands influencing intestinal motility and pain perception such as the partial 5-HT₄ receptor agonist tegaserod appear promising for a more specific treatment of irritable bowel disease.
 AN 2002:306563 CAPLUS
 DN 136:304169
 TI Physiological and therapeutic relevance of serotonin and the serotonergic system
 AU Molderings, Gerhard J.
 CS Institut für Pharmakologie und Toxikologie, Universität Bonn, Germany
 SO Arzneimittel-Forschung (2002), 52(3), 145-154
 CODEN: ARZNAD; ISSN: 0004-4172
 PB Editio Cantor Verlag
 DT Journal; General Review
 LA German
 RE.CNT 57 THERE ARE 57 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 26 CAPLUS COPYRIGHT 2002 ACS
 AB A review with refs. Serotonin (5-HT), a neurotransmitter and a neuromodulator, plays an important role in physiol. functions and in many pathol. conditions. The actions of 5-HT are mediated by a variety of 5-HT receptors, which are distributed extensively in the central nervous system and certain peripheral tissues. A class of drugs which specifically

antagonizes the 5-HT type 3 receptor (5-HT₃) now occupy an important place in the therapy of cancer, since these drugs allow the use of high-dose cytotoxic treatment by blocking the nausea and vomiting triggered by cancer chemotherapeutic agents and/or radiotherapy. They are also useful as prophylactic agents in preventing postoperative nausea and vomiting due to the anesthetics used in surgical procedures. The 5-HT₃ receptor antagonists (with or without other antiemetic drugs) have become the agents of choice in controlling emesis because of higher efficacy and relatively lower adverse effect profile as compared to the conventional antiemetic agents. The major site of action of these drugs appears to be the central 5-HT₃ receptors, although inhibition of peripheral receptors may also play a role in the control of vomiting. The clin. efficacy as antiemetic agents and the safety profile of the various agents in this class is similar. These drugs may also be useful in the treatment of **pain**, pruritus, fibromyalgia, gastrointestinal symptoms, anxiety disorders and alc. dependency, but not enough clin. data are available to confirm their role in these disorders. The individual 5-HT₃ receptor antagonists differ in pharmacokinetic properties and potential for drug-drug interaction.

AN 2001:591039 CAPLUS

DN 135:326872

TI Clinical pharmacology of serotonin receptor type 3 (5-HT₃) antagonists

AU Israili, Zafar H.

CS Department of Medicine, Emory University School of Medicine, Atlanta, GA, 30303, USA

SO Current Medicinal Chemistry: Central Nervous System Agents (2001), 1(2), 171-199

CODEN: CMCCCO; ISSN: 1568-0150

PB Bentham Science Publishers Ltd.

DT Journal; General Review

LA English

RE.CNT 359 THERE ARE 359 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 3 OF 26 CAPLUS COPYRIGHT 2002 ACS

AB A review, with 48 refs. Irritable bowel syndrome (IBS) is a debilitating disease, which is characterized by recurrent abdominal cramping and **pain**, and is assocd. with either constipation and/or diarrhea. It is approx. twice as prevalent in women as it is in men and is among the most common gastrointestinal (GI) disorders encountered in primary care. The etiol. of the disease is poorly understood but may include motility dysregulation, visceral sensitivity, inflammation, bacterial infection, dietary antigens, psychol. stress, GI surgery or a gut-brain phenomenon. At present, there is no acceptable treatment for IBS, although recent advances indicate that some relief may be achieved by the administration of compds. that act on 5-HT (serotonin) receptors. This suggestion is the result of numerous studies which have shown that 5-HT may exert a no. of diverse effects on human GI tissues. In addn., it has emerged that the levels of the 5-HT metabolite (5-HIAA) are raised in the plasma of IBS patients and that administration of 5-HT-like compds. may mimic the symptoms of IBS. It has therefore been proposed that therapy with compds. that act at 5-HT receptors will return the intestine to normal activity and alleviate the **pain** experienced by these patients. One compd. (alosetron, a 5-HT₃ receptor antagonist) has already been released onto the market but showed benefit in female patients only and only in those whose primary symptom was diarrhea. In addn., the compd. was recently withdrawn following concerns over its safety. The reasons why alosetron only appears to show efficacy in females, why these treatments are only effective in a subset of the population of IBS patients and why alosetron elicits its particular side effect profile have not been

elucidated. One further serotonergic compd., tegaserod (Zelmac, a 5-HT₄ receptor agonist), has shown promise for the treatment of patients with constipation-predominant IBS and is currently in pre-registration for this indication. It is clear, however, that further research will have to take place before the utility of serotonergic modulation in the treatment of IBS can be fully validated.

AN 2001:320874 CAPLUS

DN 135:220450

TI Serotonergic modulation and irritable bowel syndrome

AU Borman, Richard

CS Pharmagene Plc, Herts, SG8 5HD, UK

SO Emerging Drugs (2001), 6(1), 57-68

CODEN: EMDRFV; ISSN: 1361-9195

PB Ashley Publications Ltd.

DT Journal; General Review

LA English

RE.CNT 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 26 CAPLUS COPYRIGHT 2002 ACS

AB A review with 138 refs. Although the past few years have seen an exponential growth of compds. of potential interest for the treatment of functional gastrointestinal (GI) tract disorders, the gap that still exists between basic and clin. research is easily noticed if one considers the relative paucity of drugs that have received marketing authorization for the treatment of irritable bowel syndrome (IBS). Traditional efficacy outcomes in drug development for IBS include the ability of the compd. to affect GI tract motility (i.e. to exert a prokinetic or an antispasmodic effect), which is thought to be of importance if a motor disorder is the underlying pathophysiol. mechanism. More recently, altered visceral sensitivity to a distending stimulus has been suggested to be a key pathophysiol. feature, at least in some patients, and has become a target for therapeutic interventions. However, there is now growing consensus that the primary outcome measure in the treatment of functional disorders are those that reflect overall control of the patient's symptoms (pain, diarrhea, constipation) in everyday situations such as the clin. global improvement scales. Although, in general, guidelines on the design of treatment trials for functional GI tract disorders advise against subcategorization of patients according to the main symptom (because of symptom instability), subcategorization indeed makes sense esp. in IBS (constipation- or diarrhea-predominant). Compds. with a specific indication for each subpopulation of patients are now emerging. The rationale for investigations on serotonin (5-hydroxytryptamine; 5-HT) receptor ligands in IBS rests mainly on the fact that serotonin, which may be released by enterochromaffin-like cells in the GI tract as well as from other sources, has a no. of well documented motor effects on the GI tract and can produce hyperalgesia in several exptl. models. Serotonin receptors belonging to the 5-HT₃ and 5-HT₄ subtype are the most extensively studied in gastroenterol., although hitherto 'orphan' receptor subtypes, such as the 5-HT₇ and the 5-HT_{1B/D} receptors, are now emerging. Among 5-HT₃ receptor antagonists, alosetron was recently approved for the treatment of diarrhea-predominant IBS and is an example of a compd. that, at least theor., may act at multiple levels: by inhibiting visceral sensitivity, by increasing compliance, and by inhibiting excitatory 5-HT₃ receptors located on both ascending and descending neuronal pathways involved in peristalsis. For this reason, 5-HT₃ receptor antagonists may slow transit, hence the specific indication of alosetron in diarrhea-predominant IBS. However, alosetron has been recently withdrawn by the manufacturer because of safety concerns. Hypomotility remains an attractive therapeutic target in IBS and the new generation of prokinetics

includes several partial agonists at the 5-HT₄ receptor, such as tegaserod (HTF-919) and prucalopride (R0-93877). In addn., preliminary evidence suggests that 5-HT₄ receptors may also be involved in the modulation of visceral sensitivity. Second-generation 5-HT₄ receptor agonists seem to be devoid of the QT-prolonging effects obsd. in some clin. circumstances with cisapride and may be more active at the colonic level. Piboserod (SB-207266A) is a 5-HT₄ receptor antagonist under development for the treatment of diarrhea-predominant IBS. Finally, interest in 5-HT₇ and 5-HT_{1B/D} receptor subtypes stems from the observation that the former receptors mediate smooth muscle relaxation (at least in the human colon), whereas sumatriptan (a 5-HT_{1B/D} receptor agonist) can affect GI tract motility and visceral sensitivity.

AN 2001:286903 CAPLUS
 DN 135:204690
 TI Irritable bowel syndrome: New agents targeting serotonin receptor subtypes
 AU De Ponti, Fabrizio; Tonini, Marcello
 CS Department of Pharmacology, University of Bologna, Bologna, Italy
 SO Drugs (2001), 61(3), 317-332
 CODEN: DRUGAY; ISSN: 0012-6667
 PB Adis International Ltd.
 DT Journal; General Review
 LA English
 RE.CNT 138 THERE ARE 138 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 5 OF 26 CAPLUS COPYRIGHT 2002 ACS
 AB A review with 12 refs., on serotonin receptor subtypes; peripheral tissue serotonin in **pain** transmission and regulation; and serotonin in calcitonin-mediated analgesia.
 AN 2001:102018 CAPLUS
 DN 134:305352
 TI The roles of 5-HT and its receptors in the **pain** transmission system
 AU Senba, Emiko
 CS 2nd Anatomy Class, Wakayama Medical College, Japan
 SO Igaku no Ayumi (2000), 195(9), 605-608
 CODEN: IGAYAY; ISSN: 0039-2359
 PB Ishiyaku Shuppan
 DT Journal; General Review
 LA Japanese

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L7 ANSWER 6 OF 26 CAPLUS COPYRIGHT 2002 ACS

AB A review, with 66 refs. The study of the mechanisms of action of the triptan group of drugs has largely contributed to the progress made in the understanding of the physiopathol. processes that are possibly responsible for migraine. In this context, two discoveries have been esp. important: 1) these anti-migraine drugs are specifically recognized by three main types of serotonin receptors (5-HT1B, 5-HT1D, and 5-HT1F); and 2) these receptors are present in the meninges, where they are expressed by both smooth muscle cells and/or endothelial cells of the vascular wall and/or the perivascular trigeminal to be deleted axon terminals. These two findings have led to the most currently accepted physiopathogenic hypothesis, whereby the migraine attack would start with an excitation of the perivascular trigeminal to be deleted fibers, which would then trigger the release of vasoactive peptides (substance P, calcitonin gene-related peptide/CGRP) within the dura mater. Locally, i.e., in the dura mater in particular, these substances can provoke vasodilatation (CGRP) and plasmatic extravasation (substance P) with platelet lysis and mast cell degranulation, thereby leading to the release of algogenic substances that excite the neighboring trigeminal fibers, and this neurogenic inflammatory response can progressively extend to the meninges as a whole. This reaction subsequently reaches the bulbar and thalamic nuclei and then the sensory cortex, where it is integrated and expressed as migraine **pain**. The aim of this article was to report the main findings on endogenous substances (serotonin, peptides, nitric oxide [NO], etc.) which appear to play a key role in this physiopathogenic sequence.

AN 2000:841515 CAPLUS

DN 134:250277

TI The role of serotonin and other neuroactive molecules in the physiopathogenesis of migraine: current hypotheses

AU Hamon, M.; Bourgoin, S.

CS Inserm U288, faculte de medecine Pitie-Salpetriere, Paris, 75634, Fr.

SO Pathologie Biologie (2000), 48(7), 619-629

CODEN: PTBIAN; ISSN: 0031-3009

PB Editions Scientifiques et Medicales Elsevier

DT Journal; General Review

LA French

RE.CNT 66 THERE ARE 66 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 7 OF 26 CAPLUS COPYRIGHT 2002 ACS

AB A review with 17 refs. on endogenous descending inhibitory/facilitatory system and serotonin (5-HT) modulating spinal nociceptive transmission with subdivision headings: (1) descending inhibitory/facilitatory system in brain stem and (2) modulation of spinal nociceptive transmission by 5-HT.

AN 2000:602254 CAPLUS

DN 134:250169

TI Endogenous descending inhibitory/facilitatory system and serotonin (5-HT) modulating spinal nociceptive transmission

AU Zhang, Yuqiu; Wu, Gencheng

CS State Key Laboratory of Medical Neurobiology, Shanghai Medical University, Shanghai, 200032, Peop. Rep. China

SO Shengli Kexue Jinzhan (2000), 31(3), 211-216

CODEN: SLKHA8; ISSN: 0559-7765

PB Zhongguo Shengli Xuehui

DT Journal; General Review

LA Chinese

L7 ANSWER 8 OF 26 CAPLUS COPYRIGHT 2002 ACS

AB A review, with 104 refs., on available information regarding the functional and therapeutic implications of 5-HT receptor diversity, >15 have been identified by mol. cloning, for neurol. and psychiatry. 5-HT receptors are divided into seven main families: 5-HT1, 5-HT2, 5-HT3, 5-HT4, 5-HT5, 5-HT6, and 5-HT7. Several families (e.g., 5-HT1 family) have many members (e.g., 5-HT1A, 5-HT1B, 5-HT1D, 5-HT1E, 5-HT1F), each of which is encoded by a distinct gene product. In addn. to the genomic diversity of 5-HT receptors, splice variants and editing isoforms exist for many of the 5-HT receptors, making the family even more diverse. Evidence that is summarized in this review suggests that 5-HT receptors represent novel therapeutic targets for a no. of neurol. and psychiatric diseases including migraine headaches, chronic pain conditions, schizophrenia, anxiety, depression, eating disorders, obsessive compulsive disorder, pervasive developmental disorders, and obesity-related conditions (type II diabetes, hypertension, obesity syndromes). It is possible that subtype-selective serotonergic agents may revolutionize the treatment for a no. of medical, psychiatric, and neurol. disorders.

AN 2000:588201 CAPLUS

DN 133:291186

TI The multiplicity of serotonin receptors: uselessly diverse molecules or an embarrassment of riches?

AU Roth, Bryan L.; Lopez, Estelle; Patel, Shamil; Kroeze, Wesley K.

CS Department of Psychiatry, Department of Biochemistry, Department of Neuroscience, Case Western Reserve University Medical School, Cleveland, OH, 44106-4935, USA

SO Neuroscientist (2000), 6(4), 252-262

CODEN: NROSFJ; ISSN: 1073-8584

PB Sage Publications, Inc.

DT Journal; General Review

LA English

RE.CNT 104 THERE ARE 104 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 9 OF 26 CAPLUS COPYRIGHT 2002 ACS

AB A review with 26 refs. Brain serotonergic neurons display a distinctive slow and regular discharge pattern in behaving animals. This activity gradually declines across the arousal-waking sleep cycle, becoming virtually silent during rapid eye movement sleep. The activity of these neurons, in both the pontine and medullary groups, is generally unresponsive to a variety of physiol. challenges or stressors. However, these neurons are activated in assocn. with increased muscle tone/tonic motor activity, esp. if the motor activity is in the repetitive or central pattern generator mode. The authors interpret these data within the following theor. framework. The primary function of the brain serotonergic system is to facilitate motor output. Concurrently, the system coordinates autonomic and neuroendocrine function with the present motor demand, and inhibits information processing in various sensory pathways. Reciprocally, when the serotonin system is briefly inactivated (e.g., during orientation to salient stimuli), this disfacilitates motor function and disinhibits sensory information processing. It is within this context that serotonin exerts its well-known effects on pain, feeding, memory, mood, etc.

AN 1999:507458 CAPLUS

DN 131:307170

TI Activity of serotonergic neurons in behaving animals

AU Jacobs, Barry L.; Fornal, Casimir A.

CS Program in Neuroscience, Department of Psychology, Princeton University,

Princeton, NJ, 08544, USA

SO Neuropsychopharmacology (1999), 21(2S), 9S-15S
CODEN: NEROEW; ISSN: 0893-133X

PB Elsevier Science Inc.

DT Journal; General Review

LA English

RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 10 OF 26 CAPLUS COPYRIGHT 2002 ACS

AB A review with 135 refs. The development and clin. use of the serotonin 5-HT1 receptor agonists, collectively known as the "triptans", has ushered in a new age for clinicians treating patients with migraine, as well as a new era for those who respond to the medicines. The triptans that are currently in use (sumatriptan, naratriptan, rizatriptan and zolmitriptan) and those in development [almotriptan, eletriptan and frovatriptan (SB-209509, VML-251)] all share a common pharmacol. of 5-HT1B/1D receptor agonist activity. Administration of a triptan during an acute migraine is aimed, via an interruption of the pathophysiol. of this disorder, at rapid and well tolerated relief of headache and assocd. symptoms of migraine. Migraine probably involves a combination of cranial vasodilatation, with peripheral trigeminal nerve activation and consequent excitation of trigeminal neurons within the caudal brainstem and upper cervical spinal cord (the trigeminocervical complex). Triptans may act by constricting cranial vessels through 5-HT1B receptors, by inhibiting peripheral trigeminal nerve afferents that innervate the vessels and **pain**-producing dura mater through 5-HT1D receptors, or by inhibiting central trigeminal neuronal traffic through 5-HT1D receptors, or by a combination of these mechanisms. Peripheral neuronal inhibition is likely to involve inhibition of calcitonin gene-related peptide (CGRP) release and perhaps to some degree inhibition of a trigeminally driven inflammatory process. Some aspects of the pharmacokinetics of the various triptans, such as the relationship between time to reach peak plasma concns. and half-lives and clin. efficacy, may reveal information about the fundamental processes at work in acute migraine. The triptans have been a source of considerable interest because they have provided important clues to the basic pathophysiol. of migraine and point to an important role for the CNS in this disorder.

AN 1998:749148 CAPLUS

DN 130:162588

TI Serotonin 5-HT1B/1D receptor agonists in migraine: comparative pharmacology and its therapeutic implications

AU Goadsby, Peter J.

CS Institute of Neurology, National Hospital for Neurology and Neurosurgery, London, UK

SO CNS Drugs (1998), 10(4), 271-286
CODEN: CNDREF; ISSN: 1172-7047

PB Adis International Ltd.

DT Journal; General Review

LA English

RE.CNT 135 THERE ARE 135 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 11 OF 26 CAPLUS COPYRIGHT 2002 ACS

AB A review with 232 refs. Serotonin (5-hydroxytryptamine) is an important biogenic amine that fulfills the role of neurotransmitter and neuromodulator. It has been a focus of interest during the last decade. Its diversity of pharmacol. actions is related to a wide variety of receptors and effector mechanisms. Seven serotonin receptor families have been identified thus far. They are genetically different transmembrane

proteins composed of several hundred amino acids. The majority of these are G-protein-coupled, except the 5-HT₃ receptors, which are directly ligand gated to fast ion channels. Serotonin is widely distributed in the body within the central and peripheral nervous systems, smooth muscles, and platelets, in particular. Consequently, its effects manifest mainly in these organs and influence a wide variety of neural, vascular, smooth muscle, and platelet functions. (Melatonin, a physiol. active metabolite of serotonin, is also instrumental in affecting many neural and hormonal functions.). Several selective agonists and particularly many selective antagonists have been developed for serotonin, which helped the serotonin receptor subtype classification. Some of these drugs are also used therapeutically in the treatment of migraine (eg, sumatriptan, which is a 5-HT₁ receptor agonist), vascular disorders (5-HT₂ antagonists), and nausea and vomiting (5-HT₃ antagonists, eg, dolasetron, granisetron, ondansetron, and tropisetron), and have been investigated in gastrointestinal motility disorders (5-HT₄ antagonists) and behavioral psychopathologies (5-HT₁ agonists and 5-HT₂₋₄ antagonists). Serotonin reuptake inhibitors are of particular clin. importance in the treatment of psychol. illnesses. Future use of these drugs is also envisioned in the treatment of certain types of pain syndromes. Awareness of the serotonergic drugs and the recognition of possible drug interactions among drugs that influence serotonergic mechanisms in humans are becoming increasingly important in the practice of anesthesiol.

AN 1997:365215 CAPLUS

DN 127:28509

TI Pharmacology of serotonin as related to anesthesia

AU Gyermeik, Laszlo

CS Department of Anesthesiology, Harbor-UCLA Medical Center, UCLA School of Medicine, Torrance, CA, USA

SO J. Clin. Anesth. (1996), 8(5), 402-425

CODEN: JCLBE7; ISSN: 0952-8180

PB Elsevier

DT Journal; General Review

LA English

L7 ANSWER 12 OF 26 CAPLUS COPYRIGHT 2002 ACS

AB A review and discussion, with 52 refs., of serotonin receptors in relation to migraine therapy which discusses: the peripheral pathways including trigeminal innervation of pain-sensitive intracranial structures, plasma protein extravasation and migraine in relation to a model of peripheral drug action; and the central nervous system including exptl. cerebral blood flow studies, selective stimulation of pain-sensitive structures, and clin. observations of the trigeminovascular system.

AN 1996:700546 CAPLUS

DN 125:317521

TI Central and peripheral 5-hydroxytryptamine receptor effects: What have we learnt?

AU Goadsby, Peter J.

CS Institute Neurology, London, WC1N 3BG, UK

SO Migraine: Pharmacol. Genet. (1996), 67-81. Editor(s): Sandler, Merton; Ferrari, Michel; Harnett, Sara. Publisher: Chapman & Hall, London, UK.

CODEN: 63NSAC

DT Conference; General Review

LA English

L7 ANSWER 13 OF 26 CAPLUS COPYRIGHT 2002 ACS

AB A review with 108 refs. Antagonists of the serotonin 5-HT₃ receptor are clin. effective antinausea and anti-emetic drugs for the treatment of cancer patients undergoing chemotherapy and for patients undergoing

procedures involving general anesthesia. These compds. have also been evaluated for the treatment of irritable bowel syndrome, other pain-related disorders (including migraine) and a variety of central nervous system disorders (including anxiety, psychosis and the treatment of drug abuse). The status of research into potential clin. applications of these drugs is evaluated in this review. The evidence from preclin. and clin. studies is compared and avenues for future drug development using these compds. are discussed.

AN 1996:306379 CAPLUS

DN 125:89

TI 5-HT3 receptor antagonists

AU Silverstone, P. H.; Greenshaw, A. J.

CS Clinical Psychopharmacology Res. Unit, Univ. Alberta, Alberta, T6G 2B7, Can.

SO Expert Opin. Ther. Pat. (1996), 6(5), 471-481

CODEN: EOTPEG; ISSN: 1354-3776

DT Journal; General Review

LA English

L7 ANSWER 14 OF 26 CAPLUS COPYRIGHT 2002 ACS

AB A review with 112 refs. There are conflicting results on the function of 5-HT in anxiety and depression. To reconcile this evidence, Deakin and Graeff have suggested that the ascending 5-HT pathway that originates in the dorsal raphe nucleus (DRN) and innervates the amygdala and frontal cortex facilitates conditioned fear, while the DRN-periventricular pathway innervating the periventricular and periaqueductal gray matter inhibits inborn fight/flight reactions to impending danger, pain, or asphyxia. To study the role of the DRN 5-HT system in anxiety, the authors microinjected 8-OH-DPAT into the DRN to inhibit 5-HT release. This treatment impaired inhibitory avoidance (conditioned fear) without affecting one-way escape (unconditioned fear) in the elevated T-maze, a new animal model of anxiety. The authors also applied 3 drug treatments that increase 5-HT release from DRN terminals: (1) intra-DRN microinjection of the benzodiazepine inverse agonist FG 4172, (2) intra-DRN microinjection of the excitatory amino acid kainic acid, and (3) i.p. injection of the 5-HT releaser and uptake blocker D-fenfluramine. All treatments enhanced inhibitory avoidance in the T-maze. D-Fenfluramine and intra-DRN kainate also decreased one-way escape. In healthy volunteers, D-fenfluramine and the 5-HT agonist mCPP (mainly 5-HT2C) increased, while the antagonists ritanserin (5-HT2A/2C) and SR 46349B (5-HT2A) decreased skin conductance responses to an aversively conditioned stimulus (tone). In addn., D-fenfluramine decreased, whereas ritanserin increased subjective anxiety induced by simulated public speaking, thought to represent unconditioned anxiety. Overall, these results are compatible with the above hypothesis. Deakin and Graeff have suggested that the pathway connecting the median raphe nucleus (MRN) to the dorsal hippocampus promotes resistance to chronic, unavoidable stress. In the present study, the authors found that 24 h after electrolytic lesion of the rat MRN glandular gastric ulcers occurred, and the immune response to the mitogen Con A was depressed. Seven days after the same lesion, the ulcerogenic effect of restraint was enhanced. Microinjection of 8-OH-DPAT, the nonselective agonist 5-MeO-DMT, or the 5-HT uptake inhibitor zimelidine into the dorsal hippocampus immediately after 2 h of restraint reversed the deficits of open arm exploration in the elevated plus-maze, measured 24 h after restraint. The effect of the two last drugs was antagonized by WAY-100135, a selective 5-HT1A receptor antagonist. These results are compatible with the hypothesis that the MRN-dorsal hippocampus 5-HT system attenuates stress by facilitation of hippocampal 5-HT1A-mediated neurotransmission. Clin. implications of these results are discussed, esp. with regard to panic disorder and

depression.

AN 1996:247120 CAPLUS
 DN 124:285572
 TI Role of 5-HT in stress, anxiety, and depression
 AU Graeff, Frederico G.; Guimaraes, Francisco S.; De Andrade, Telma G. C. S.;
 Deakin, John F. W.
 CS Laboratorio de Psicofoarmacologia, Universidade de Sao Paulo, Sao Paulo,
 14040-901, Brazil
 SO Pharmacol., Biochem. Behav. (1996), 54(1), 129-41
 CODEN: PBBHAU; ISSN: 0091-3057
 DT Journal; General Review
 LA English

L7 ANSWER 15 OF 26 CAPLUS COPYRIGHT 2002 ACS
 AB A review, with 79 refs. Serotonin has both pro- and antinociceptive
 actions due to its multiple receptor types and their location (periphery,
 spinal cord, or brain). E.g., the 5A receptors of the periphery and
 spinal cord increase nociception; the 5B/1D receptors of the periphery
 and spinal cord decrease nociception; the 5A receptors of the periphery
 increase and of the brain decrease nociception; and the 5 receptors of
 the periphery increase and those of the spinal cord decrease nociception.

AN 1996:84257 CAPLUS
 DN 124:165322
 TI Serotonin (5-HT) and pain: a reappraisal of its role in the
 light of receptor multiplicity
 AU Millan, Mark J.
 CS Institut de Recherches Servier, Centre de Recherches de Croissy,
 Croissy-sur-Seine, 78290, Fr.
 SO Semin. Neurosci. (1995), 7(6), 409-19
 CODEN: SNEUEZ; ISSN: 1044-5765
 DT Journal; General Review
 LA English

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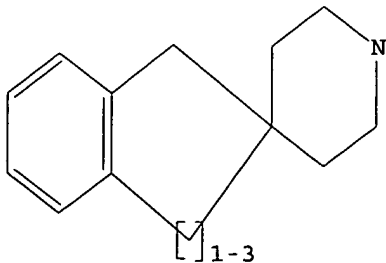
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L5 290 SEA SSS FUL L3

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NCLM, NCLS, EXF, REP, REN, ARTU, EXNAM, LREP,
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 RLI, PRAI, REP, REN, EXNAM, LREP, CLM, CLMN, DRWN
 FHITSTR ----- HIT RN, its text modification, its CA index name, and
 its structure diagram
 FPG ----- FP plus PAGE.DRAW
 GI ----- PN and page image numbers
 HIT ----- All fields containing hit terms
 HITRN ----- HIT RN and its text modification
 HITSTR ----- HIT RN, its text modification, its CA index name, and
 its structure diagram
 IABS ----- ABS, indented with text labels
 IALL ----- ALL, indented with text labels
 IALLG ----- IALL plus PAGE.DRAW
 IBIB ----- BIB, indented with text labels
 IBIB.EX ----- IBIB for original and latest publication
 IBIBG ----- IBIB plus PAGE.DRAW
 IMAX ----- MAX, indented with text labels
 IMAX.EX ----- IMAX for original and latest publication
 IND ----- INCL, INCLM, INCLS, NCL, NCLM, NCLS, IC, ICM, ICS,
 EXF, ARTU, OS, CC, SX, ST, IT
 ISTD ----- STD, indented with text labels
 KWIC ----- All hit terms plus 20 words on either side
 MAX ----- AN, TI, IN, INA, PA, PAA, PAT, PI, AI, PTERM, DCD,
 RLI, PRAI, DT, FS, REP, REN, EXNAM, LREP, CLMN, ECL,
 DRWN, AB, GOVI, PARN, SUMM, DRWD, DETD, CLM, INCL,
 INCLM, INCLS, NCL, NCLM, NCLS, IC, ICM, ICS,
 EXF, ARTU OS, CC, SX, ST, IT
 MAX.EX ----- MAX for original and latest publication
 OCC ----- List of display fields containing hit terms
 SBIB ----- AN, TI, IN, INA, PA, PAA, PAT, PI, AI, RLI, PRAI,
 DT, FS, LN.CNT
 SCAN ----- AN, TI, NCL, NCLM, NCLS, IC, ICM, ICS (random display
 without answer number. SCAN must be entered on the
 same line as DISPLAY, e.g., D SCAN)
 STD ----- AN, TI, IN, INA, PA, PAA, PAT, PI, AI, RLI, PRAI,
 DT, FS, LN.CNT, INCL, INCLM, INCLS, NCL, NCLM, NCLS,
 IC, ICM, ICS, EXF (STD is the default)
 STD.EX ----- STD for original and latest publication
 TRIAL ----- AN, TI, INCL, INCLM, INCLS, NCL, NCLM, NCLS, IC,
 ICM, ICS

ENTER DISPLAY FORMAT (STD):end

=> d abs bib hitstr 3-6

L6 ANSWER 3 OF 11 USPATFULL

AB The present invention is directed spiro-substituted azacycles of formula
 I: (wherein R.sub.1, R.sub.2, R.sub.3, R.sub.4, R.sub.5, k, l and m are
 defined herein) which are useful as modulators of chemokine receptor
 activity. In particular, these compounds are useful as modulators of the
 chemokine receptors CCR-1, CCR-2, CCR-2A, CCR-2B, CCR-3, CCR-4, CCR-5,
 CXCR-3, and/or CXCR-4.

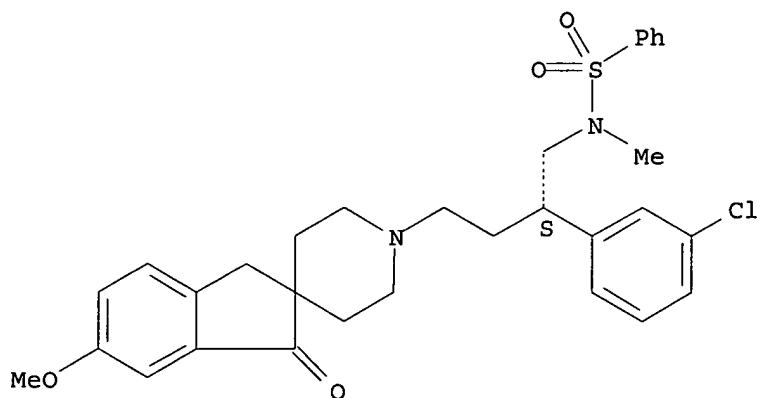
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AN 2000:4806 USPATFULL

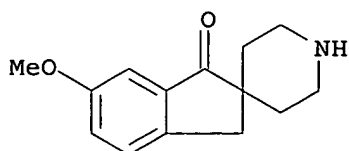
TI Spiro-substituted azacycles as modulators of chemokine receptor activity
 IN Mills, Sander G., Scotch Plains, NJ, United States
 Maccoss, Malcolm, Freehold, NJ, United States
 Springer, Martin S., Westfield, NJ, United States
 PA Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)
 PI US 6013644 20000111
 AI US 1997-989940 19971212 (8)
 DT Utility
 FS Granted
 EXNAM Primary Examiner: Krass, Frederick
 LREP Thies, J. Eric, Rose, David L.
 CLMN Number of Claims: 9
 ECL Exemplary Claim: 1
 DRWN No Drawings
 LN.CNT 2845
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.
 IT 209280-16-0P

(prepn. of spiro-substituted azacycles as modulators of chemokine
 receptor activity)
 RN 209280-16-0 USPATFULL
 CN Benzenesulfonamide, N-[(2S)-2-(3-chlorophenyl)-4-(1,3-dihydro-6-methoxy-1-
 oxospiro[2H-indene-2,4'-piperidin]-1'-yl)butyl]-N-methyl- (9CI) (CA
 INDEX NAME)

Absolute stereochemistry.



IT 253783-77-6
 (prepn. of spiro-substituted azacycles as modulators of chemokine
 receptor activity)
 RN 253783-77-6 USPATFULL
 CN Spiro[2H-indene-2,4'-piperidin]-1(3H)-one, 6-methoxy-, hydrochloride (9CI)
 (CA INDEX NAME)



● HCl

L6 ANSWER 4 OF 11 USPATFULL

AB A water soluble derivative of buckminsterfullerene (C.sub.60) having antiviral and virucidal properties is used to inhibit human retroviral replication and infections. The derivatized fullerene is symmetrically substituted with polar organic moieties containing 1 to 20 carbon atoms and optionally further containing oxygen or nitrogen.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AN 1998:115775 USPATFULL

TI Water soluble fullerenes with antiviral activity

IN Friedman, Simon H., San Francisco, CA, United States

Schinazi, Raymond F., Decatur, GA, United States

Wudl, Fred, Santa Barbara, CA, United States

Hill, Craig L., Atlanta, GA, United States

De Camp, Diane L., Atlanta, GA, United States

Sijbesma, Rintje P., Eindhoven, Netherlands

Kenyon, George L., San Francisco, CA, United States

PA The Regents of the University of California, Oakland, CA, United States (U.S. corporation)

PI US 5811460 19980922

AI US 1849220 19940124 (8)

DT Utility

FS Granted

EXNAM Primary Examiner: Raymond, Richard L.

LREP Peters, Verny, Jones, Biksa, L.L.P.

CLMN Number of Claims: 9

ECL Exemplary Claim: 1

DRWN 16 Drawing Figure(s); 9 Drawing Page(s)

LN.CNT 1235

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

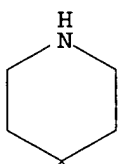
IT 168770-20-5P

(prepn. of water-sol. fullerenes with HIV antiviral activity)

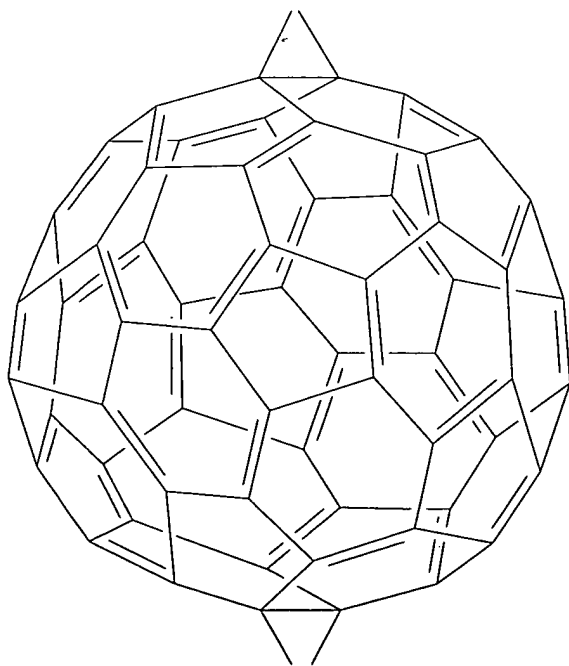
RN 168770-20-5 USPATFULL

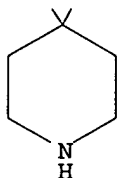
CN Dispiro[piperidine-4,3''-[3'H,3''H]dicyclopropa[1,9:52,60][5,6]fullerene-C60-1h-3''',4''''-piperidine], conjugate diacid (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A





● 2 H⁺

L6 ANSWER 5 OF 11 USPATFULL

AB This invention relates to certain novel compounds and derivatives thereof, their synthesis, and their use as selective alpha-1C adrenergic receptor antagonists. One application of these compounds is in the treatment of benign prostatic hypertrophy. These compounds are selective in their ability to relax smooth muscle tissue enriched in the alpha1C receptor subtype without at the same time inducing orthostatic hypotension. One such tissue is found surrounding the urethral lining. Therefore, one utility of the instant compounds is to provide acute relief to males suffering from benign prostatic hyperplasia, by permitting less hindered urine flow. Another utility of the instant compounds is provided by combination with a human 5-alpha reductase inhibitory compound, such that both acute and chronic relief from the effects of benign prostatic hyperplasia are achieved.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AN 1998:61669 USPATFULL

TI Alpha 1C adrenergic receptor antagonists

IN Huff, Joel R., Gwynedd Valley, PA, United States

Lee, Hee-Yoon, Yusung-Gu, Korea, Republic of

Nerenberg, Jennie B., Maple Glen, PA, United States

Thompson, Wayne J., Lansdale, PA, United States

Bell, Ian M., Harleysville, PA, United States

PA Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)

PI US 5760054 19980602

WO 9528397 19951026

AI US 1996-722001 19961001 (8)

WO 1995-US4590 19950413

19961001 PCT 371 date

19961001 PCT 102(e) date

RLI Continuation-in-part of Ser. No. US 1994-229276, filed on 13 Apr 1994, now abandoned

DT Utility

FS Granted

EXNAM Primary Examiner: Rotman, Alan L.

LREP Winokur, Melvin

CLMN Number of Claims: 13

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 4070

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 173842-21-2P 173842-22-3P 173842-33-6P

173842-34-7P 173842-35-8P 173842-36-9P

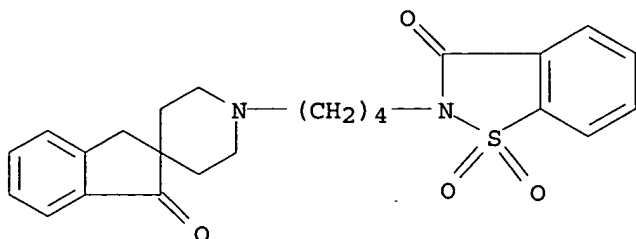
173842-37-0P 173842-38-1P 173842-39-2P

173842-40-5P 173842-41-6P 173842-42-7P
 173842-43-8P 173842-44-9P 173842-46-1P
 173842-47-2P 173842-79-0P 173842-86-9P
 173842-87-0P 173842-89-2P

(prepn. of benzisothiazolones and analogs as .alpha.1C-adrenergic antagonists)

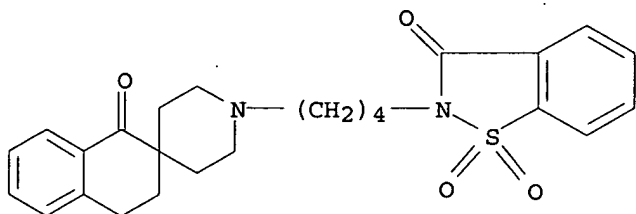
RN 173842-21-2 USPATFULL

CN Spiro[2H-indene-2,4'-piperidin]-1(3H)-one, 1'-[4-(1,1-dioxido-3-oxo-1,2-benzisothiazol-2(3H)-yl)butyl]- (9CI) (CA INDEX NAME)



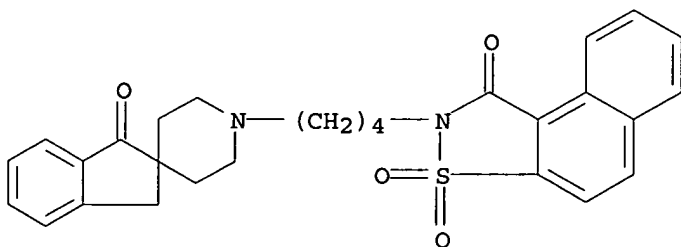
RN 173842-22-3 USPATFULL

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 1'-[4-(1,1-dioxido-3-oxo-1,2-benzisothiazol-2(3H)-yl)butyl]-3,4-dihydro- (9CI) (CA INDEX NAME)



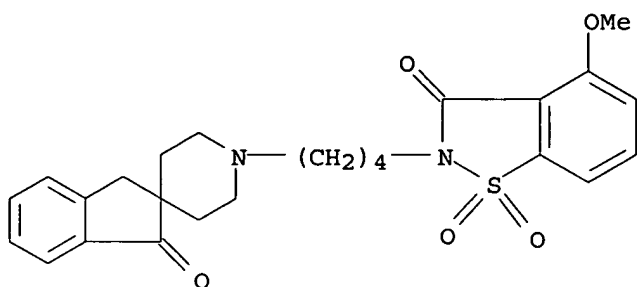
RN 173842-33-6 USPATFULL

CN Spiro[2H-indene-2,4'-piperidin]-1(3H)-one, 1'-[4-(3,3-dioxido-1-oxonaphth[1,2-d]isothiazol-2(1H)-yl)butyl]- (9CI) (CA INDEX NAME)



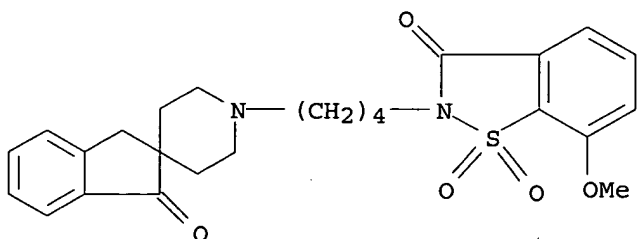
RN 173842-34-7 USPATFULL

CN Spiro[2H-indene-2,4'-piperidin]-1(3H)-one, 1'-[4-(4-methoxy-1,1-dioxido-3-oxo-1,2-benzisothiazol-2(3H)-yl)butyl]- (9CI) (CA INDEX NAME)



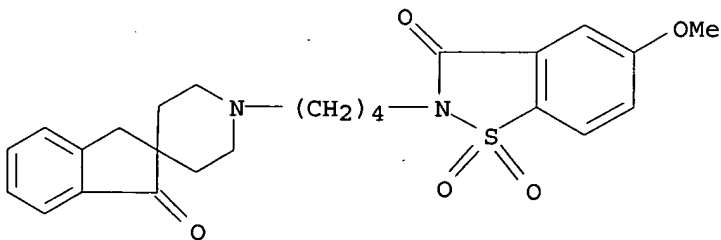
RN 173842-35-8 USPATFULL

CN Spiro[2H-indene-2,4'-piperidin]-1(3H)-one, 1'-[4-(7-methoxy-1,1-dioxido-3-oxo-1,2-benzisothiazol-2(3H)-yl)butyl]- (9CI) (CA INDEX NAME)



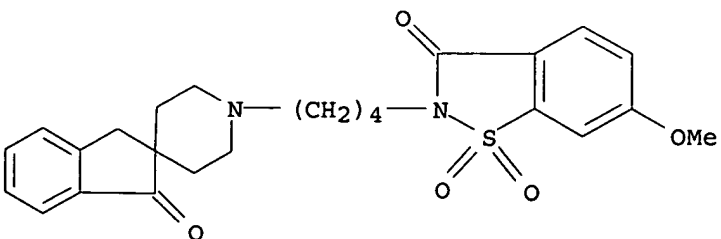
RN 173842-36-9 USPATFULL

CN Spiro[2H-indene-2,4'-piperidin]-1(3H)-one, 1'-[4-(5-methoxy-1,1-dioxido-3-oxo-1,2-benzisothiazol-2(3H)-yl)butyl]- (9CI) (CA INDEX NAME)



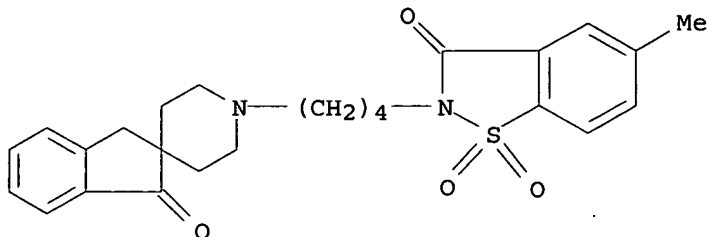
RN 173842-37-0 USPATFULL

CN Spiro[2H-indene-2,4'-piperidin]-1(3H)-one, 1'-[4-(6-methoxy-1,1-dioxido-3-oxo-1,2-benzisothiazol-2(3H)-yl)butyl]- (9CI) (CA INDEX NAME)



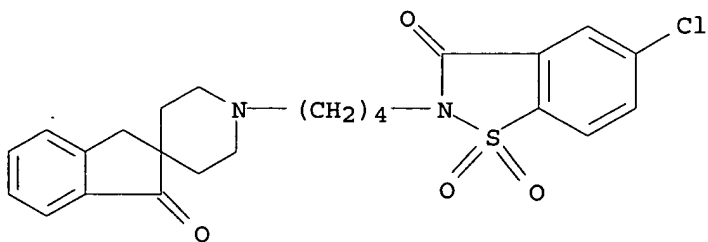
RN 173842-38-1 USPATFULL

CN Spiro[2H-indene-2,4'-piperidin]-1(3H)-one, 1'-[4-(5-methyl-1,1-dioxido-3-oxo-1,2-benzisothiazol-2(3H)-yl)butyl]- (9CI) (CA INDEX NAME)



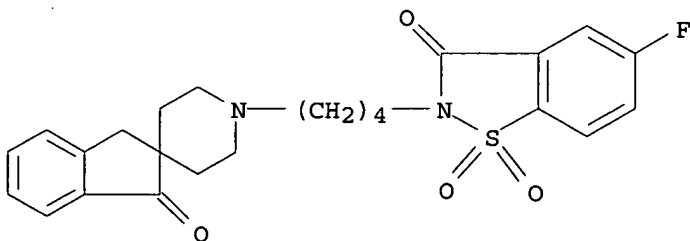
RN 173842-39-2 USPATFULL

CN Spiro[2H-indene-2,4'-piperidin]-1(3H)-one, 1'-[4-(5-chloro-1,1-dioxido-3-oxo-1,2-benzisothiazol-2(3H)-yl)butyl]- (9CI) (CA INDEX NAME)



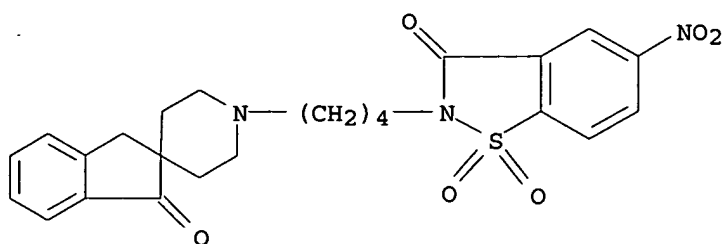
RN 173842-40-5 USPATFULL

CN Spiro[2H-indene-2,4'-piperidin]-1(3H)-one, 1'-[4-(5-fluoro-1,1-dioxido-3-oxo-1,2-benzisothiazol-2(3H)-yl)butyl]- (9CI) (CA INDEX NAME)



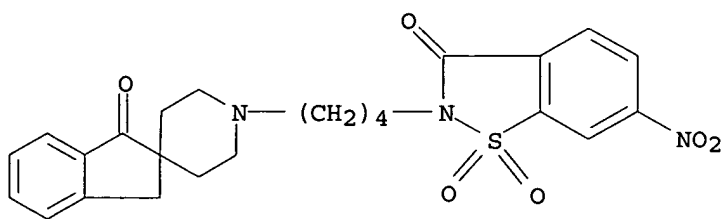
RN 173842-41-6 USPATFULL

CN Spiro[2H-indene-2,4'-piperidin]-1(3H)-one, 1'-[4-(5-nitro-1,1-dioxido-3-oxo-1,2-benzisothiazol-2(3H)-yl)butyl]- (9CI) (CA INDEX NAME)



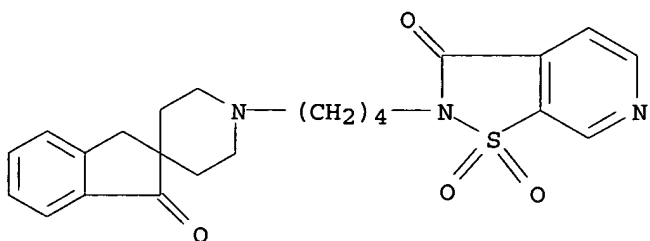
RN 173842-42-7 USPATFULL

CN Spiro[2H-indene-2,4'-piperidin]-1(3H)-one, 1'-[4-(6-nitro-1,1-dioxido-3-oxo-1,2-benzisothiazol-2(3H)-yl)butyl]- (9CI) (CA INDEX NAME)



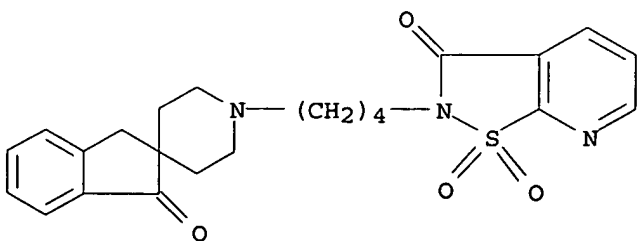
RN 173842-43-8 USPATFULL

CN Spiro[2H-indene-2,4'-piperidin]-1(3H)-one, 1'-[4-(1,1-dioxido-3-oxoisothiazolo[5,4-c]pyridin-2(3H)-yl)butyl]- (9CI) (CA INDEX NAME)



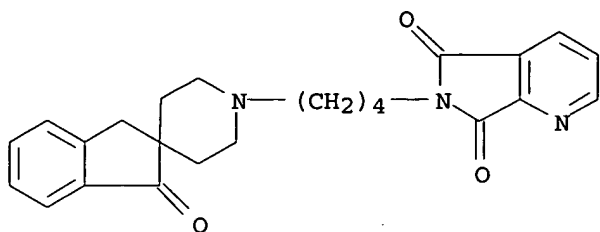
RN 173842-44-9 USPATFULL

CN Spiro[2H-indene-2,4'-piperidin]-1(3H)-one, 1'-[4-(1,1-dioxido-3-oxoisothiazolo[5,4-b]pyridin-2(3H)-yl)butyl]- (9CI) (CA INDEX NAME)



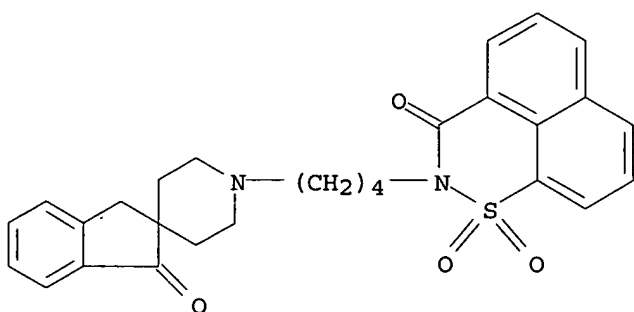
RN 173842-46-1 USPATFULL

CN 5H-Pyrrolo[3,4-b]pyridine-5,7(6H)-dione, 6-[4-(1,3-dihydro-1-oxospiro[2H-indene-2,4'-piperidin]-1'-yl)butyl]- (9CI) (CA INDEX NAME)



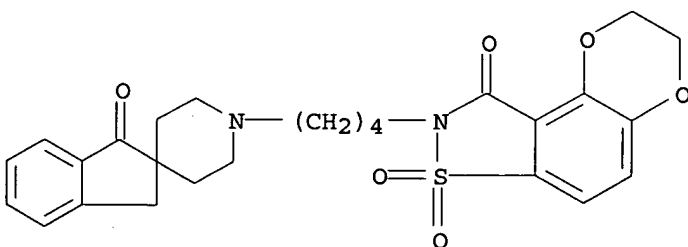
RN 173842-47-2 USPATFULL

CN Spiro[2H-indene-2,4'-piperidin]-1(3H)-one, 1'-[4-(1,1-dioxido-3-oxonaphtho[1,8-de]-1,2-thiazin-2(3H)-yl)butyl]- (9CI) (CA INDEX NAME)



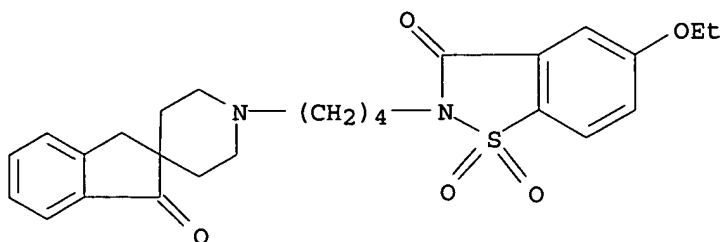
RN 173842-79-0 USPATFULL

CN Spiro[2H-indene-2,4'-piperidin]-1(3H)-one, 1'-[4-(2,3-dihydro-7,7-dioxido-9-oxo-1,4-dioxino[2,3-e][1,2]benzisothiazol-8(9H)-yl)butyl]- (9CI) (CA INDEX NAME)



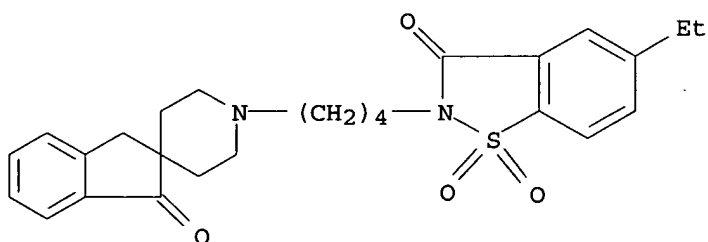
RN 173842-86-9 USPATFULL

CN Spiro[2H-indene-2,4'-piperidin]-1(3H)-one, 1'-[4-(5-ethoxy-1,1-dioxido-3-oxo-1,2-benzisothiazol-2(3H)-yl)butyl]- (9CI) (CA INDEX NAME)



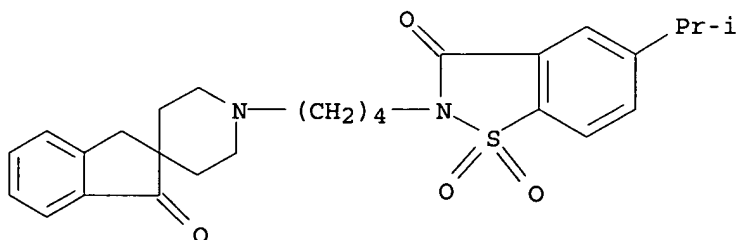
RN 173842-87-0 USPATFULL

CN Spiro[2H-indene-2,4'-piperidin]-1(3H)-one, 1'-[4-(5-ethyl-1,1-dioxido-3-oxo-1,2-benzisothiazol-2(3H)-yl)butyl]- (9CI) (CA INDEX NAME)



RN 173842-89-2 USPATFULL

CN Spiro[2H-indene-2,4'-piperidin]-1(3H)-one, 1'-[4-[5-(1-methylethyl)-1,1-dioxido-3-oxo-1,2-benzisothiazol-2(3H)-yl]butyl]- (9CI) (CA INDEX NAME)

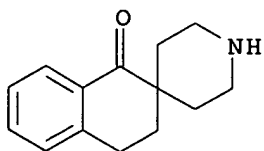


IT 136080-34-7

(prepn. of benzisothiazolones and analogs as .alpha.1C-adrenergic antagonists)

RN 136080-34-7 USPATFULL

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 3,4-dihydro- (9CI) (CA INDEX NAME)

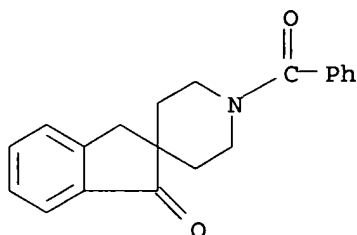


IT 136080-24-5P 136080-25-6P

(prepn. of benzisothiazolones and analogs as .alpha.1C-adrenergic antagonists)

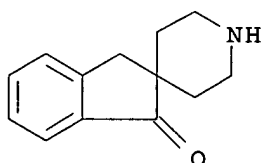
RN 136080-24-5 USPTAFULL

CN Spiro[2H-indene-2,4'-piperidin]-1(3H)-one, 1'-benzoyl- (9CI) (CA INDEX NAME)



RN 136080-25-6 USPTAFULL

CN Spiro[2H-indene-2,4'-piperidin]-1(3H)-one (9CI) (CA INDEX NAME)



L6 ANSWER 6 OF 11 USPTAFULL

AB Spirocycles of general structural formula: ##STR1## are Class III antiarrhythmic agents.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AN 97:45012 USPTAFULL

TI Nitrogen-containing spirocycles

IN Baldwin, John J., Gwyned Valley, PA, United States

Claremon, David A., Audubon, PA, United States

Elliott, Jason M., Blue Bell, PA, United States

Ponticello, Gerald S., Lansdale, PA, United States

Remy, David C., North Wales, PA, United States

Selnick, Harold G., Ambler, PA, United States

PA Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)

PI US 5633247 19970527

AI US 1995-498525 19950705 (8)

RLI Continuation of Ser. No. US 1992-998321, filed on 30 Dec 1992, now abandoned which is a division of Ser. No. US 1991-709686, filed on 3 Jun 1991, now patented, Pat. No. US 5206240 which is a continuation-in-part of Ser. No. US 1990-612091, filed on 16 Nov 1990, now abandoned which is a continuation-in-part of Ser. No. US 1989-447950, filed on 8 Dec 1989, now abandoned

DT Utility

FS Granted

EXNAM Primary Examiner: Ivy, C. Warren; Assistant Examiner: Covington, Raymond

LREP Bigley, Frank P., Daniel, Mark R.

CLMN Number of Claims: 10

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 8569

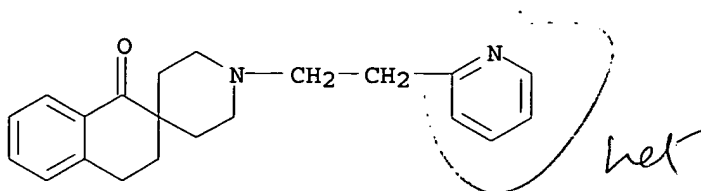
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 136081-76-0P

(prepn. of, as antiarrhythmic and cardiotonic)

RN 136081-76-0 USPATFULL

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 3,4-dihydro-1'-[2-(2-pyridinyl)ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

IT 136074-68-5P 136074-69-6P 136074-70-9P

136074-71-0P 136074-72-1P 136074-73-2P

136074-74-3P 136074-75-4P 136074-76-5P

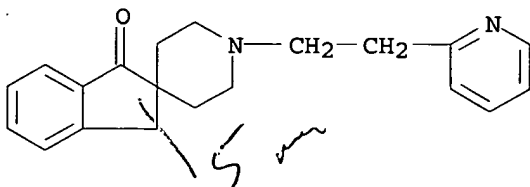
136074-77-6P 136074-78-7P 136074-79-8P

136074-80-1P 136074-81-2P

(prepn. of, as class III antiarrhythmic and cardiotonic)

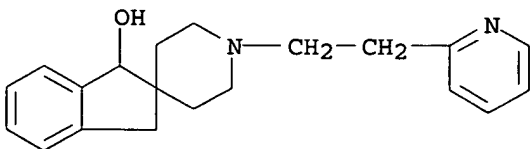
RN 136074-68-5 USPATFULL

CN Spiro[2H-indene-2,4'-piperidin]-1(3H)-one, 1'-[2-(2-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)



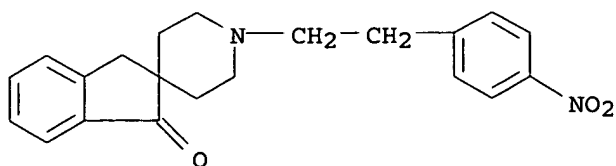
RN 136074-69-6 USPATFULL

CN Spiro[2H-indene-2,4'-piperidin]-1-ol, 1,3-dihydro-1'-[2-(2-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)



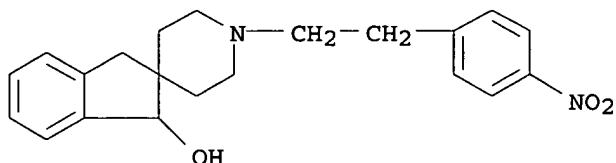
RN 136074-70-9 USPATFULL

CN Spiro[2H-indene-2,4'-piperidin]-1(3H)-one, 1'-[2-(4-nitrophenyl)ethyl]- (9CI) (CA INDEX NAME)



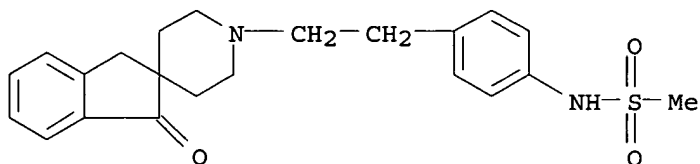
RN 136074-71-0 USPATFULL

CN Spiro[2H-indene-2,4'-piperidin]-1-ol, 1,3-dihydro-1'-[2-(4-nitrophenyl)ethyl]- (9CI) (CA INDEX NAME)



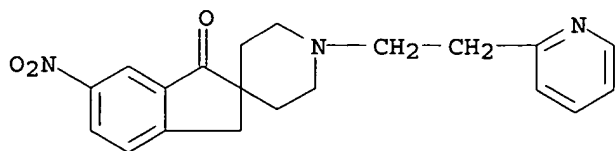
RN 136074-72-1 USPATFULL

CN Methanesulfonamide, N-[4-[2-(1,3-dihydro-1-oxospiro[2H-indene-2,4'-piperidin]-1'-yl)ethyl]phenyl]- (9CI) (CA INDEX NAME)



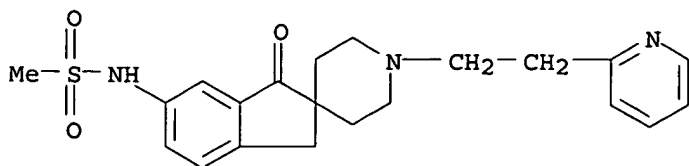
RN 136074-73-2 USPATFULL

CN Spiro[2H-indene-2,4'-piperidin]-1(3H)-one, 6-nitro-1'-[2-(2-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)



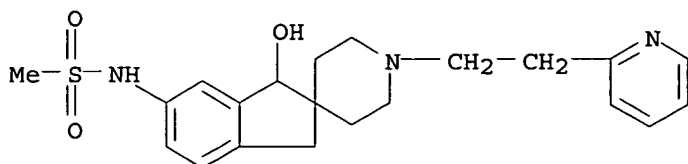
RN 136074-74-3 USPATFULL

CN Methanesulfonamide, N-[1,3-dihydro-1-oxo-1'-[2-(2-pyridinyl)ethyl]spiro[2H-indene-2,4'-piperidin]-6-yl]- (9CI) (CA INDEX NAME)



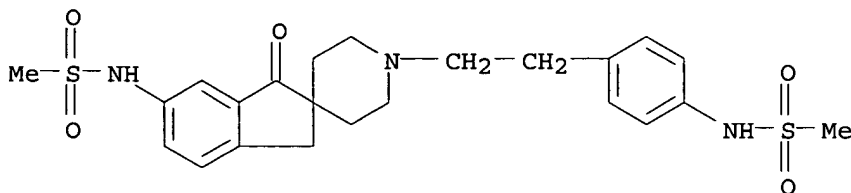
RN 136074-75-4 USPATFULL

CN Methanesulfonamide, N-[1,3-dihydro-1-hydroxy-1'-[2-(2-pyridinyl)ethyl]spiro[2H-indene-2,4'-piperidin]-6-yl]- (9CI) (CA INDEX NAME)



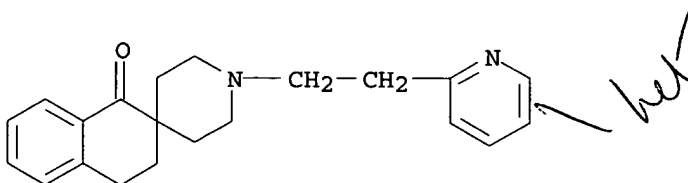
RN 136074-76-5 USPATFULL

CN Methanesulfonamide, N-[4-[2-[1,3-dihydro-6-[(methanesulfonyl)amino]-1-oxospiro[2H-indene-2,4'-piperidin]-1'-yl]ethyl]phenyl]- (9CI) (CA INDEX NAME)



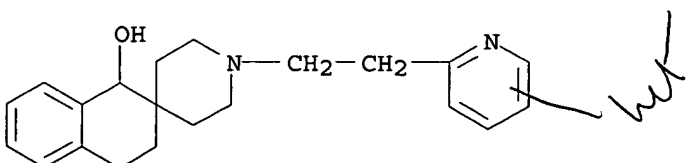
RN 136074-77-6 USPATFULL

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 3,4-dihydro-1'-[2-(2-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)



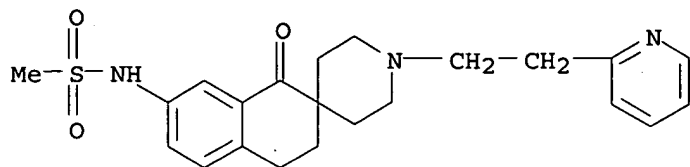
RN 136074-78-7 USPATFULL

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-ol, 3,4-dihydro-1'-[2-(2-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)



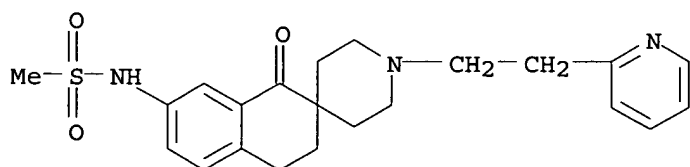
RN 136074-79-8 USPATFULL

CN Methanesulfonamide, N-[3,4-dihydro-1-oxo-1'-[2-(2-pyridinyl)ethyl]spiro[naphthalene-2(1H),4'-piperidin]-7-yl]- (9CI) (CA INDEX NAME)



RN 136074-80-1 USPATFULL

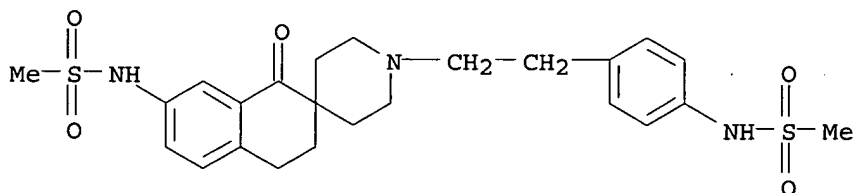
CN Methanesulfonamide, N-[3,4-dihydro-1-oxo-1'-[2-(2-pyridinyl)ethyl]spiro[naphthalene-2(1H),4'-piperidin]-7-yl]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 136074-81-2 USPATFULL

CN Methanesulfonamide, N-[4-[2-[3,4-dihydro-7-[(methanesulfonyl)amino]-1-oxospiro[naphthalene-2(1H),4'-piperidin]-1'-yl]ethyl]phenyl]- (9CI) (CA INDEX NAME)

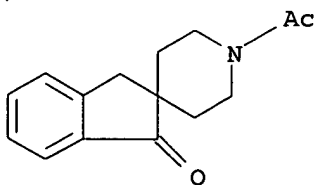


IT 136081-75-9P

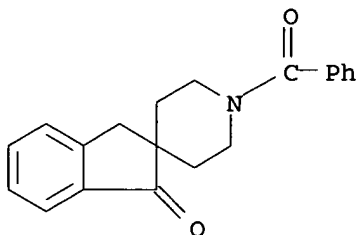
(prepn. of, as intermediate for antiarrhythmic and cardiotonic)

RN 136081-75-9 USPATFULL

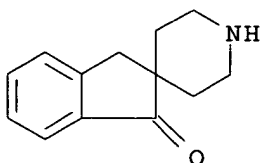
CN Spiro[2H-indene-2,4'-piperidin]-1(3H)-one, 1'-acetyl- (9CI) (CA INDEX NAME)



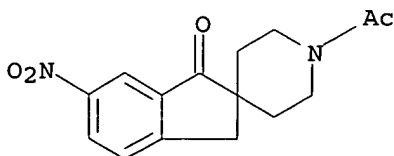
IT 136080-24-5P 136080-25-6P 136080-26-7P
136080-27-8P 136080-28-9P 136080-29-0P
136080-30-3P 136080-31-4P 136080-32-5P
136080-33-6P 136080-34-7P 136080-35-8P
136080-36-9P 136112-40-8P
(prepn. of, as intermediates for antiarrhythmic and cardiotonic)
RN 136080-24-5 USPATFULL
CN Spiro[2H-indene-2,4'-piperidin]-1(3H)-one, 1'-benzoyl- (9CI) (CA INDEX NAME)



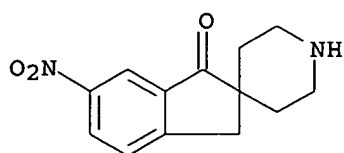
RN 136080-25-6 USPATFULL
CN Spiro[2H-indene-2,4'-piperidin]-1(3H)-one (9CI) (CA INDEX NAME)



RN 136080-26-7 USPATFULL
CN Spiro[2H-indene-2,4'-piperidin]-1(3H)-one, 1'-acetyl-6-nitro- (9CI) (CA INDEX NAME)

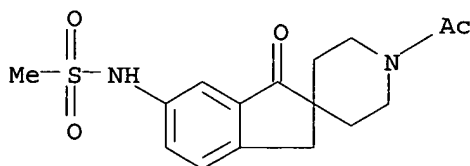


RN 136080-27-8 USPATFULL
CN Spiro[2H-indene-2,4'-piperidin]-1(3H)-one, 6-nitro-, monohydrochloride (9CI) (CA INDEX NAME)

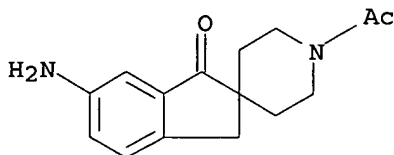


● HCl

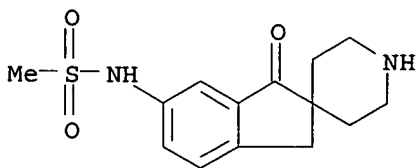
RN 136080-28-9 USPATFULL
CN Spiro[2H-indene-2,4'-piperidin]-1(3H)-one, 1'-acetyl-6-
[(methanesulfonyl)amino]- (9CI) (CA INDEX NAME)



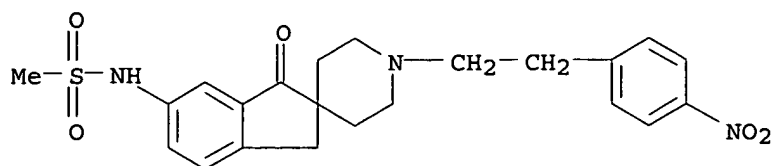
RN 136080-29-0 USPATFULL
CN Spiro[2H-indene-2,4'-piperidin]-1(3H)-one, 1'-acetyl-6-amino- (9CI) (CA
INDEX NAME)



RN 136080-30-3 USPATFULL
CN Methanesulfonamide, N-(1,3-dihydro-3-oxospiro[2H-indene-2,4'-piperidin]-5-
yl)- (9CI) (CA INDEX NAME)

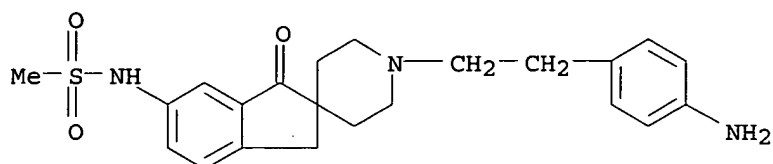


RN 136080-31-4 USPATFULL
CN Methanesulfonamide, N-[1,3-dihydro-1'-[2-(4-nitrophenyl)ethyl]-3-
oxospiro[2H-indene-2,4'-piperidin]-5-yl]- (9CI) (CA INDEX NAME)



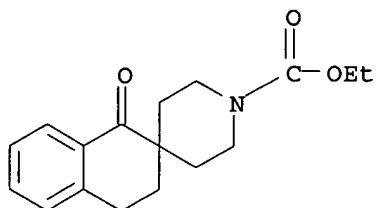
RN 136080-32-5 USPATFULL

CN Methanesulfonamide, N-[1'-[2-(4-aminophenyl)ethyl]-1,3-dihydro-3-oxospiro[2H-indene-2,4'-piperidin]-5-yl]- (9CI) (CA INDEX NAME)



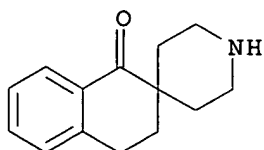
RN 136080-33-6 USPATFULL

CN Spiro[naphthalene-2(1H),4'-piperidine]-1'-carboxylic acid, 3,4-dihydro-1-oxo-, ethyl ester (9CI) (CA INDEX NAME)



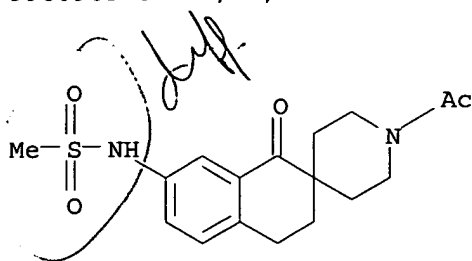
RN 136080-34-7 USPATFULL

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 3,4-dihydro- (9CI) (CA INDEX NAME)

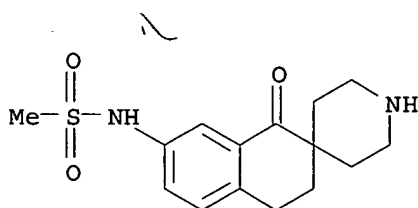


RN 136080-35-8 USPATFULL

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 1'-acetyl-3,4-dihydro-7-[(methanesulfonyl)amino]- (9CI) (CA INDEX NAME)

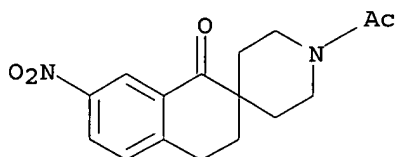


RN 136080-36-9 USPATFULL
 CN Methanesulfonamide, N-(3,4-dihydro-1-oxospiro[naphthalene-2(1H),4'-piperidin]-7-yl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 136112-40-8 USPATFULL
 CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 1'-acetyl-3,4-dihydro-7-nitro- (9CI) (CA INDEX NAME)



=> d abs bib hitstr 1-2

L6 ANSWER 1 OF 11 USPATFULL

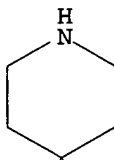
AB A water soluble derivative of buckminsterfullerene (C.sub.60) having antiviral and virucidal properties is used to inhibit human retroviral replication and infections. The derivatized fullerene is symmetrically substituted with polar organic moieties containing 1 to 20 carbon atoms and optionally further containing oxygen or nitrogen.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

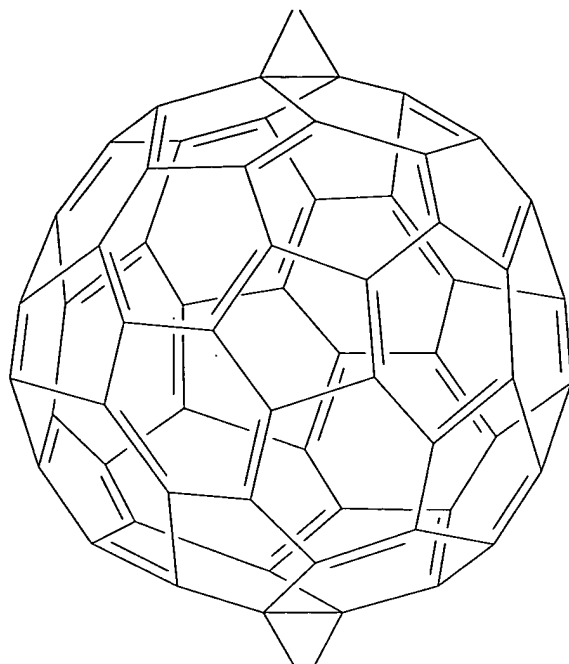
AN 2001:206004 USPATFULL
 TI Water soluble fullerenes with antiviral activity
 IN Friedman, Simon H., San Francisco, CA, United States
 Schinazi, Raymond F., Decatur, GA, United States
 Wudl, Fred, Santa Barbara, CA, United States
 Hill, Craig L., Atlanta, GA, United States
 DeCamp, Diane L., Atlanta, GA, United States
 Sijbesma, Rintje P., Eindhoven, Netherlands

Kenyon, George L., San Francisco, CA, United States
PA The Regents of the University of California (U.S. corporation)
PI US 2001041801 A1 20011115
AI US 2001-771082 A1 20010125 (9)
RLI Division of Ser. No. US 1998-246011, filed on 21 Sep 1998, GRANTED, Pat.
No. US 6204391 Continuation of Ser. No. US 1994-184922, filed on 24 Jan
1994, GRANTED, Pat. No. US 5811460
DT Utility
FS APPLICATION
LREP HOWARD M. PETERS, PETERS, VERNY, JONES & BIKSA, LLP, Suite 6, 385
Sherman Avenue, Palo Alto, CA, 94306
CLMN Number of Claims: 43
ECL Exemplary Claim: 1
DRWN 9 Drawing Page(s)
LN.CNT 1339
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **168770-20-5P**
(prepn. of water-sol. fullerenes with HIV antiviral activity)
RN 168770-20-5 USPATFULL
CN Dispiro[piperidine-4,3''-[3'H,3''H]dicyclopropa[1,9:52,60][5,6]fullerene-
C60-1h-3''',4''''-piperidine], conjugate diacid (9CI) (CA INDEX NAME)

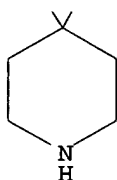
PAGE 1-A



PAGE 2-A



PAGE 3-A



● 2 H⁺

L6 ANSWER 2 OF 11 USPATFULL

AB A water soluble derivative of buckminsterfullerene (C₆₀) having antiviral and virucidal properties is used to inhibit human retroviral replication and infections. The derivatized fullerene is symmetrically substituted with polar organic moieties containing 1 to 20 carbon atoms and optionally further containing oxygen or nitrogen.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AN 2001:40605 USPATFULL

TI Water soluble fullerenes with antiviral activity

IN Friedman, Simon H., San Francisco, CA, United States

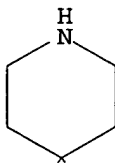
Schinazi, Raymond F., Decatur, GA, United States

Wudl, Fred, Santa Barbara, CA, United States

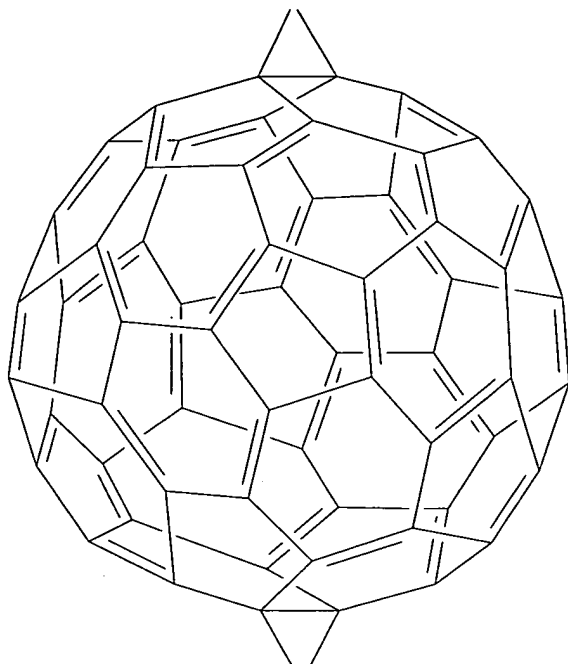
Hill, Craig L., Atlanta, GA, United States

DeCamp, Diane L., Atlanta, GA, United States
 Sijbesma, Rintje P., Eindhoven, Netherlands
 Kenyon, George L., San Francisco, CA, United States
 PA The Regents of the University of California, Oakland, CA, United States
 (U.S. corporation)
 PI US 6204391 B1 20010320
 AI US 1998-246011 19980921 (9)
 RLI Continuation of Ser. No. US 1994-184922, filed on 24 Jan 1994, now
 patented, Pat. No. US 5811460
 DT Utility
 FS Granted
 EXNAM Primary Examiner: Raymond, Richard L.
 LREP Peters, Verny Jones & Biksa, LLP
 CLMN Number of Claims: 15
 ECL Exemplary Claim: 1
 DRWN 16 Drawing Figure(s); 9 Drawing Page(s)
 LN.CNT 1218
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.
 IT 168770-20-5P
 (prepn. of water-sol. fullerenes with HIV antiviral activity)
 RN 168770-20-5 USPATFULL
 CN Dispiro[piperidine-4,3''-[3'H,3''H]dicyclopropa[1,9:52,60][5,6]fullerene-
 C60-1h-3''',4''''-piperidine], conjugate diacid (9CI) (CA INDEX NAME)

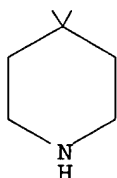
PAGE 1-A



PAGE 2-A



PAGE 3-A



● 2 H⁺

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=> d abs bib hitstr 7-11

L6 ANSWER 7 OF 11 USPATFULL

AB There are disclosed certain novel compounds identified as spiro piperidines and homologs which promote the release of growth hormone in humans and animals. This property can be utilized to promote the growth of food animals to render the production of edible meat products more efficient, and in humans, to treat physiological or medical conditions characterized by a deficiency in growth hormone secretion, such as short stature in growth hormone deficient children, and to treat medical conditions which are improved by the anabolic effects of growth hormone.

Growth hormone releasing compositions containing such spiro compounds as the active ingredient thereof are also disclosed.

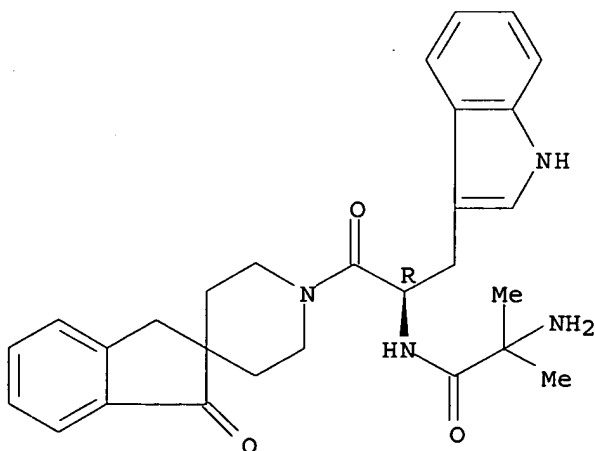
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AN 96:108962 USPATFULL
 TI Spiro piperidines and homologs promote release of growth hormone
 IN Chen, Meng-Hsin, Westfield, NJ, United States
 Johnston, David B. R., Warren, NJ, United States
 Nargund, Ravi P., East Brunswick, NJ, United States
 Patchett, Arthur A., Westfield, NJ, United States
 Tata, James R., Westfield, NJ, United States
 Yang, Lihu, Edison, NJ, United States
 PA Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)
 PI US 5578593 19961126
 AI US 1993-146848 19931103 (8)
 RLI Continuation-in-part of Ser. No. US 1992-989322, filed on 11 Dec 1992, now abandoned
 DT Utility
 FS Granted
 EXNAM Primary Examiner: Ivy, C. Warren; Assistant Examiner: Covington, Raymond
 LREP Thies, J. Eric, Rose, David L.
 CLMN Number of Claims: 17
 ECL Exemplary Claim: 1
 DRWN No Drawings
 LN.CNT 7922

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 185523-29-9P 185523-30-2P 185523-31-3P
 (prepn. of N-(.alpha.-aminoalkanoyl)spiropiperidines as growth hormone release promoters)
 RN 185523-29-9 USPATFULL
 CN Propanamide, 2-amino-N-[2-(1,3-dihydro-1-oxospiro[2H-indene-2,4'-piperidin]-1'-yl)-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-2-methyl-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

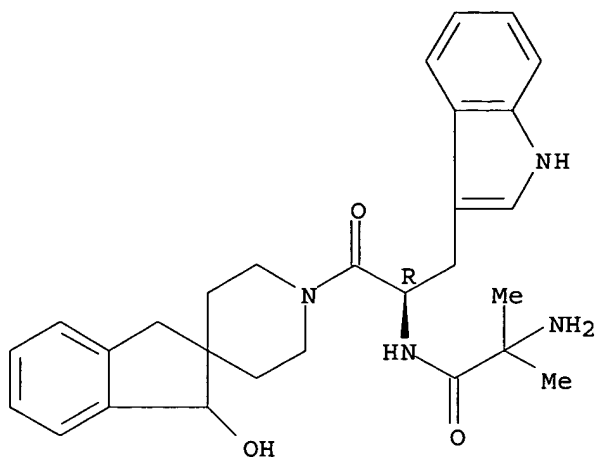


HCl

RN 185523-30-2 USPATFULL
 CN Propanamide, 2-amino-N-[2-(1,3-dihydro-1-hydroxyspiro[2H-indene-2,4'-

piperidin]-1'-yl)-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-2-methyl-,
[1'(R)]- (9CI) (CA INDEX NAME)

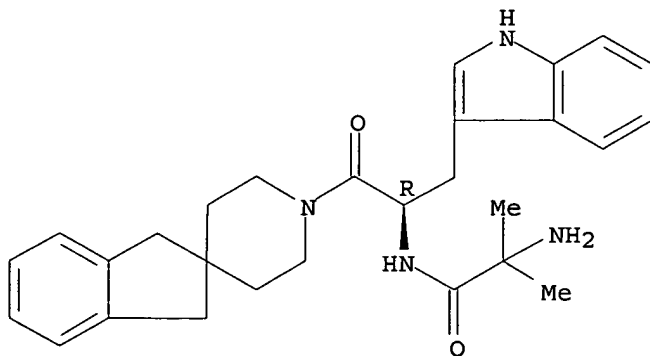
Absolute stereochemistry.



RN 185523-31-3 USPATFULL

CN Propanamide, 2-amino-N-[2-(1,3-dihydrospiro[2H-indene-2,4'-piperidin]-1'-yl)-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-2-methyl-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

IT 185525-48-8P 185525-49-9P 185525-50-2P

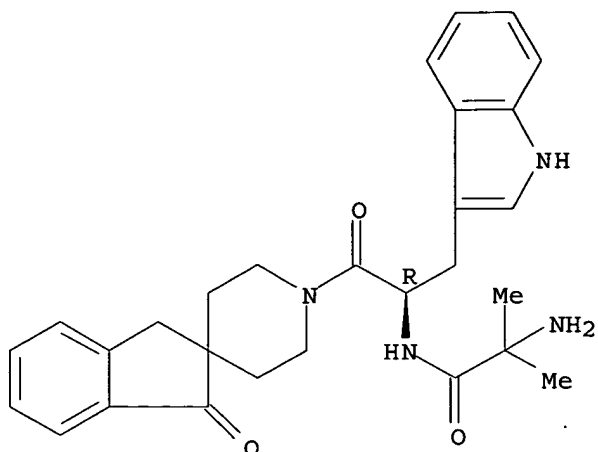
185525-51-3P 185525-52-4P 185525-53-5P

(prepn. of N-(.alpha.-aminoalkanoyl)spiropiperidines as growth hormone release promoters)

RN 185525-48-8 USPATFULL

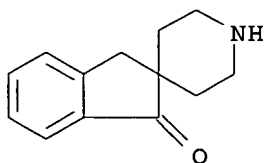
CN Propanamide, 2-amino-N-[2-(1,3-dihydro-1-oxospiro[2H-indene-2,4'-piperidin]-1'-yl)-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-2-methyl-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 185525-49-9 USPATFULL

CN Spiro[2H-indene-2,4'-piperidin]-1(3H)-one, hydrochloride (9CI) (CA INDEX NAME)

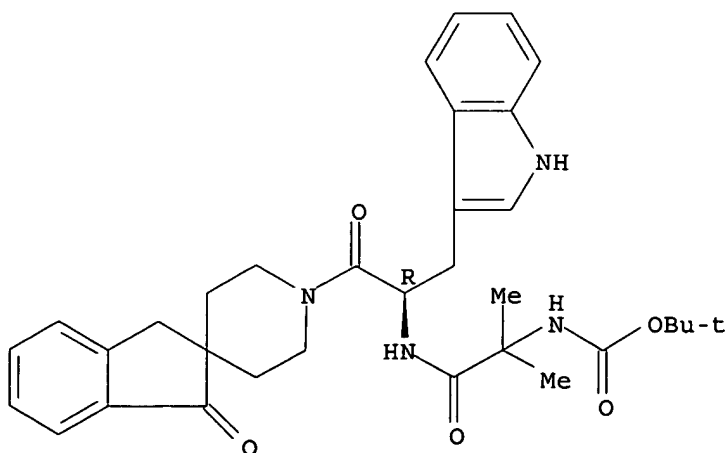


● HCl

RN 185525-50-2 USPATFULL

CN Carbamic acid, [2-[[2-(1,3-dihydro-1-oxospiro[2H-indene-2,4'-piperidin]-1'-yl)-1-(1H-indol-3-ylmethyl)-2-oxoethyl]amino]-1,1-dimethyl-2-oxoethyl]-, 1,1-dimethylethyl ester, (R)- (9CI) (CA INDEX NAME)

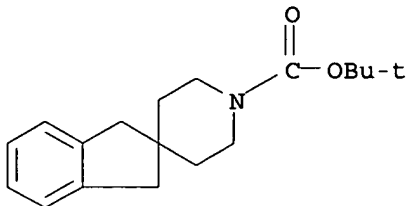
Absolute stereochemistry.



9980965.trn10/01/2003

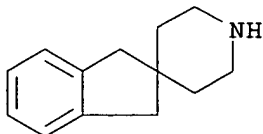
RN 185525-51-3 USPATFULL

CN Spiro[2H-indene-2,4'-piperidine]-1'-carboxylic acid, 1,3-dihydro-,
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 185525-52-4 USPATFULL

CN Spiro[2H-indene-2,4'-piperidine], 1,3-dihydro-, hydrochloride (9CI) (CA
INDEX NAME)

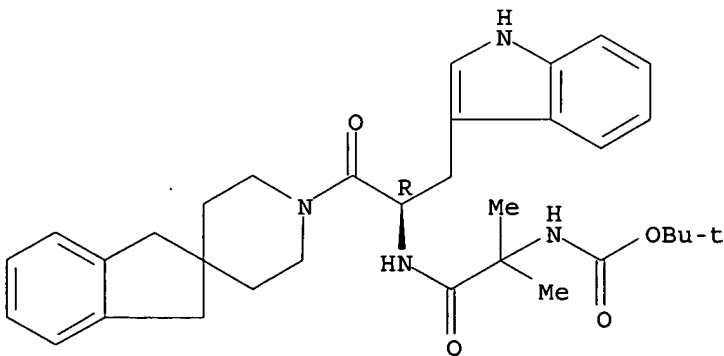


● HCl

RN 185525-53-5 USPATFULL

CN Carbamic acid, [2-[[2-(1,3-dihydrospiro[2H-indene-2,4'-piperidin]-1'-yl)-1-(
(1H-indol-3-ylmethyl)-2-oxoethyl]amino)-1,1-dimethyl-2-oxoethyl]-,
1,1-dimethylethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 8 OF 11 USPATFULL

AB Compounds of the general structural formula: ##STR1## or a
pharmaceutically acceptable salt, hydrate or crystal form thereof,
wherein;

X is O or CH.sub.2

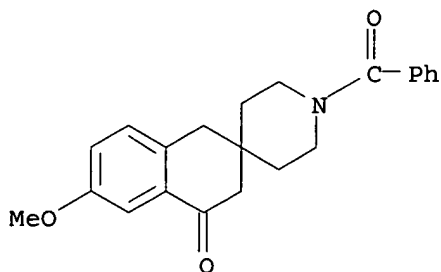
R.sup.1 is H if R.sup.2 is not H or if R.sup.2 is H then R.sup.1 is ##STR2## R.sup.2 is --H if R.sup.1 is not H or if R.sup.1 is H then R.sup.2 is; ##STR3## and R.sup.3 is ##STR4## are Class HI antiarrhythmic agents.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

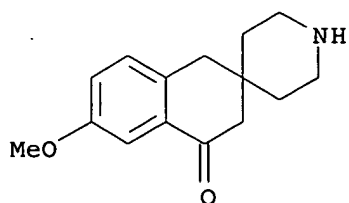
AN 95:71364 USPATFULL
 TI Spirocycles
 IN Claremon, David A., Maple Glen, PA, United States
 Ponticello, Gerald S., Lansdale, PA, United States
 Selnick, Harold G., Ambler, PA, United States
 PA Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)
 PI US 5439914 19950808
 AI US 1994-198940 19940218 (8)
 DT Utility
 FS Granted
 EXNAM Primary Examiner: Berch, Mark L.
 LREP Bigley, Francis P., Daniel, Mark R., DiPrima, Joseph F.
 CLMN Number of Claims: 9
 ECL Exemplary Claim: 1
 DRWN No Drawings
 LN.CNT 736

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 171797-76-5P 171797-77-6P 171797-78-7P
 171797-79-8P
 (intermediate; prepn. of spirocycles as Class III antiarrhythmic agents)
 RN 171797-76-5 USPATFULL
 CN Spiro[naphthalene-2(1H),4'-piperidin]-4(3H)-one, 1'-benzoyl-6-methoxy-(9CI) (CA INDEX NAME)



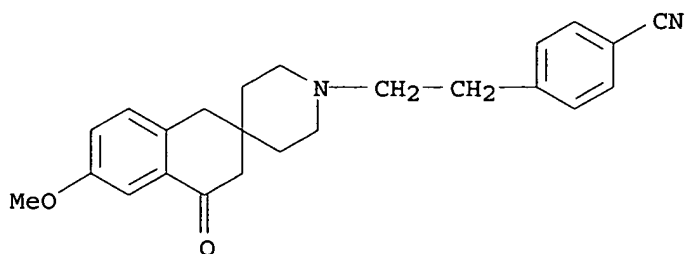
RN 171797-77-6 USPATFULL
 CN Spiro[naphthalene-2(1H),4'-piperidin]-4(3H)-one, 6-methoxy-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 171797-78-7 USPATFULL

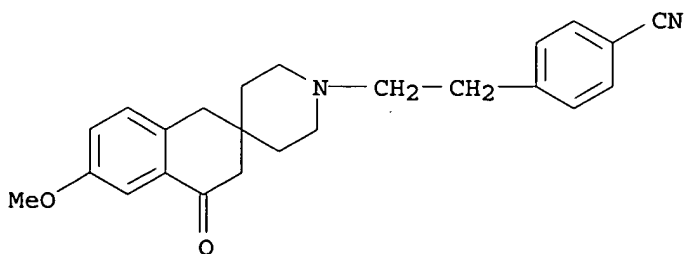
CN Benzonitrile, 4-[2-(3,4-dihydro-6-methoxy-4-oxospiro[naphthalene-2(1H),4'-piperidin]-1'-yl)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 171797-79-8 USPATFULL

CN Benzonitrile, 4-[2-(3,4-dihydro-6-methoxy-4-oxospiro[naphthalene-2(1H),4'-piperidin]-1'-yl)ethyl]- (9CI) (CA INDEX NAME)

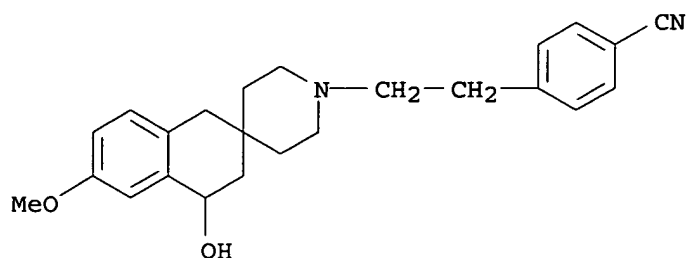


IT 171797-63-0P

(prepn. of spirocycles as Class III antiarrhythmic agents)

RN 171797-63-0 USPATFULL

CN Benzonitrile, 4-[2-(3,4-dihydro-4-hydroxy-6-methoxyspiro[naphthalene-2(1H),4'-piperidin]-1'-yl)ethyl]- (9CI) (CA INDEX NAME)

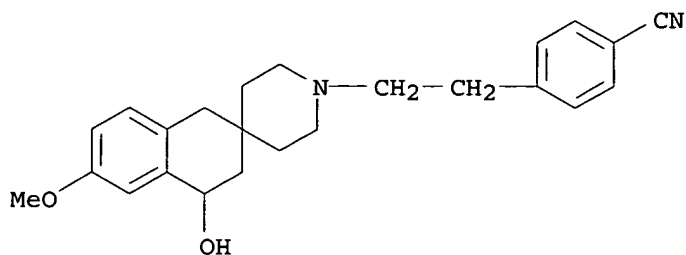


IT 171797-64-1P 171797-65-2P 171797-66-3P
171797-67-4P 171797-68-5P

(prepn. of spirocycles as Class III antiarrhythmic agents)

RN 171797-64-1 USPATFULL

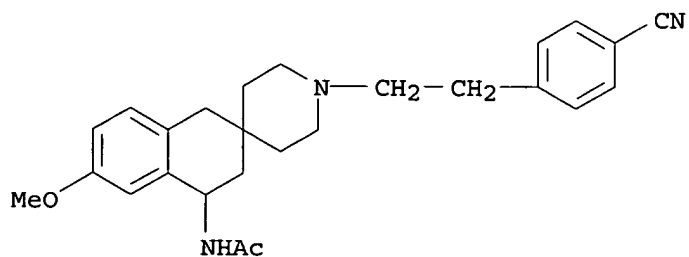
CN Benzonitrile, 4-[2-(3,4-dihydro-4-hydroxy-6-methoxyspiro[naphthalene-2(1H),4'-piperidin]-1'-yl)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

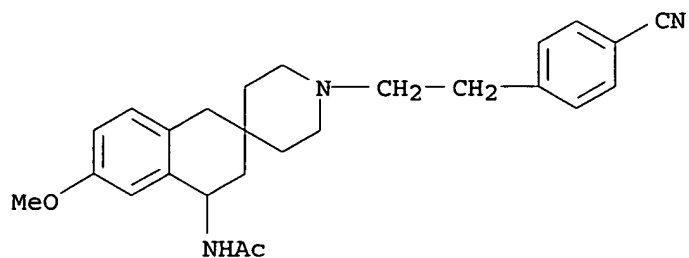
RN 171797-65-2 USPATFULL

CN Acetamide, N-[1'-[2-(4-cyanophenyl)ethyl]-3,4-dihydro-6-methoxyspiro[naphthalene-2(1H),4'-piperidin]-4-yl]- (9CI) (CA INDEX NAME)



RN 171797-66-3 USPATFULL

CN Acetamide, N-[1'-[2-(4-cyanophenyl)ethyl]-3,4-dihydro-6-methoxyspiro[naphthalene-2(1H),4'-piperidin]-4-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

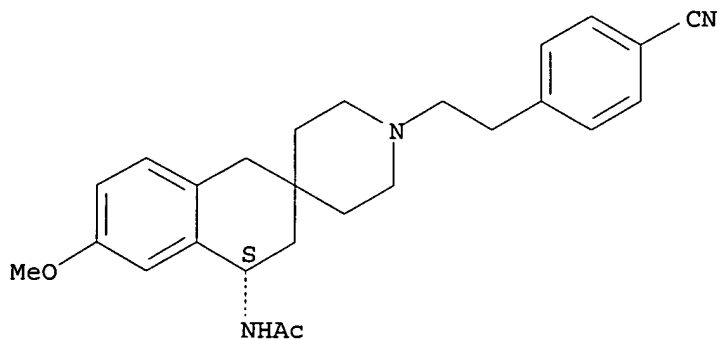


● HCl

RN 171797-67-4 USPATFULL

CN Acetamide, N-[1'-[2-(4-cyanophenyl)ethyl]-3,4-dihydro-6-methoxyspiro[naphthalene-2(1H),4'-piperidin]-4-yl]-, (S)- (9CI) (CA INDEX NAME)

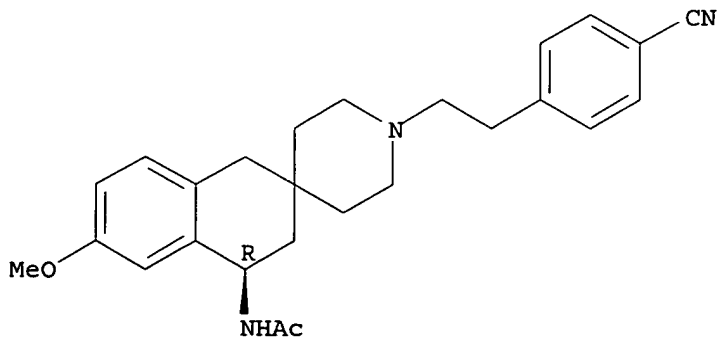
Absolute stereochemistry.



RN 171797-68-5 USPATFULL

CN Acetamide, N-[1'-[2-(4-cyanophenyl)ethyl]-3,4-dihydro-6-methoxyspiro[naphthalene-2(1H),4'-piperidin]-4-yl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 9 OF 11 USPATFULL

AB Compounds of formula (I): ##STR1## in which: R.sub.1 and R.sub.2, which may be identical or different, represent a linear or branched (C.sub.1 -C.sub.6) alkyl radical, a substituted or unsubstituted phenyl radical, a pyridyl radical or a thienyl radical, or, with the carbon atom to which they are attached, a substituted or unsubstituted (C.sub.4 -C.sub.7) cycloalkyl ring,

R.sub.3 represents

a substituted or unsubstituted phenylsulfonyl radical,

a linear or branched (C.sub.1 -C.sub.6) alkyl radical,

an alkylaminocarbonyl radical,

or a linear or branched (C.sub.1 -C.sub.6) acyl radical,

R.sub.4 represents any one of the radicals:

--CH.dbd.CH--(CH.sub.2).sub.p --CO.sub.2 H or --CH.sub.2 --CH.sub.2
--(CH.sub.2)p--CO.sub.2 H

in which p is equal to 0, 1, 2 or 3, n and m, which may be identical or different, represent 0, 1 or 2,

their isomers, enantiomers, diastereoisomers and epimers as well as their addition salts with a pharmaceutically acceptable acid or base.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AN 95:67331 USPATFULL

TI Alkenecarboxylic acid compounds

IN Lavielle, Gilbert, La Celle Saint Cloud, France
Hautefaye, Patrick, Servon Brie Comte Robert, France
Laubie, Michel, Vaucresson, France
Verbeuren, Tony, Vernouillet, France

PA Adir et Compagnie, Courbevoie, France (non-U.S. corporation)

PI US 5436343 19950725

AI US 1993-62080 19930514 (8)

PRAI FR 1992-5905 19920515

DT Utility

FS Granted

EXNAM Primary Examiner: Dees, Jose G.; Assistant Examiner: Jones, Dwayne C.

LREP Hueschen, Gordon W.

CLMN Number of Claims: 11

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 1202

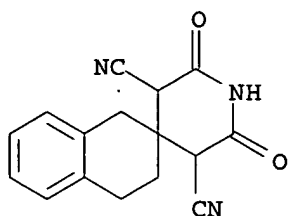
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 154678-95-2P

(prepn. and reaction of, in prepn. of aminoalkenecarboxylic acid
thromboxane A2 receptor antagonist)

RN 154678-95-2 USPATFULL

CN Spiro[naphthalene-2(1H),4'-piperidine]-3',5'-dicarbonitrile,
3,4-dihydro-2',6'-dioxo-, ammonium salt (9CI) (CA INDEX NAME)



● NH₃

L6 ANSWER 10 OF 11 USPATFULL

AB Spirocycles of general structural formula: ##STR1## are Class III antiarrhythmic agents.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AN 93:33493 USPATFULL

TI Nitrogen-containing spirocycles

IN Baldwin, John J., Gwynedd Valley, PA, United States

Elliott, Jason M., Blue Bell, PA, United States

Claremon, David A., Audubon, PA, United States

Ponticello, Gerald S., Lansdale, PA, United States

Remy, David C., North Wales, PA, United States

Selnick, Harold G., Ambler, PA, United States

PA Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)

PI US 5206240 19930427

AI US 1991-709686 19910603 (7)

RLI Continuation-in-part of Ser. No. US 1990-612091, filed on 16 Nov 1990, now abandoned which is a continuation-in-part of Ser. No. US 1989-447950, filed on 8 Dec 1989, now abandoned

DT Utility

FS Granted

EXNAM Primary Examiner: Brust, Joseph P.; Assistant Examiner: Haley, Jacqueline

LREP Daniel, Mark R., DiPrima, Joseph F.

CLMN Number of Claims: 4

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 8302

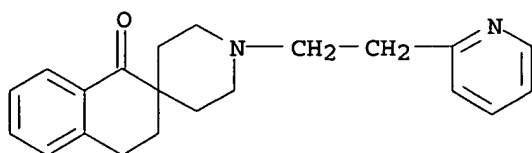
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 136081-76-0P

(prepn. of, as antiarrhythmic and cardiotonic)

RN 136081-76-0 USPATFULL

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 3,4-dihydro-1'-[2-(2-pyridinyl)ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



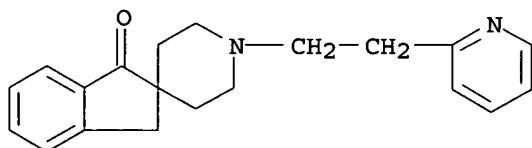
● 2 HCl

IT 136074-68-5P 136074-69-6P 136074-70-9P
 136074-71-0P 136074-72-1P 136074-73-2P
 136074-74-3P 136074-75-4P 136074-76-5P
 136074-77-6P 136074-78-7P 136074-79-8P
 136074-80-1P 136074-81-2P

(prepn. of, as class III antiarrhythmic and cardiotonic)

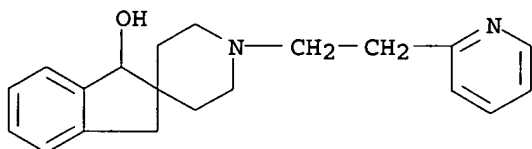
RN 136074-68-5 USPATFULL

CN Spiro[2H-indene-2,4'-piperidin]-1(3H)-one, 1'-[2-(2-pyridinyl)ethyl]-
 (9CI) (CA INDEX NAME)



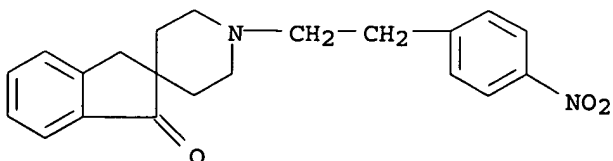
RN 136074-69-6 USPATFULL

CN Spiro[2H-indene-2,4'-piperidin]-1-ol, 1,3-dihydro-1'-[2-(2-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)



RN 136074-70-9 USPATFULL

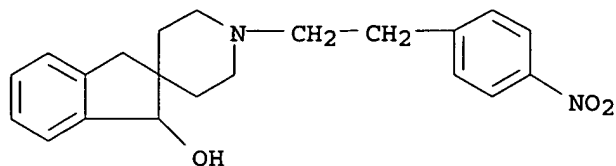
CN Spiro[2H-indene-2,4'-piperidin]-1(3H)-one, 1'-[2-(4-nitrophenyl)ethyl]-
 (9CI) (CA INDEX NAME)



RN 136074-71-0 USPATFULL

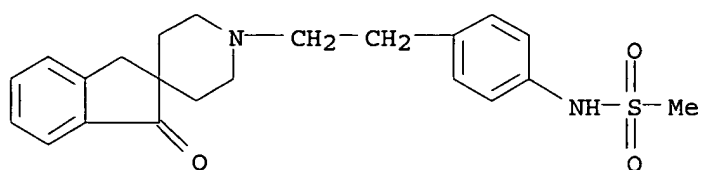
CN Spiro[2H-indene-2,4'-piperidin]-1-ol, 1,3-dihydro-1'-[2-(4-

nitrophenyl)ethyl]- (9CI) (CA INDEX NAME)



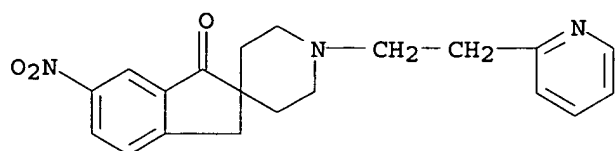
RN 136074-72-1 USPATFULL

CN Methanesulfonamide, N-[4-[2-(1,3-dihydro-1-oxospiro[2H-indene-2,4'-piperidin]-1'-yl)ethyl]phenyl]- (9CI) (CA INDEX NAME)



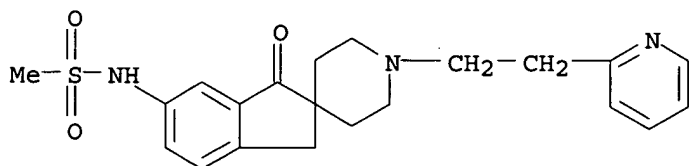
RN 136074-73-2 USPATFULL

CN Spiro[2H-indene-2,4'-piperidin]-1(3H)-one, 6-nitro-1'-[2-(2-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)



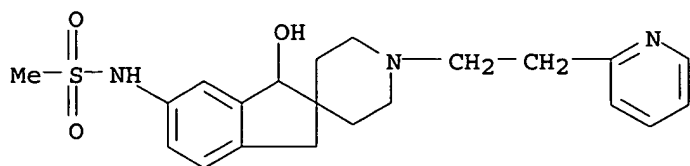
RN 136074-74-3 USPATFULL

CN Methanesulfonamide, N-[1,3-dihydro-1-oxo-1'-[2-(2-pyridinyl)ethyl]spiro[2H-indene-2,4'-piperidin]-6-yl]- (9CI) (CA INDEX NAME)



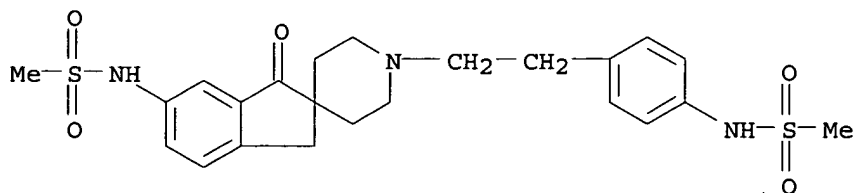
RN 136074-75-4 USPATFULL

CN Methanesulfonamide, N-[1,3-dihydro-1-hydroxy-1'-[2-(2-pyridinyl)ethyl]spiro[2H-indene-2,4'-piperidin]-6-yl]- (9CI) (CA INDEX NAME)



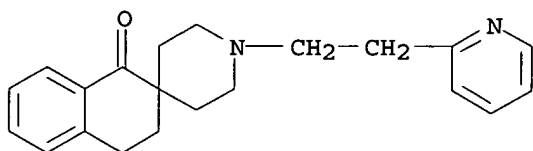
RN 136074-76-5 USPATFULL

CN Methanesulfonamide, N-[4-[2-[1,3-dihydro-6-[(methanesulfonyl)amino]-1-oxospiro[2H-indene-2,4'-piperidin]-1'-yl]ethyl]phenyl]- (9CI) (CA INDEX NAME)



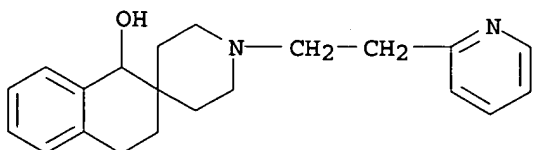
RN 136074-77-6 USPATFULL

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 3,4-dihydro-1'-[2-(2-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)



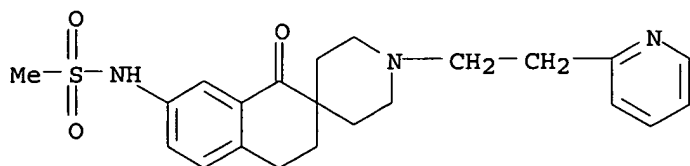
RN 136074-78-7 USPATFULL

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-ol, 3,4-dihydro-1'-[2-(2-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)



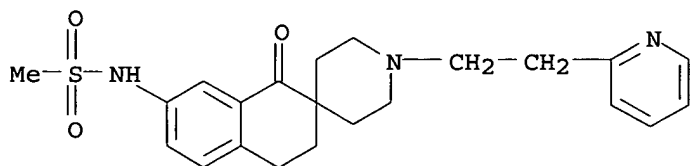
RN 136074-79-8 USPATFULL

CN Methanesulfonamide, N-[3,4-dihydro-1-oxo-1'-[2-(2-pyridinyl)ethyl]spiro[naphthalene-2(1H),4'-piperidin]-7-yl]- (9CI) (CA INDEX NAME)



RN 136074-80-1 USPATFULL

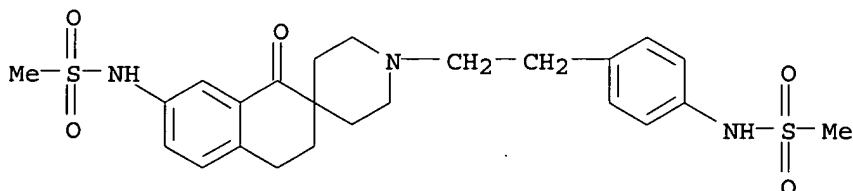
CN Methanesulfonamide, N-[3,4-dihydro-1-oxo-1'-[2-(2-pyridinyl)ethyl]spiro[naphthalene-2(1H),4'-piperidin]-7-yl]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 136074-81-2 USPATFULL

CN Methanesulfonamide, N-[4-[2-[3,4-dihydro-7-[(methanesulfonyl)amino]-1-oxospiro[naphthalene-2(1H),4'-piperidin]-1'-yl]ethyl]phenyl]- (9CI) (CA INDEX NAME)

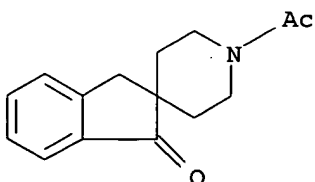


IT 136081-75-9P

(prepn. of, as intermediate for antiarrhythmic and cardiotonic)

RN 136081-75-9 USPATFULL

CN Spiro[2H-indene-2,4'-piperidin]-1(3H)-one, 1'-acetyl- (9CI) (CA INDEX NAME)



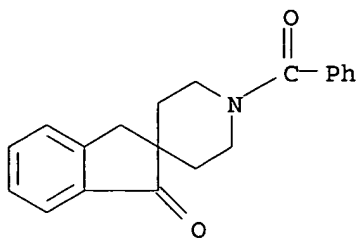
IT 136080-24-5P 136080-25-6P 136080-26-7P

136080-27-8P 136080-28-9P 136080-29-0P
136080-30-3P 136080-31-4P 136080-32-5P
136080-33-6P 136080-34-7P 136080-35-8P
136080-36-9P 136112-40-8P

(prepn. of, as intermediates for antiarrhythmic and cardiotonic)

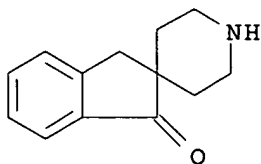
RN 136080-24-5 USPATFULL

CN Spiro[2H-indene-2,4'-piperidin]-1(3H)-one, 1'-benzoyl- (9CI) (CA INDEX NAME)



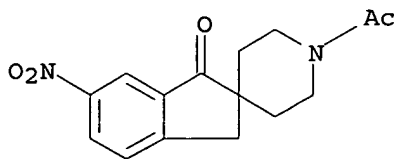
RN 136080-25-6 USPATFULL

CN Spiro[2H-indene-2,4'-piperidin]-1(3H)-one (9CI) (CA INDEX NAME)



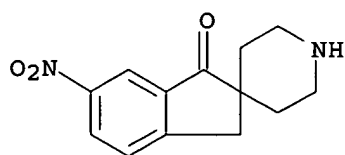
RN 136080-26-7 USPATFULL

CN Spiro[2H-indene-2,4'-piperidin]-1(3H)-one, 1'-acetyl-6-nitro- (9CI) (CA INDEX NAME)



RN 136080-27-8 USPATFULL

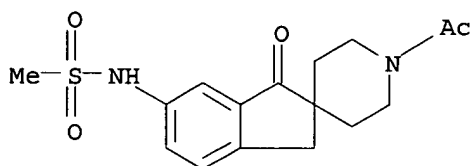
CN Spiro[2H-indene-2,4'-piperidin]-1(3H)-one, 6-nitro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

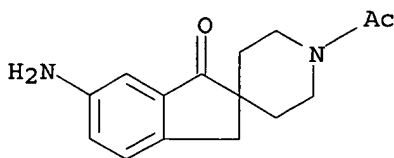
RN 136080-28-9 USPATFULL

CN Spiro[2H-indene-2,4'-piperidin]-1(3H)-one, 1'-acetyl-6-[(methylsulfonyl)amino]- (9CI) (CA INDEX NAME)



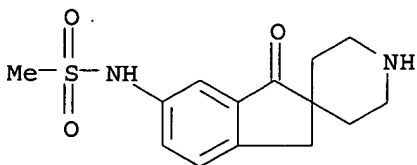
RN 136080-29-0 USPATFULL

CN Spiro[2H-indene-2,4'-piperidin]-1(3H)-one, 1'-acetyl-6-amino- (9CI) (CA INDEX NAME)



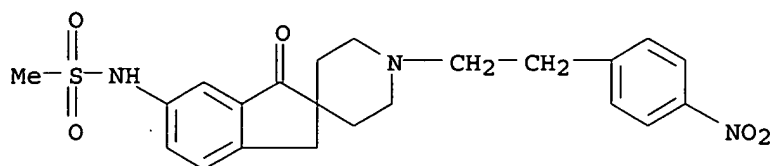
RN 136080-30-3 USPATFULL

CN Methanesulfonamide, N-(1,3-dihydro-3-oxospiro[2H-indene-2,4'-piperidin]-5-yl)- (9CI) (CA INDEX NAME)



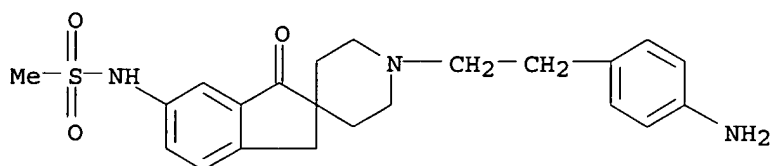
RN 136080-31-4 USPATFULL

CN Methanesulfonamide, N-[1,3-dihydro-1'-[2-(4-nitrophenyl)ethyl]-3-oxospiro[2H-indene-2,4'-piperidin]-5-yl]- (9CI) (CA INDEX NAME)



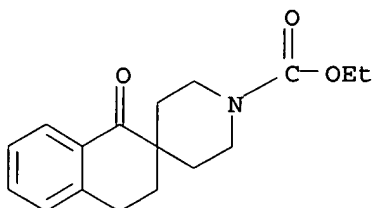
RN 136080-32-5 USPATFULL

CN Methanesulfonamide, N-[1'-[2-(4-aminophenyl)ethyl]-1,3-dihydro-3-oxospiro[2H-indene-2,4'-piperidin]-5-yl]- (9CI) (CA INDEX NAME)



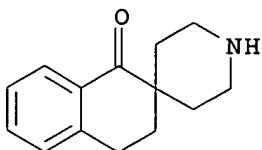
RN 136080-33-6 USPATFULL

CN Spiro[naphthalene-2(1H),4'-piperidine]-1'-carboxylic acid, 3,4-dihydro-1-oxo-, ethyl ester (9CI) (CA INDEX NAME)



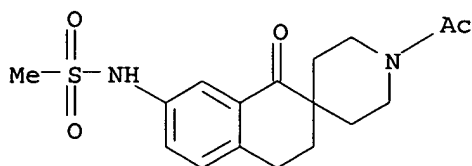
RN 136080-34-7 USPATFULL

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 3,4-dihydro- (9CI) (CA INDEX NAME)



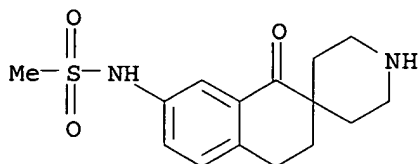
RN 136080-35-8 USPATFULL

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 1'-acetyl-3,4-dihydro-7-[(methylsulfonyl)amino]- (9CI) (CA INDEX NAME)



RN 136080-36-9 USPATFULL

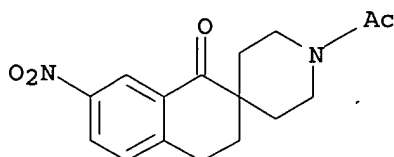
CN Methanesulfonamide, N-(3,4-dihydro-1-oxospiro[naphthalene-2(1H),4'-piperidin]-7-yl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 136112-40-8 USPATFULL

CN Spiro[naphthalene-2(1H),4'-piperidin]-1-one, 1'-acetyl-3,4-dihydro-7-nitro- (9CI) (CA INDEX NAME)



L6 ANSWER 11 OF 11 USPATFULL

AB 2,4a-Ethanobenz[g]isoquinolin-5(1H)-one derivatives are described which are useful as analgesic and anti-fertility agents.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AN 81:2388 USPATFULL

TI 2,4a-Ethanobenz[g]isoquinolin-5(1H)-ones and their use as anti-fertility and analgesic agents

IN Farr, Robert A., Cincinnati, OH, United States

Dolfini, Joseph E., Cincinnati, OH, United States

PA Richardson-Merrell Inc., Wilton, CT, United States (U.S. corporation)

PI US 4244955 19810113

AI US 1979-34357 19790430 (6)

DT Utility

FS Granted

EXNAM Primary Examiner: Daus, Donald G.; Assistant Examiner: Springer, D. B.

LREP Stein, William J., Conte, Salvatore R.

CLMN Number of Claims: 5

ECL Exemplary Claim: 1,4,5

DRWN No Drawings

LN.CNT 467

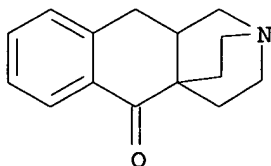
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 76448-01-6P 76448-02-7P

(prepn. and pharmacol. activity of)

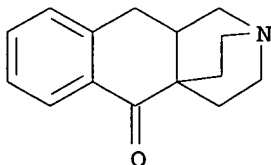
RN 76448-01-6 USPATFULL

CN 2,4a-Ethanobenz[g]isoquinolin-5(1H)-one, 3,4,10,10a-tetrahydro- (9CI) (CA INDEX NAME)



RN 76448-02-7 USPATFULL

CN 2,4a-Ethanobenz[g]isoquinolin-5(1H)-one, 3,4,10,10a-tetrahydro-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
76.50	222.73

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 14:25:57 ON 13 MAY 2002

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FILE COVERS 1907 - 13 May 2002 VOL 136 ISS 20

FILE LAST UPDATED: 10 May 2002 (20020510/ED)

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CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

=> d his

(FILE 'HOME' ENTERED AT 14:07:27 ON 13 MAY 2002)

FILE 'REGISTRY' ENTERED AT 14:07:38 ON 13 MAY 2002

L1 STRUCTURE UPLOADED
L2 22 S L1

FILE 'STNGUIDE' ENTERED AT 14:08:37 ON 13 MAY 2002

FILE 'REGISTRY' ENTERED AT 14:13:06 ON 13 MAY 2002
L3 STRUCTURE UPLOADED
L4 2 S L3
L5 290 S L3 FUL

FILE 'USPATFULL, USPAT2' ENTERED AT 14:21:02 ON 13 MAY 2002
L6 11 S L5

FILE 'CAPLUS' ENTERED AT 14:25:57 ON 13 MAY 2002

=> s l5

L7 41 L5

=> file stnguide

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.40	223.13

FILE 'STNGUIDE' ENTERED AT 14:26:38 ON 13 MAY 2002
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FILE CONTAINS CURRENT INFORMATION.

LAST RELOADED: May 10, 2002 (20020510/UP).

=>

---Logging off of STN---

Connection closed by remote host
END

Unable to generate the STN prompt.
Exiting the script...

Welcome to STN International! Enter x:x